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[Continued on next page]

(54) Title: INDOLE COMPOUNDS

Row	IUPAC name	COX-1 IC50 (μ M)	COX-2 IC50 (μ M)
1	{6-fluoro-5-methoxy-2-methyl-1-[(5-methyl-2-thienyl)carbonyl]-1H-indol-3-yl}acetic acid	3.3	0.29
2	{1-[(5-chloro-2-thienyl)carbonyl]-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid	5	0.2
3	[1-(cyclohexylcarbonyl)-5-hydroxy-2-methyl-1H-indol-3-yl]acetic acid	>100	3.22
4	[6-fluoro-5-methoxy-2-methyl-1-(2-thienylcarbonyl)-1H-indol-3-yl]acetic acid	6.3	0.32
5	[6-fluoro-5-hydroxy-2-methyl-1-[(5-methyl-2-thienyl)carbonyl]-1H-indol-3-yl]acetic acid	16.3	0.41
6	[6-fluoro-5-hydroxy-2-methyl-1-(2-thienylcarbonyl)-1H-indol-3-yl]acetic acid	27.3	0.23
7	{1-[(5-chloro-2-thienyl)carbonyl]-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid	35	0.2
8	{1-[(5-chloro-2-thienyl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-1H-indol-3-yl}acetic acid	85, 90	0.56, 0.6
9	{1-[(6-chloropyridin-3-yl)carbonyl]-5-hydroxy-2-methyl-1H-indol-3-yl}acetic acid	>100	>10
10	{1-[(6-chloropyridin-3-yl)carbonyl]-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid	>100	2.8
11	[5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-1H-indol-3-yl]acetic acid	>100	8.9
12	[5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-1H-indol-3-yl]acetic acid	>100	>22.2
13	{1-[(5-chloro-2-thienyl)methyl]-5-fluoro-2-methyl-1H-indol-3-yl}acetic acid	>100	>10%
14	{6-chloro-1-[(5-chloro-2-thienyl)methyl]-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid	>100	>10%
15	{1-[(5-chloro-2-thienyl)methyl]-5-hydroxy-2-methyl-1H-indol-3-yl}acetic acid	>100	>100
16	{1-[(5-chloro-2-thienyl)methyl]-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid	>100	>100
17	[1-(cyclohex-1-en-1-ylcarbonyl)-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid	>100	3.03
18	[1-(cyclohexylcarbonyl)-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid	>100	0.4
19	[1-(cyclohexylcarbonyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid	>100	0.8

(57) Abstract: Indole derivatives that are useful for treating pain, inflammation and other conditions are described. Certain of the compounds are benzyl derivatives and others are benzoyl derivatives. The compounds are substituted at least at the 3 position of the indole.



ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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INDOLE COMPOUNDS

RELATED APPLICATION INFORMATION

- 5 This application claims priority to U.S. provisional application serial no. 60/836,108, filed August 7, 2006; U.S. provisional application serial no. 60/875,792, filed December 18, 2006; and U.S. provisional application serial no. 60/945,306, filed June 20, 2007, all of which are hereby incorporated by reference.

10

BACKGROUND

Cox Inhibitors

Cyclooxygenases play an essential role in prostaglandin synthesis. Cyclooxygenase-1 (COX-1) is constitutive and relatively long-lived, whereas cyclooxygenase-2 (COX-2) is inducible and relatively short-lived. COX-1 is thought to be responsible for maintaining basal level prostaglandin production, which is important for normal gastrointestinal and renal function. COX-2 is induced by certain inflammatory agents, hormones, growth factors, cytokines, and other agents. COX-2 plays a significant role in prostaglandin synthesis within inflammatory cells such as macrophages and monocytes, and prostaglandin production associated with COX-2 induction can have a deleterious effect on the body. Thus, to reduce unwanted inflammation and to treat certain other conditions, it can be desirable to inhibit COX-2 activity without significantly inhibiting COX-1 activity.

Many non-steroidal anti-inflammatory drugs (NSAIDs) inhibit both COX-1 and COX-2. 25 These non-selective inhibitors include indomethacin (Shen et al. 1963 *J Am Chem Soc* 85:4881; 4-chlorobenzoyl-5-methoxy-2-methyl-1*H*-indole-3-acetic acid). It is desirable to identify NSAIDs that inhibit COX-2 activity, but do not significantly inhibit COX-1 activity at physiological levels where COX-2 activity is significantly inhibited. Such selective inhibitors are expected to have the desirable anti-inflammatory, anti-pyretic, and

analgesic properties associated with NSAIDs, while having reduced or no gastrointestinal or renal toxicity.

Subsequent to indomethacin administration, the unchanged parent compound, the desmethyl metabolite (O-desmethylindomethacin; (1-(4-chlorobenzoyl)-5-hydroxy-2-methyl-1*H*-indol-3-yl)acetic acid), the desbenzoyl metabolite (N-deschlorobenzoylindomethacin; (5-methoxy-2-methyl-1*H*-indol-3-yl)acetic acid) and the desmethyl-desbenzoyl metabolite (O-desmethyl-N-deschlorobenzoylindomethacin; (5-hydroxy-2-methyl-1*H*-indol-3-yl)acetic acid) can be found in plasma in significant amounts (Strachman et al. 1964 *J Am Chem Soc* 8:799; Helleberg 1981 *Clin Pharmacokinet* 6:245), all in an unconjugated form (Harman et al. 1964 *J Pharmocol Exp Therap* 143:215). It has been reported that all three metabolites are devoid of anti-inflammatory activity (Helleberg 1981 *Clin Pharmacokine*, 6:245 and Duggan et al. 1972 *Pharmacol and Exp Ther* 181:562), although it has also been reported that the desmethyl metabolite has some ability to inhibit prostaglandin synthesis (Shen et al. 1977 *Adv Drug Res* 12:90).

Indomethacin derivatives in which the benzoyl group has been replaced by a 4-bromobenzyl group or the acetic acid side chain has been extended exhibit greater selectivity for inhibition of COX-2 relative to COX-1 (Black et al. 1996 *Bioorganic & Medicinal Chem Lett* 6:725 and Black et al. 1997 *Advances in Experimental Medicine and Biology* 407:73). In addition, synthesis methodology has been demonstrated for the preparation of indomethacin analogues, some of which do not inhibit cyclooxygenases (Touhey et al. 2002 *Eur J Cancer* 38:1661).

EAAH inhibitors

Many fatty acid amides are known to have analgesic activity. A number of fatty acid amides (e.g., arachidonyl amino acids and anandamide) induce analgesia in animal models of pain (see, for example, Walker et al. 1999 *Proc Natl Acad Sci* 96:12198, Fride and Mechoulam 1993 *Eur J Pharmacol* 231:313). Anandamide and certain other fatty acid amides (e.g., N-palmitoyl ethanolamine, N-oleoyl ethanolamide, oleamide, 2-

arachidonoylglycerol) are cleaved and inactivated by fatty acid amide hydrolase (FAAH), an integral membrane protein (Deutsch et al. 2003 *Prostaglandins Leukot Essent Fatty Acids* 66:201; and Cravatt and Lichtman 2003 *Current Opinion in Chemical Biology* 7:469).

5

A paralog of human FAAH, called FAAH-2 was recently identified (Wei et al. 2006 *J Biol Chem* 281:36569). FAAH-2 was identified in multiple primates, marsupials, and more distantly related vertebrates, but not in a number of lower placental mammals, including mouse and rat. The two human FAAH enzymes share 20% sequence identity 10 and hydrolyze primary fatty acid amide substrates (e.g., oleamide) at similar rates, whereas FAAH (sometimes called FAAH-1) exhibits greater activity with N-acyl ethanolamines (e.g. anandamide) and N-acyl taurines. Wei et al. report that both FAAH and FAAH-2 are sensitive to the principal classes of FAAH inhibitors synthesized to date, including O-aryl carbamates and α -keto heterocycles.

- 15 Inhibition of FAAH is expected to lead to an increase in the level of anandamide and other fatty acid amides. This increase in fatty acid amides may lead to an increase in the nociceptive threshold. Thus, inhibitors of FAAH are useful in the treatment of pain. Such inhibitors might also be useful in the treatment of other disorders that can be treated using fatty acid amides or modulators of cannabinoid receptors (e.g., anxiety, eating 20 disorders, and cardiovascular disorders). NPAA (N-palmitoylethanolamine acid anhydrolase) is a hydrolase that breaks down N-palmitoyl ethanolamine (PEA), a fatty acid amide. PEA is a naturally occurring substrate for the cannabinoid receptor 2 (CB2 receptor). Inhibition of NPAA may lead to increased PEA levels. Accordingly, NPAA inhibitors may be useful in the treatment of inflammation and nociceptive pain control.
- 25 Monoacylglycerol lipase (MAGL, MGL) is a hydrolase which degrades the endocannabinoid ligand, 2-arachidonoylglycerol (2-AG). Although FAAH can also degrade 2-AG, MAGL is believed to be the main enzyme responsible for 2-AG metabolism in the brain. Thus, 2-AG inhibitors may be useful in the treatment of cannabinoid receptor related therapies including anxiety, eating disorders, and

cardiovascular disorders. Inhibitors of MAGL and FAAH are thought to have various therapeutic uses. Bahr et al. Expert Opin Investig Drugs - 2006 Volume: 15 p. 351-65.

In addition, there is evidence (see, e.g., Weber et al. 2004 *J. Lipid Res.* 45:757) that when
5 FAAH activity is reduced or absent, one of its substrates, anandamide, acts as a substrate
for COX-2 that can be converted to a prostamide. Thus, certain prostamides may be
elevated in the presence of an FAAH inhibitor. Given that certain prostamides are
associated with reduced intraocular pressure and ocular hypotensivity, FAAH inhibitors
may be useful agents for treating glaucoma.

10

CRTH2 modulators

CRTH2 is a G_{αi} protein-coupled receptor that is thought to be involved in both mediating PGD₂-induced chemoattraction and in activation of specific cell types involved in allergic inflammation. It has been reported that CRTH2 is expressed by Th2 cells, eosinophils
15 and basophils, but not by Th1 cells, B cells or NK cells. (Nagata et al. 1999 *FEBS Letters* 459:195-199).

PGD₂ is produced by allergen-activated mast cells and has been implicated in various allergic diseases as a pro-inflammatory mediator, although it may have anti-inflammatory activity in certain situations (Ajuebor et al. 2000 *Am J Physiol Gastrointest Liver Physiol* 279:G238-44). CRTH2 receptor is a high affinity receptor for PGD₂ as is DP-1, a G_{αS} protein-coupled receptor.
20

CRTH2 agonists activate eosinophils, basophils and Th2 cells *in vitro*, resulting in induction of actin polymerization, calcium influx, CD11b expression and chemotaxis (Monneret et al 2003 *J Pharmacol Exp Ther* 304:349-55). An *in vivo* study has demonstrated that injection of a CRTH2 agonist can elicit transient recruitment of eosinophils from bone marrow into the blood (Shichijo 2003 *J Pharmacol Exp Ther* 307:518-525). A genetic study of African American and Chinese cohorts found that polymorphisms in CRTH2 were tightly associated with asthma susceptibility (Huang et al. 2004 *Hum Mol. Genet* 2791). It has been suggested that modulators of CRTH2 may
25

be useful in the prevention and/or treatment of allergic asthma and other allergic disorders (US 2002/0022218 A1 and WO 03/066047). Recruitment and/or activation of eosinophils, basophils and Th2 cells is a prominent feature of the changes that occur in the asthmatic lung. Similar activation of these cell types, or subsets thereof, are believed
5 to play an important role in the etiology of other diseases, including eosinophilic esophagitis and atopic dermatitis (Arora and Yamakazi 2004 *Clin Gastroenterol Hepatol* 2:523-30; Kiehl et al. 2001 *Br J Dermatol* 145:720-729). This fact, combined with the
10 fact that CRTH2 mediates PGD₂-induced chemotaxis, suggests that compounds that alter chemotaxis by modulating CRTH2 activity could be useful in controlling chronic airway inflammation, atopic dermatitis, chronic obstructive pulmonary disease (COPD), and/or eosinophilic esophagitis. Compounds that alter chemotaxis by modulating CRTH2 activity could also be useful in controlling allergic rhinitis. Allergic rhinitis is classified
15 as either seasonal (SAR) or perennial (PAR) depending upon the type of trigger and duration of symptoms. SAR symptoms occur in the spring, summer and/or early fall and can be triggered by outdoor allergens such as airborne tree, grass and weed pollens while PAR is usually persistent and chronic with symptoms occurring year-round and is commonly associated with indoor allergens such as dust mites, animal dander and/or mold spores. Symptoms of allergic rhinitis may include runny nose, nasal itching, sneezing, watery eyes and nasal congestion. CRTH2 modulators may be useful for
20 treating SAR and/or PAR.

CRTH2 antagonists that reduce the ability of Th2 cells and eosinophils to respond to mast-cell derived PGD₂ could be useful for preventing and/or treating allergic disorders such as allergic rhinitis and asthma.

It is often found that agonists induce desensitization of the cell system by promoting
25 internalization and down regulation of the cell surface receptor (*Int Immunol* 15:29-38, 2003). Therefore, certain CRTH2 agonists may be therapeutically useful because they can cause the desensitization of PGD₂-responsive cells. It has been shown that certain CRTH2 agonists can induce desensitization of PGD₂-responsive cells to subsequent activation by a CRTH2 agonist (see, e.g., Yoshimura-Uchiyama et al. 2004 *Clin Exp*

Allergy 34:1283-1290). Importantly, CRTH2 agonists may also cause cross-desensitization. Cross-desensitization, which can occur in many cell-signaling systems, refers to a phenomena whereby an agonist for one receptor can reduce or eliminate sensitivity of a cell type to an unrelated agonist/receptor signaling system. For example, 5 it is known that treatment with the CRTH2 agonist indomethacin reduces expression of CCR3, the receptor for the chemoattractant, eotaxin (Stubbs et al. 2002, *J Biol Chem* 277:26012-26020).

DAO Inhibitors

It has been suggested that certain inhibitors of D-amino acid oxidase (DAO), including 10 certain heterocyclic-2-carboxylic acids, might be useful for improving memory, learning and cognition in patients suffering from neurodegenerative disorders (US20030162825). Indomethacin has also been shown to be an inhibitor of DAO (Chen et. al 1994 *Drug Metabol Drug Interact.* 11:153-60). DAO degrades D-serine and other D-amino acids. 15 D-glutamate and D-serine are thought to be agonists of N-methyl-D-aspartate (NMDA)-glutamate receptors that mediate a wide variety of brain activities, including the synaptic plasticity that is associated with certain types of memory and learning (US20030162825). Thus, it is thought that inhibition of DAO will lead to increased D-serine levels and 20 improved cognitive function.

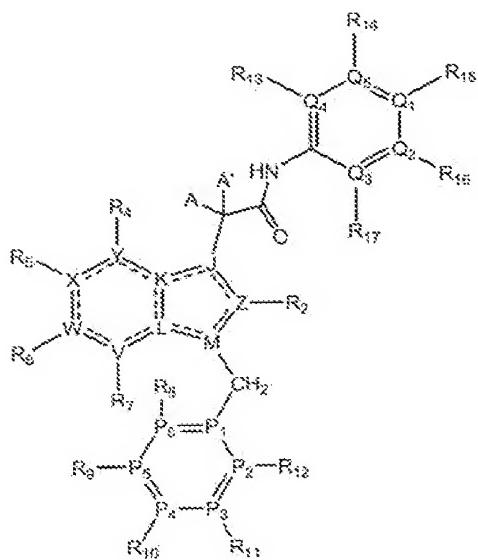
ChemerinR

ChemerinR is a G protein coupled receptor which is structurally and evolutionary related to CRTH₂. WO2005/000875 discloses assays for testing the ability of the compounds to modulate ChemerinR activity. Compounds which modulate (e.g. antagonize or inhibit) 25 ChemerinR activity may be useful in the prevention and/or treatment of COPD, psoriasis, viral or bacterial infections, cell migration, cancer, development of tumors and tumor metastasis, inflammatory and neo-plastic processes, wound and bone healing and dysfunction of regulatory growth functions, diabetes, obesity, anorexia, bulimia, acute heart failure, hypotension, hypertension, urinary retention, osteoporosis, angina pectoris, myocardial infarction, restenosis, atherosclerosis, diseases characterised by excessive

smooth muscle cell proliferation, aneurysms, diseases characterised by loss of smooth muscle cells or reduced smooth muscle cell proliferation, stroke, ischemia, ulcers, allergies, benign prostatic hypertrophy, migraine, vomiting, psychotic and neurological disorders, including anxiety, schizophrenia, manic depression, depression, delirium, 5 dementia and severe mental retardation, degenerative diseases, neurodegenerative diseases such as Alzheimer's disease or Parkinson's disease, and dyskinasias, such as Huntington's disease or Gilles de la Tourette's syndrome and other related diseases.

SUMMARY

- 10 Described herein are compounds having **Formulas A and I to X**, pharmaceutically acceptable salts (hydrates, solvates, and optical isomers) thereof, pharmaceutical compositions comprising such compounds and methods for treating a patient by administering such pharmaceutical compositions alone or in combination with one or more other therapeutic agents.
- 15 Described herein is a compound having Formula A or a pharmaceutically acceptable salt thereof



Formula A

wherein:

each of V, W, X, Y, Z, J, K, L, and M are independently N or C;

each of P₁, P₂, P₃, P₄, P₅ and P₆ are independently N or C;

5 each of Q₁, Q₂, Q₃, Q₄, and Q₅ are independently N or C;

A and A' are independently: hydroxyl or an optionally independently substituted C1 to C3 alkoxy or A and A' taken together are =O, =N(OH) or =NOCH₃ or A and A' together with the carbon to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally independently substituted;



10 indicates a double or single bond;

R₂ is halogen, hydroxyl, -NO₂, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted C1-C5 alkoxy, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, -CN, -C(O)OH, an optionally independently substituted cyclopropyl, -C(O)NR_{2a}R_{2b}, or -

15 NR_{2a}R_{2b}, wherein R_{2a} and R_{2b} are independently H or C1-C3 alkyl;

each of R₄, R₅, R₆ and R₇, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b,

20 wherein R_a and R_b are independently H, an optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

each of R₄, R₅, R₆ and R₇, when bonded to N, is missing;

each of R₈, R₉, R₁₀, R₁₁ and R₁₂, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -

- 5 C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, an optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

each of R₈, R₉, R₁₀ R₁₁ and R₁₂, when bonded to N, is missing;

when Q₅ is C, R₁₄ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an

- 10 optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, an optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

- 15 when Q₅ is N, R₁₄ is missing;

when Q₂ is C, R₁₆ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an

optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are

- 20 independently H, optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

when Q₂ is N, R₁₆ is missing;

when Q₁ is C, R₁₅ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an

optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are

- 25 independently H, optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

independently H, optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

when Q₁ is N, R₁₅ is missing;

when Q₄ is C, R₁₃ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an

5 optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

10 when Q₄ is N, R₁₃ is missing;

when Q₃ is C, R₁₇ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

and

when Q₃ is N, R₁₇ is missing,

with the following provisos:

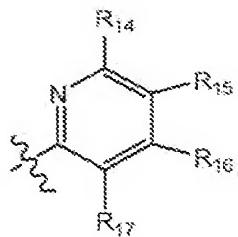
20 when: V, W, X, Y, Z, J, K and L are C; M is N; P₁, P₂, P₃, P₄, P₅ and P₆ are C; Q₁, Q₂, Q₃, Q₄, and Q₅ are C; R₂ is methyl; and A and A' taken together are =O, R₁₅ is not C(O)NH₂ and R₁₆ is not Cl;

when: V, W, X, Y, Z, J, K and L are C; M is N; P₁, P₂, P₃, P₄, P₅ and P₆ are C; Q₁, Q₂, Q₃, Q₄, and Q₅ are C; R₂ is methyl; and A and A' taken together are =O, R₈, R₉, R₁₀, R₁₁, and 25 R₁₂ are not all H and R₁₃ and R₁₇ are not both methyl; and

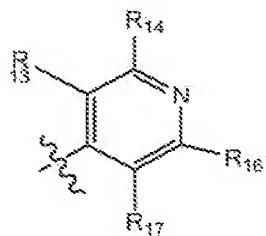
when: V, W, X, Y, Z, J, K and L are C; M is N; P₁, P₂, P₃, P₄, P₅ and P₆ are C; Q₁, Q₂, Q₃, Q₄, and Q₅ are C; R₂ is methyl; and A and A' taken together are =O , R₈, R₉, R₁₀, R₁₁, R₁₂, R₁₃, R₁₄, R₁₅, R₁₆, R₁₇ are not all H.

Since each of R₁₃, R₁₄, R₁₅, R₁₆ and R₁₇, when bonded to N, is missing, when Q₄ is N,

5 and Q₁, Q₂, Q₃ and Q₅ are C, the compound includes:



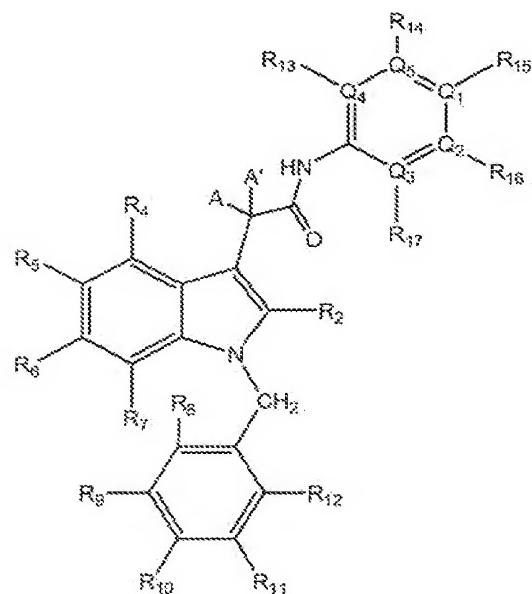
As another example, when Q₁ is N and Q₄, Q₂, Q₃ and Q₅ are C, the compound includes:



- 10 In various embodiments: each of V, W, X, Y, Z, J, K and L are C and M is N; a) one, none, one or two of V, W, X, Y, Z, J, K, L are N and the rest are C; and b) M is N or C; a) two of V, W, X, Y, Z, J, K, L are N and the rest are C; and b) M is N or C; a) one of V, W, X, Y, Z, J, K, L are N and the rest are C; and b) M is N or C; a) V, W, X, Y, Z, J, K, L are C; and b) M is N or C; a) W, X, Y, Z, J, K, L are C; b) M is N or C; and c) V is N; a)
- 15 V, W, Y, Z, J, K, L are C; b) M is N or C; and c) X is N; none, one or two of P₁, P₂, P₃, P₄, P₅ and P₆ are independently N and the rest are C; two of P₁, P₂, P₃, P₄, P₅ and P₆ are N and the rest are C; one of P₁, P₂, P₃, P₄, P₅ and P₆ is N and the rest are C; P₁, P₂, P₃, P₄, P₅ and P₆ are C; M is N; M is C; Q₄ is N and Q₁, Q₂, Q₃ and Q₅ are C; Q₅ is N and Q₁, Q₂,

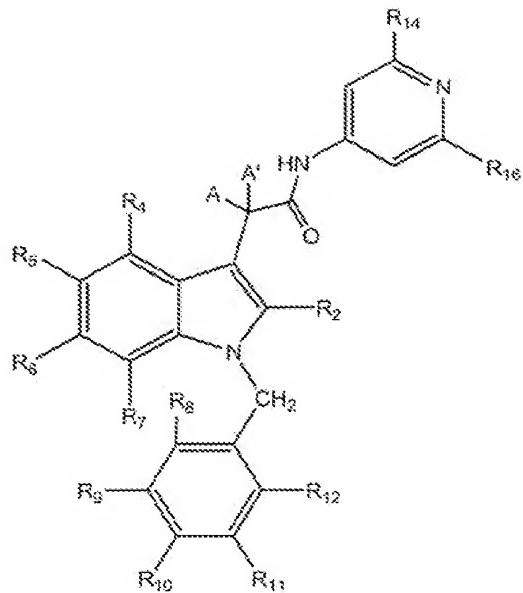
Q₃ and Q₄ are C; Q₁ is N and Q₂, Q₃, Q₄ and Q₅ are C; Q₄ and Q₁ are N and Q₂, Q₃ and Q₅ are C; Q₄ and Q₃ are N and Q₂, Q₁ and Q₅ are C; Q₄ and Q₂ are N and Q₁, Q₃ and Q₅ are C; Q₄ and Q₅ are N and Q₂, Q₃ and Q₁ are C; Q₄, Q₃, and Q₁ are N and Q₅ and Q₂ are C; Q₅, Q₄, Q₃, Q₂ and Q₁ are C; only one of Q₃, Q₄, Q₃, Q₂ and Q₁ is N; only two of Q₅, Q₄, 5 Q₃, Q₂ and Q₁ are N (e.g., the two N are not adjacent); and only three of Q₅, Q₄, Q₃, Q₂ and Q₁ are N (e.g., none of the three are adjacent).

In various cases, the compound having Formula A has one of the following formulas:

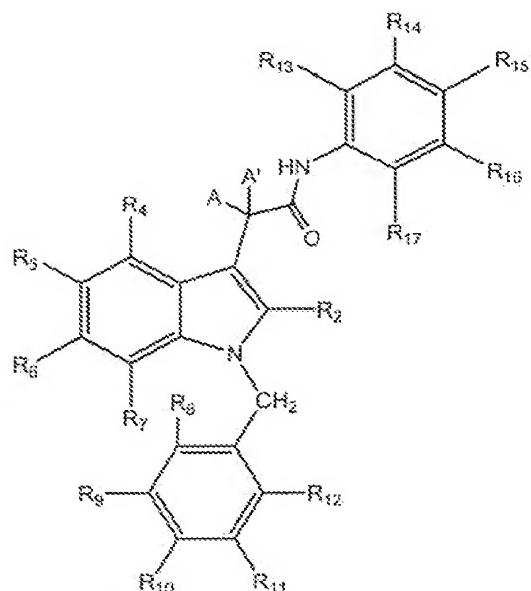


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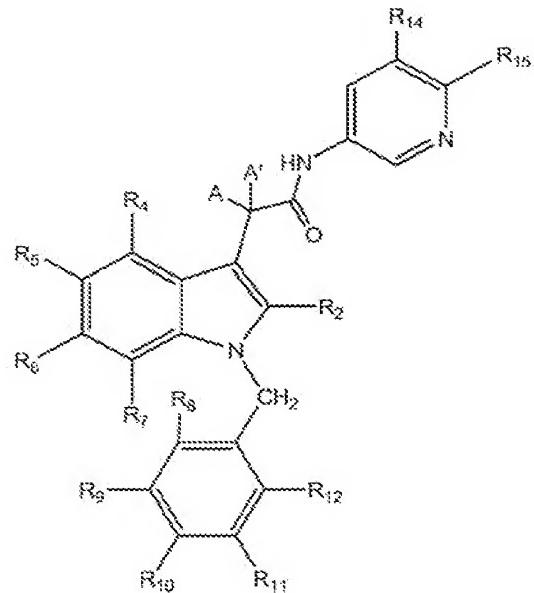
Formula A-1



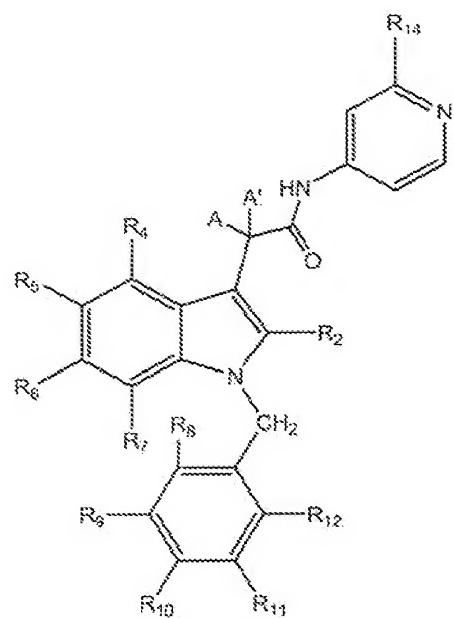
Formula A-2



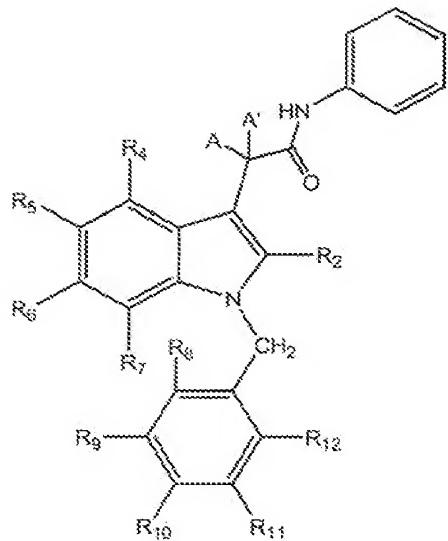
Formula A-3



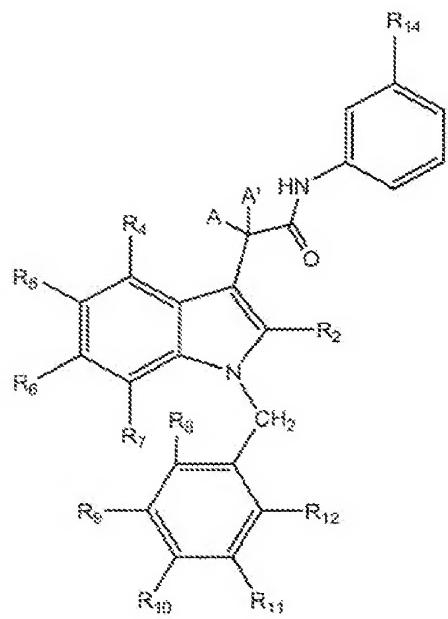
Formula A-4



Formula A-5



Formula A-6



Formula A-7

- 5 In various embodiments: A and A' are hydroxyl; A and A' are C1 to C3 alkoxy; A and A' taken together with the carbon to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally singly or multiply substituted with a methyl group; A and A' taken together with the carbon to which they

are attached form a cyclic ketal containing a total of 4 carbon atoms which can be optionally singly or multiply substituted with a methyl group; A and A' taken together are =N(OH); A and A' taken together are =NOCH₃; A and A' taken together are =O.

In various embodiments: R₂ is selected from: hydroxyl, optionally independently substituted C1-C3 alkyl, an optionally independently halogen substituted cyclopropyl, an optionally independently halogen substituted ethoxy and an optionally independently halogen substituted methoxy; R₂ is an optionally independently halogen substituted C1-C3 alkyl or cyclopropyl; R₂ is methyl; R₂ is a C1-C3 alkyl or cyclopropyl; one or two of R₈, R₉, R₁₀, R₁₁ and R₁₂ are halogen and the rest are H; one or two of R₈, R₉, R₁₀, R₁₁ and R₁₂ are Cl or F and the rest are H; R₁₀ is halogen; one of R₈ and R₁₂ is halogen and the other is H; R₁₀ is Cl or F and R₈, R₉, R₁₁ and R₁₂ are H; R₁₀ is Cl or F, R₈ is Cl or F; and R₉, R₁₁ and R₁₂ are R₄ and R₇ are H; R₆ is H; R₅ is selected from: ethoxy, methoxy, ethyl, methyl, halogen and H; R₅ is selected from: methoxy, ethyl, methyl and H; R₅ is selected from: methoxy and methyl and H; R₅ is methoxy; R₅ is methyl; R₅ is H; R₁₄ is halogen or an optionally independently substituted methoxy and both R₁₃ and R₁₇ are H; R₁₄ is Cl; R₁₄ is F; R₁₄ is -OCH₃.

In various embodiments: any unspecified substituent is selected from: halogen, optionally independently halogen substituted C1-C3 alkyl, optionally independently substituted C1-C3 alkoxy, hydroxy, cyano, nitro and amino; any unspecified substituent is selected from: halogen, hydroxy, and C1-C3 alkyl; A and A' are independently: hydroxyl or a C1 to C3 alkoxy or A and A' taken together are =O, =N(OH) or =NOCH₃ or A and A' together with the carbon to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally independently substituted with methyl; R₂ is halogen, hydroxyl, -NO₂, a C1-C5 alkyl, a C1-C5 alkoxy, a C2-C5 alkenyl, a C2-C5 alkynl, -CN, -C(O)OH, a cyclopropyl, -C(O)NR_{2a}R_{2b}, or -NR_{2a}R_{2b}, wherein R_{2a} and R_{2b} are independently H or C1-C3 alkyl; of R₄, R₅, R₆ and R₇, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; wherein each of R₈, R₉, R₁₀, R₁₁ and R₁₂, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH,

hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₄ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein

- 5 R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; wherein R₁₅ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₆ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, 10 a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₇ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₇ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, 15 wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₂ is methyl; R₉ and R₁₁ are H; R₁₀ is Cl or F, R₈ is H, and R₁₂ is Cl, H or F; R₄, R₆ and R₇ are H; R₅ is methoxy, methyl or H; A and A' together are =O; R₁₄ is H; R₁₆ is Cl, F, or methoxy; and R₂ is methyl; R₉ and R₁₁ are H; R₁₀ is Cl or F, R₈ is H, and R₁₂ is Cl, H or F; 20 R₄, R₆ and R₇ are H; R₅ is methoxy, methyl or H; A and A' together are =O or an optionally methyl substituted cyclic ketal; R₁₄ is H; R₁₆ is Cl, F, or methoxy.

Also described is a pharmaceutical composition comprising the compound or pharmaceutically acceptable salt of any of the various embodiments of the compound of Formula A and a pharmaceutically acceptable carrier.

- 25 Also described are methods for treating various disorders with a composition that includes any of the various embodiments of the compound of Formula A. Among the disorders: pain (e.g., acute pain, chronic pain, neurogenic pain, migraine; pain caused by inflammation (e.g., arthritis, osteoarthritis, spondylitis, rheumatoid arthritis, Crohn's disease and irritable bowel syndrome), and neuropathic pain), anxiety, an eating disorder (e.g., anorexia, bulimia), obesity, elevated intraocular pressure, glaucoma, a 30

cardiovascular disorder, depression, an inflammatory disorder (allergy, respiratory inflammation, inflammation of the skin and gastrointestinal inflammation), asthma, Crohn's disease, and inflammatory bowel disease. Other disorders that can be treated include: food allergy, asthma, skin inflammation, emesis, allodynia, hyperalgesia,

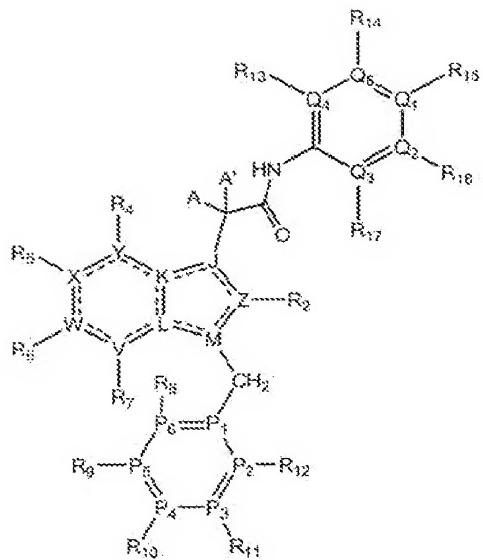
5 headache, visceral pain, dental pain, pain associated with burns, menstrual pain, dysmenorrhea, primary dysmenorrheal, rheumatoid arthritis, juvenile rheumatoid arthritis, osteoarthritis, post operative pain (e.g., associated with orthopedic surgery, gynecologic surgery, abdominal surgery, incisions, oral surgery) and back pain

Also described is a method of treating: pain (e.g., acute pain, chronic pain, neurogenic

10 pain, migraine; pain caused by inflammation (e.g., arthritis, osteoarthritis, spondylitis, rheumatoid arthritis, Crohn's disease and irritable bowel syndrome), and neuropathic pain), anxiety, an eating disorder (e.g., anorexia, bulimia), obesity, elevated intraocular pressure, glaucoma, a cardiovascular disorder, depression, an inflammatory disorder (allergy, respiratory inflammation, inflammation of the skin and gastrointestinal

15 inflammation), asthma, Crohn's disease, and inflammatory bowel disease, emesis, allodynia, hyperalgesia, headache, visceral pain, dental pain, pain associated with burns, menstrual pain, dysmenorrhea, primary dysmenorrheal, rheumatoid arthritis, juvenile rheumatoid arthritis, osteoarthritis, post operative pain (e.g., associated with orthopedic surgery, gynecologic surgery, abdominal surgery, incisions, oral surgery) and back pain

20 with a composition that includes a compound having Formula A



Formula A

wherein:

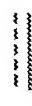
each of V, W, X, Y, Z, J, K, L, and M are independently N or C;

5 each of P₁, P₂, P₃, P₄, P₅ and P₆ are independently N or C;

each of Q₁, Q₂, Q₃, Q₄, and Q₅ are independently N or C;

A and A' are independently hydroxyl or an optionally independently substituted C1 to C3 alkoxy or A and A' taken together are =O, =N(OH) or =NOCH₃ or A and A' together with the carbon to which they are attached form a cyclic ketal containing a total of 4 or 5

10 carbon atoms which can be optionally independently substituted;



indicates a double or single bond;

R₂ is H, halogen, hydroxyl, -NO₂, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted C1-C5 alkoxy, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, -CN, -

C(O)OH, an optionally independently substituted cyclopropyl, -C(O)NR_{2a}R_{2b}, or -NR_{2a}R_{2b}, wherein R_{2a} and R_{2b} are independently H or C1-C3 alkyl;

each of R₄, R₅, R₆ and R₇, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally

5 independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, an optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

each of R₄, R₅, R₆ and R₇, when bonded to N, is missing;

10 each of R₈, R₉, R₁₀, R₁₁ and R₁₂, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -

15 C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, an optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

each of R₈, R₉, R₁₀ R₁₁ and R₁₂, when bonded to N, is missing;

when Q₅ is C, R₁₄ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted

20 C2-C5 alkenyl, an optionally independently substituted C2-C5 alkynyl, an optionally independently substituted C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, an optionally independently substituted C1-C6 alkyl, or an optionally independently substituted C3-C6 cycloalkyl;

when Q₅ is N, R₁₄ is missing;

25 when Q₂ is C, R₁₆ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C1-C5 alkyl, an optionally independently substituted

C₂-C₅ alkenyl, an optionally independently substituted C₂-C₅ alkynyl, an optionally independently substituted C₁-C₅ alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, optionally independently substituted C₁-C₆ alkyl, or an optionally independently substituted C₃-C₆ cycloalkyl;

- 5 when Q₂ is N, R₁₆ is missing;

when Q₁ is C, R₁₅ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C₁-C₅ alkyl, an optionally independently substituted C₂-C₅ alkenyl, an optionally independently substituted C₂-C₅ alkynyl, an optionally independently substituted C₁-C₅ alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, optionally independently substituted C₁-C₆ alkyl, or an optionally independently substituted C₃-C₆ cycloalkyl;

- 10 when Q₁ is N, R₁₅ is missing;

when Q₄ is C, R₁₃ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C₁-C₅ alkyl, an optionally independently substituted C₂-C₅ alkenyl, an optionally independently substituted C₂-C₅ alkynyl, an optionally independently substituted C₁-C₅ alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, optionally independently substituted C₁-C₆ alkyl, or an optionally independently substituted C₃-C₆ cycloalkyl;

when Q₄ is N, R₁₃ is missing;

- 20 when Q₃ is C, R₁₇ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, an optionally independently substituted C₁-C₅ alkyl, an optionally independently substituted C₂-C₅ alkenyl, an optionally independently substituted C₂-C₅ alkynyl, an optionally independently substituted C₁-C₅ alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, optionally independently substituted C₁-C₆ alkyl, or an optionally independently substituted C₃-C₆ cycloalkyl;

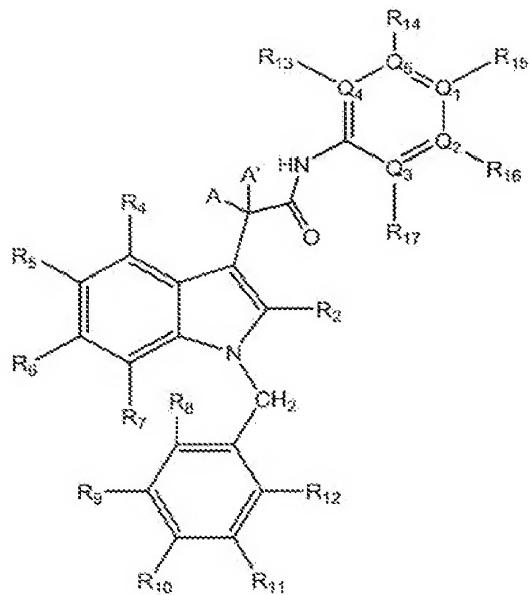
- 25 when Q₃ is N, R₁₇ is missing;

and

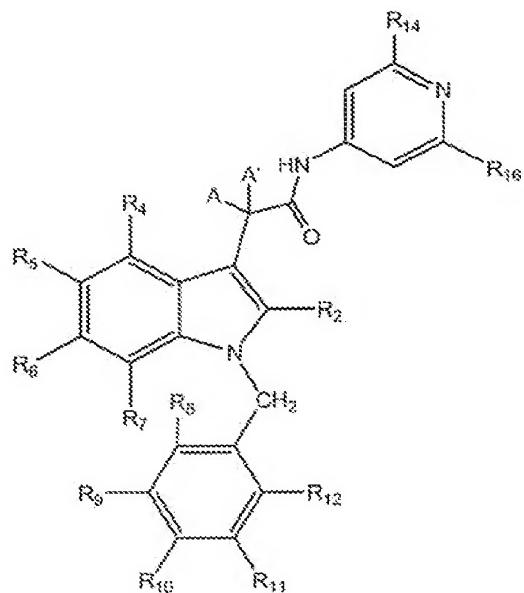
when Q₃ is N, R₁₇ is missing .

In various embodiments: each of V, W, X, Y, Z, J, K and L are C and M is N; a) one, none, one or two of V, W, X, Y, Z, J, K, L are N and the rest are C; and b) M is N or C; a) two of V, W, X, Y, Z, J, K, L are N and the rest are C; and b) M is N or C; a) one of V, 5 W, X, Y, Z, J, K, L are N and the rest are C; and b) M is N or C; a) V, W, X, Y, Z, J, K, L are C; and b) M is N or C; a) W, X, Y, Z, J, K, L are C; b) M is N or C; and c) V is N; a) V, W, Y, Z, J, K, L are C; b) M is N or C; and c) X is N; none, one or two of P₁, P₂, P₃, P₄, P₅ and P₆ are independently N and the rest are C; two of P₁, P₂, P₃, P₄, P₅ and P₆ are N 10 and the rest are C; one of P₁, P₂, P₃, P₄, P₅ and P₆ is N and the rest are C; P₁, P₂, P₃, P₄, P₅ and P₆ are C; M is N; M is C; Q₄ is N and Q₁, Q₂, Q₃ and Q₅ are C; Q₅ is N and Q₁, Q₂, Q₃ and Q₄ are C; Q₁ is N and Q₂, Q₃, Q₄ and Q₅ are C; Q₄ and Q₁ are N and Q₂, Q₃ and Q₅ are C; Q₄ and Q₃ are N and Q₂, Q₁ and Q₅ are C; Q₄ and Q₂ are N and Q₁, Q₃ and Q₅ are C; Q₄ and Q₅ are N and Q₂, Q₃ and Q₁ are C; Q₄, Q₃, and Q₁ are N and Q₅ and Q₂ are C; 15 Q₅, Q₄, Q₃, Q₂ and Q₁ are C; only one of Q₅, Q₄, Q₃, Q₂ and Q₁ is N; only two of Q₅, Q₄, Q₃, Q₂ and Q₁ are N (e.g., the two N are not adjacent); and only three of Q₅, Q₄, Q₃, Q₂ and Q₁ are N (e.g., none of the three are adjacent).

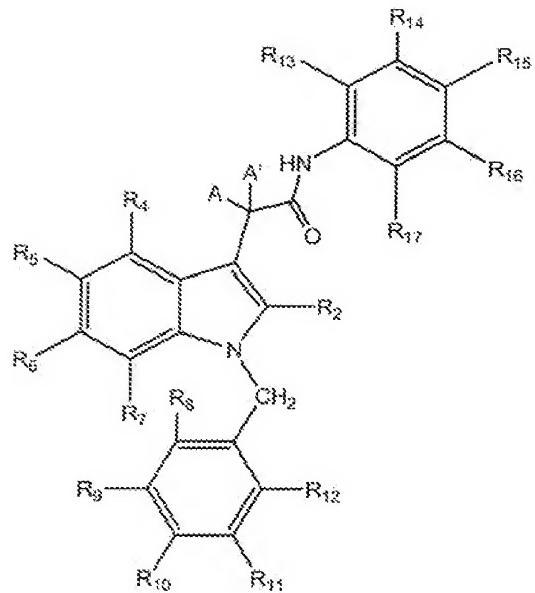
In various cases, the compound having Formula A has one of the following formulas:



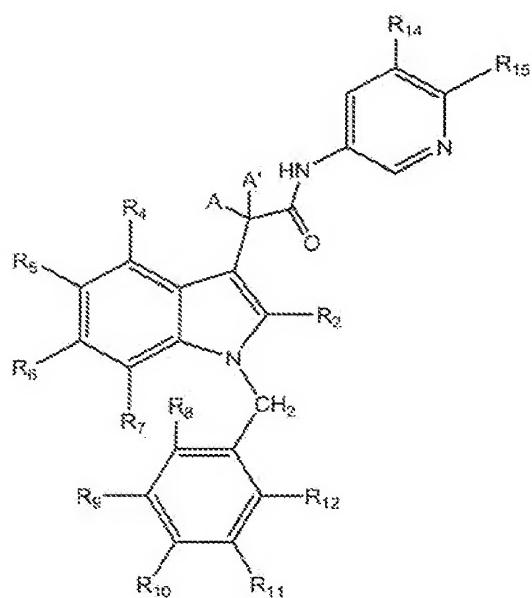
Formula A-1



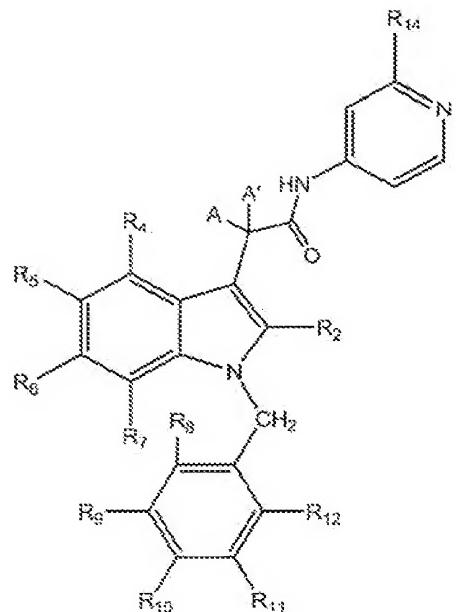
Formula A-2



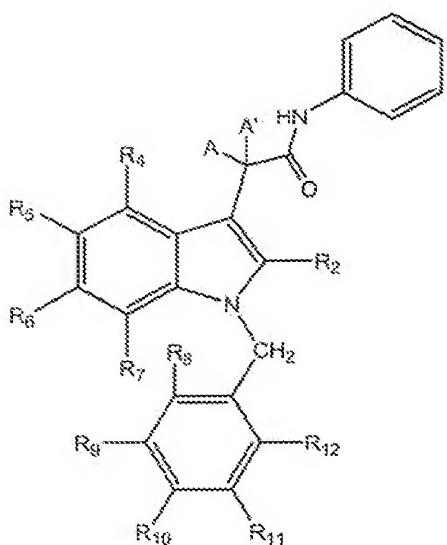
Formula A-3



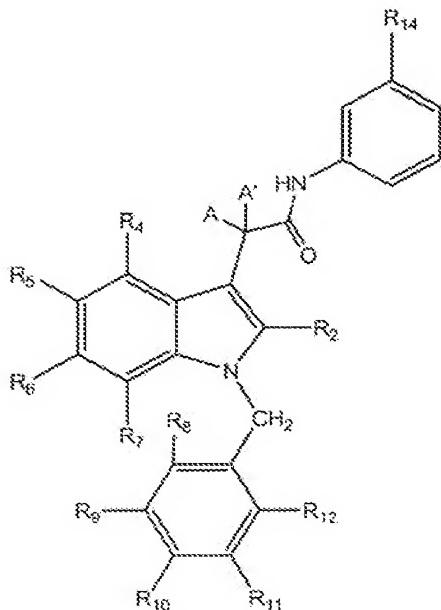
5 Formula A-4



Formula A-5



Formula A-6



Formula A-7

In various embodiments: A and A' are hydroxyl; A and A' are C1 to C3 alkoxy; A and A' taken together with the carbon to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally singly or multiply substituted with a methyl group; A and A' taken together with the carbon to which they are attached form a cyclic ketal containing a total of 4 carbon atoms which can be

- 5 optionally singly or multiply substituted with a methyl group; A and A' taken together are =N(OH); A and A' taken together are =NOCH₃; A and A' taken together are =O.
- 10 In various embodiments: R₂ is selected from: H, hydroxyl, optionally independently substituted C1-C3 alkyl, an optionally independently halogen substituted cyclopropyl, an optionally independently halogen substituted ethoxy and an optionally independently halogen substituted methoxy; R₂ is an optionally independently halogen substituted C1-C3 alkyl or cyclopropyl R₂ is H; R₂ is H, a C1-C3 alkyl or cyclopropyl; one or two of R₈,

- 15 R₉, R₁₀, R₁₁ and R₁₂ are halogen and the rest are H; one or two of R₈, R₉, R₁₀, R₁₁ and R₁₂ are Cl or F and the rest are H; R₁₀ is halogen; one of R₈ and R₁₂ is halogen and the other is H; R₁₀ is Cl or F and R₈, R₉, R₁₁ and R₁₂ are H; R₁₀ is Cl or F, R₈ is Cl or F; and R₈, R₁₁ and R₁₂ are R₄ and R₇ are H; R₆ is H; R₅ is selected from: ethoxy, methoxy, ethyl, methyl,

halogen and H; R₅ is selected from: methoxy, ethyl, methyl and H; R₅ is selected from: methoxy and methyl and H; R₅ is methoxy; R₅ is methyl; R₅ is H; R₁₄ is halogen or an optionally independently substituted methoxy and both R₁₃ and R₁₇ are H; R₁₄ is Cl; R₁₄ is F; R₁₄ is -OCH₃.

- 5 In various embodiments: any unspecified substituent is selected from: halogen, optionally independently halogen substituted C1-C3 alkyl, optionally independently substituted C1-C3 alkoxy, hydroxy, cyano, nitro and amino; any unspecified substituent is selected from: halogen, hydroxy, and C1-C3 alkyl; A and A' are independently: hydroxyl or a C1 to C3 alkoxy or A and A' taken together are =O, =N(OH) or =NOCH₃ or A and A' together
10 with the carbon to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally independently substituted with methyl; R₂ is halogen, hydroxyl, -NO₂, a C1-C5 alkyl, a C1-C5 alkoxy, a C2-C5 alkenyl, a C2-C5 alkynyl, -CN, -C(O)OH, a cyclopropyl, -C(O)NR_{2a}R_{2b}, or -NR_{2a}R_{2b}, wherein R_{2a} and R_{2b} are independently H or C1-C3 alkyl; of R₄, R₅, R₆ and R₇, when bonded to C, is
15 independently: H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; wherein each of R₈, R₉, R₁₀, R₁₁ and R₁₂, when bonded to C, is independently: H, a halogen, -NO₂, -CN, -C(O)OH,
hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b,
20 or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₄ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; wherein R₁₅ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₆ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₇ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -
- 25
- 30

C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₁₇ is selected from H, a halogen, -NO₂, -CN, -C(O)OH, hydroxyl, a C1-C5 alkyl, a C2-C5 alkenyl, a C2-C5 alkynyl, a C1-C5 alkoxy, -C(O)NR_aR_b, or -NR_aR_b, wherein R_a and R_b are independently H, a C1-C6 alkyl, or a C3-C6 cycloalkyl; R₂ is

5 methyl; R₉ and R₁₁ are H; R₁₀ is Cl or F, R₈ is H, and R₁₂ is Cl, H or F; R₄, R₆ and R₇ are H; R₅ is methoxy, methyl or H; A and A' together are =O; R₁₄ is H; R₁₆ is Cl, F, or methoxy; and R₂ is methyl; R₉ and R₁₁ are H; R₁₀ is Cl or F, R₈ is H, and R₁₂ is Cl, H or F; R₄, R₆ and R₇ are H; R₅ is methoxy, methyl or H; A and A' together are =O or an optionally methyl substituted cyclic ketal; R₁₄ is H; R₁₆ is Cl, F, or methoxy.

10 Also described is a compound of Formula X:

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Formula X

wherein:

each of V, W, X, Y, Z, J, K and L are independently N or C

15

A is hydroxyl and A' is C1 to C3 alkoxy or A and A' taken together are =O, =N(OH) or =NOCH₃;



indicates a double or single bond;

each R_{1a} and R_{1b} is independently: H, halogen, hydroxyl, -CN, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -NO₂; or an R_{1a} and R_{1b} attached to the same carbon, taken together with that carbon, form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; or an R_{1a} attached to a carbon directly bonded to the ring bearing R₈, taken with R₈ and the carbon to which R_{1a}

is attached, form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; or an R_{1a} attached to a carbon directly bonded to the ring bearing R₁₂, taken with R₁₂ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted

5 heterocycle;

m = 1, 2 or 3;

R₂ is H, hydroxyl, -NO₂, an optionally substituted C1-C5 alkoxy, -CN, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl or halogen;

10 R₃ is H, OH, optionally substituted C1-C10 alkyl, optionally substituted C2-C10 alkenyl, an optionally substituted C2-C10 alkynyl, optionally substituted C1-C10 alkoxy, -OR_{3a}, -OR_{3b}, -SR_{3a}, -SR_{3b}, -N(R_{3a})(R_{3b}), -N(R_{3a})(R_{3b}), -N(R_{3b})(R_{3a}), an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted cycloalkyl, an optionally substituted carbocycle or an optionally substituted heterocycle;

15 R_{3a} is H or an optionally substituted C1 to C10 alkyl an optionally substituted C2-C10 alkenyl, an optionally substituted C2 – C10 alkynyl or R3a and R3b taken together with the N to which they are attached can form a heterocycle or heteroaryl;

20 R_{3b} is an optionally substituted benzyl, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted cycloalkyl, an optionally substituted carbocycle, an optionally substituted heterocycle, or an optionally substituted C1 to C10 alkyl, an optionally substituted C2-C10 alkenyl, an optionally substituted C2 –C10 alkynyl or R3a and R3b taken together with the N to which they are attached can form a heterocycle or heteroaryl;

each of R₄, R₅, R₆ and R₇ are independently: H, a halogen, an optionally substituted C1-25 C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, hydroxyl, NO₂, an optionally substituted C1-C5 alkoxy, -CN, -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally

substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted heterocycle or heteroaromatic, or -N(R_{2a})(R_{2b});

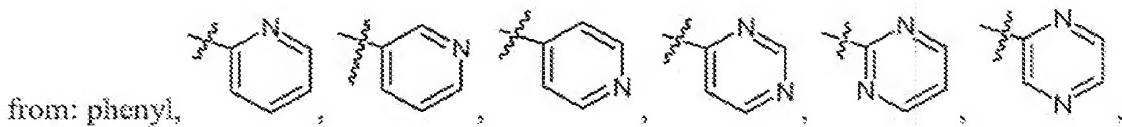
wherein each R_{2a} and R_{2b} is independently: H, hydroxy, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl; an optionally substituted C2-C5 alkynyl; an optionally substituted C1-C5 alkoxy or an R_{2a} and R_{2b} attached to the same nitrogen, taken together with that nitrogen form an optionally substituted heterocycle or heteroaromatic;

and

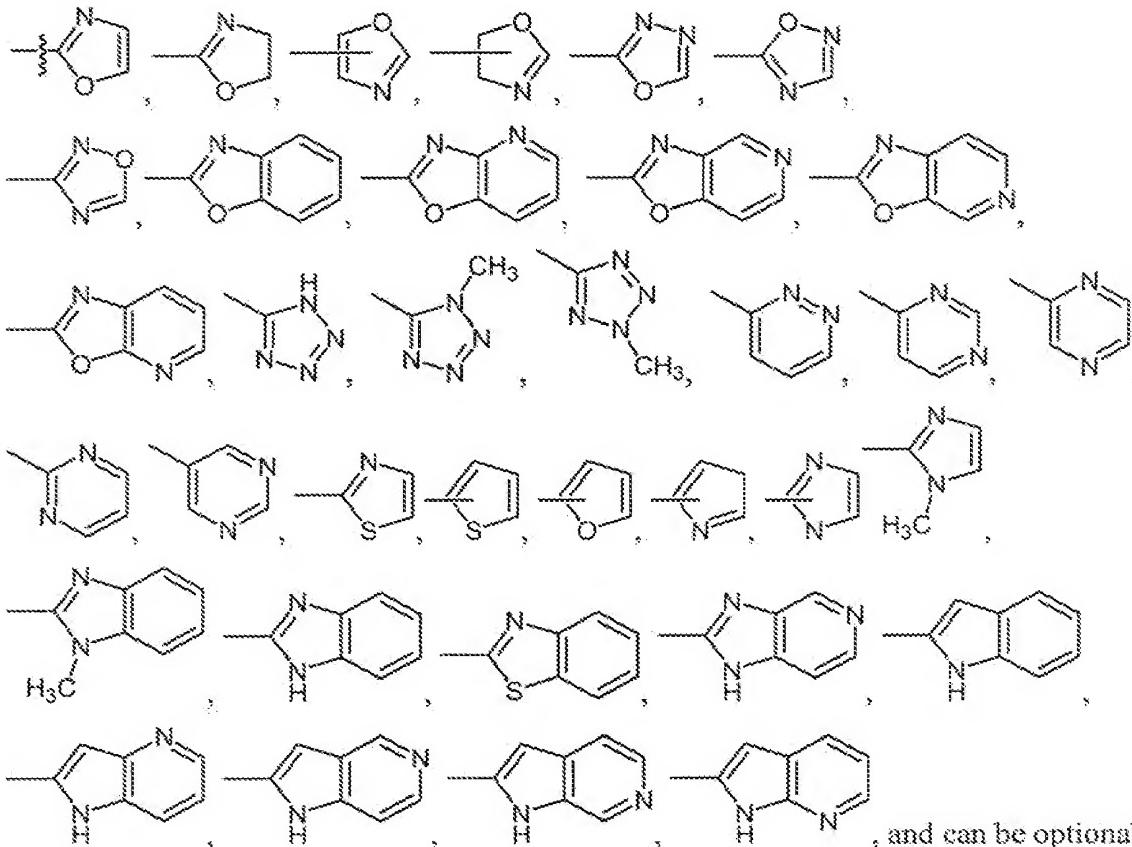
each of R₈, R₉, R₁₀, R₁₁ and R₁₂ is independently H, -CN, hydroxyl, a halogen, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, hydroxyl, NO₂, an optionally substituted C1-C5 alkoxy, -N(R_{2a})(R_{2b}), -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted heterocycle or heteroaromatic, or an R_{1a} attached to a carbon directly bonded to the ring bearing R₈, taken with R₈ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle, or an R_{1a} attached to a carbon directly bonded to the ring bearing R₁₂, taken with R₁₂ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle.

In various embodiments the compound of Formula X: R₂ is H; R₂ is an optionally substituted C1-C5 alkyl or halogen; R₂ is an optionally substituted methyl; R₂ is halogen; R₂ is F; R₂ is Cl; R₂ is an optionally substituted C1-C5 alkyl; R₂ is methyl; R₂ is selected from optionally substituted C1-C3 alkyl, Cl, and CF₃; R₂ is methyl or ethyl; R₂ is Cl or singly or multiply fluorinated methyl or ethyl; m is one; R_{1a} and R_{1b} are both H; R_{1a} and R_{1b} are both methyl; the R_{1a} attached to a carbon directly bonded to the ring bearing R₈,

taken with R₈ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl; the attached to a carbon directly bonded to the ring bearing R₁₂, taken with R₁₂ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl; m is 1 and R_{1a} and R_{1b} taken together with the carbon to which they are
5 attached form an optionally substituted C3-C6 cycloalkyl; R_{1a} and R_{1b} attached to the same carbon, taken together with that carbon, form an optionally substituted heterocycle; R₉ and R₁₁ are both H; R₄ is H; each of R₄, R₅, R₆, and R₇ is independently selected from H, a halogen, an optionally substituted C1-C5 alkyl, hydroxyl, and an optionally substituted C1-C5 alkoxy; no more than four of R₈, R₉, R₁₀, R₁₁ and R₁₂ are other than H;
10 no more than three of R₈, R₉, R₁₀, R₁₁ and R₁₂ are other than H; no more than two of R₈, R₉, R₁₀, R₁₁ and R₁₂ are other than H; only one of R₈, R₉, R₁₀, R₁₁ and R₁₂ is other than H; R_{3a} is H; R_{3a} is methyl or ethyl; R_{3b} is an optionally substituted aryl containing a single ring or an optionally substituted heteroaryl containing a single ring; R_{3b} is an optionally substituted C6 aryl; R_{3b} is an optionally substituted heteroaryl ring containing 6 ring atoms; R_{3b} is an optionally substituted heteroaryl ring containing 5 ring atoms; R₃ an
15 optionally substituted heteroaryl; R₃ is an optionally substituted morpholino; R₃ is an optionally substituted aryl; R₃ is an optionally substituted C3-C6 cycloalkyl; R_{3b} is a 6, 5-fused heteroaryl; R_{3b} is a heteroaryl containing 6 ring atoms of which up to two are N; R₃ is -N(R_{3a})(R_{3b}), R_{3a} is H and R_{3b} is six-membered heteroaryl containing one or two N;
20 any optional substitution is independently selected from: halogen, hydroxy, CN, C1-C3 alkyl, halogen substituted C1-C3 alkyl, C1-C3 alkoxy, and halogen substituted C1-C3 alkoxy; R₁₀ is Cl, an optionally halogen substituted methyl or an optionally halogen substituted methoxy; R₇ is H; R₃ is -N(H)R_{3b}; R₅ is an optionally halogen substituted methyl or an optionally halogen substituted methoxy; at least one of R₄, R₆, and R₇ is H;
25 at least two of R₄, R₆, and R₇ are H; R₄, R₆, and R₇ are H; R_{3b} is selected from:



, Error! Objects cannot be created from editing field codes. and can be optionally substituted; R_{3b} is selected from:

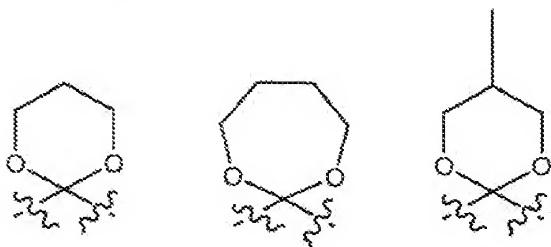


- 10 R_{3b} is selected from an optionally substituted pyridinyl group, an optionally substituted pyrimidinyl group and an optionally substituted phenyl group; R_{3b} is an optionally substituted pyridinyl group; R_{3b} is an optionally substituted pyrimidinyl group; R_{3b} is an optionally substituted phenyl group; A and A' taken together are =O; A and A' taken together are =NOCH₃; R₃ is -N(R_{3a})(R_{3b}); each of W, Y, Z, J, K and L are C; the compound has Formula Xa:
- 15

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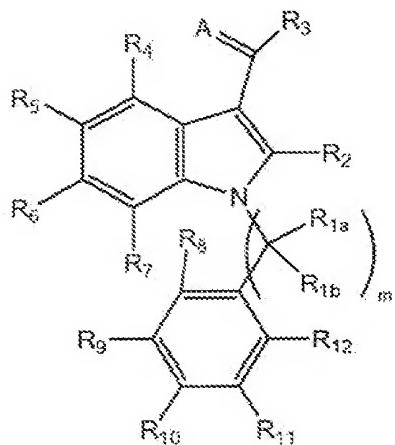
Formula Xa

Where A and A' together with the carbon to which they are attached form an optionally methyl substituted cyclic ketal, the compound can include, for example, any of the following structures:



5

Useful compounds, e.g., useful FAAH inhibitors, include a compound having Formula I:



10

Formula I

Wherein:

A is O;

15

each R_{1a} and R_{1b} is independently: H, halogen, hydroxyl, -CN, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -NO₂; or an R_{1a} and R_{1b} attached to the

same carbon, taken together with that carbon, form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; or an R₁₈ attached to a carbon directly bonded to the ring bearing R₈, taken with R₈ and the carbon to which R_{1a} is attached, form an optionally substituted C3-C6 cycloalkyl or carbocycle or an

5 optionally substituted heterocycle; or an R_{1a} attached to a carbon directly bonded to the ring bearing R₁₂, taken with R₁₂ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle;

10 m = 1, 2 or 3;

R₂ is H, hydroxyl, -NO₂, an optionally substituted C1-C5 alkoxy, -CN, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl or halogen;

15

R₃ is an optionally substituted heteroaryl;

each of R₄, R₅, R₆ and R₇ are independently: H, a halogen, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5

20 alkynyl, hydroxyl, NO₂, an optionally substituted C1-C5 alkoxy, -CN, -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted heterocycle or heteroaromatic, or -N(R_{2a})(R_{2b});

25

wherein each R_{2a} and R_{2b} is independently: H, hydroxy, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl; an optionally substituted C2-C5 alkynyl; an optionally substituted C1-C5 alkoxy or an R_{2a} and R_{2b} attached to the same nitrogen, taken together with that nitrogen form an optionally substituted heterocycle or

30 heteroaromatic;
and

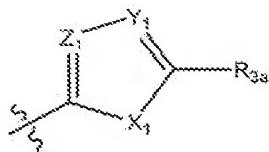
each of R₈, R₉, R₁₀, R₁₁ and R₁₂ is independently H, -CN, hydroxyl, a halogen, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, hydroxyl, NO₂, an optionally substituted C1-C5 alkoxy, -

5 N(R_{2a})(R_{2b}), -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted heterocycle or heteroaromatic, or R₈ taken with an R_{1a} attached to a carbon directly bonded to the ring bearing R₈ and the

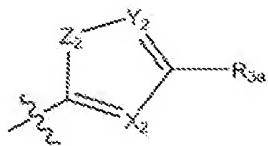
10 carbon to which the R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle, or R₁₂ taken with an R_{1a} attached to a carbon directly bonded to the ring bearing R₁₂ and the carbon to which the R_{1a} is attached, form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle

15 and pharmaceutically acceptable salts thereof.

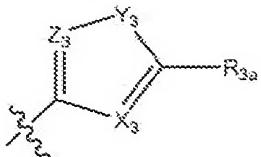
In some cases, R₃ is selected from: R_{3x}, R_{3y} and R_{3z} wherein:



R_{3x} is , wherein X₁, Y₁, and Z₁ are: (a) O, N and N, respectively; (b) O, N and C(R_{3c}), respectively; (c) O, C(R_{3c}) and C(R_{3c}), respectively; (d) O, C(R_{3c}) and N respectively; (e) S, N and N, respectively; (f) S, N and C(R_{3c}), respectively; (g) S, C(R_{3c}) and C(R_{3c}), respectively; (h) S, C(R_{3c}) and N respectively; (i) N(R_{3b}), N and N, respectively; (j) N(R_{3b}), N and C(R_{3c}), respectively; (k) N(R_{3b}), C(R_{3c}) and C(R_{3c}), respectively; or (l) N(R_{3b}), C(R_{3c}) and N respectively;



R_{3y} is , wherein X_2 , Y_2 , and Z_2 are: (a) N, N and O, respectively; (b) C(R_{3c}), N and O, respectively; (c) N, C(R_{3c}) and O, respectively; (d) C(R_{3c}), C(R_{3c}) and O, respectively; (e) N, N and S, respectively; (f) C(R_{3c}), N and S, respectively; (g) N, C(R_{3c}) and S, respectively; (h) C(R_{3c}), C(R_{3c}) and S, respectively; (i) N, N and N(R_{3b}), respectively; (j) C(R_{3c}), N and N(R_{3b}), respectively; (k) N, C(R_{3c}) and N(R_{3b}), respectively; or (l) C(R_{3c}), C(R_{3c}) and N(R_{3b}), respectively;



R_{3z} is , wherein X_3 , Y_3 , and Z_3 are: (a) N, O and N, respectively; (b) C(R_{3c}), O and N, respectively; (c) N, O and C(R_{3c}), respectively; (d) C(R_{3c}), O and C, respectively; (e) N, S and N, respectively; (f) C(R_{3c}), S and N, respectively; (g) N, S and C, respectively; (h) C(R_{3c}), S and C(R_{3c}), respectively; (i) N, N(R_{3b}) and N, respectively; (j) C(R_{3c}), N(R_{3b}) and N, respectively; (k) N, N(R_{3b}) and C(R_{3c}), respectively; or (l) C(R_{3c}), N(R_{3b}) and C(R_{3c}), respectively;

R_{3a} is selected from:

- 15 H, halogen, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -NO₂, -CN, -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted C3 to C10 cycloalkyl or carbocycle, an optionally substituted heterocycle, or R_{3a} and the carbon to which it is attached together with Y_1 , Y_2 or Y_3 can form a heteroaryl containing 5 to 6 ring atoms or R_{3a} is absent.
- 20

- 25 R_{3b} is selected from:

- H, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -CN, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -
- 5 SO₂OH, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted C3 to C10 cycloalkyl or carbocycle, an optionally substituted heterocycle;

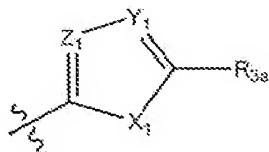
R_{3c} is selected from:

- 10 H, halogen, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -NO₂, -CN, -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an
- 15 optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted C3 to C10 cycloalkyl or carbocycle, an optionally substituted heterocycle, or R_{3c} and the carbon to which it is attached together with a ring atom bonded to the carbon to which R_{3c} is attached can form a heteroaryl containing 5 to 6 ring atoms.
- 20 In various embodiments: wherein R₂ is selected from H, methyl, Cl and CF₃ and F; R₂ is selected from H, methyl and Cl; R₂ is halogen; R₂ is Cl; R₂ is F; R₂ is methyl; R₂ is methyl or halogen substituted methyl; m is one; R_{1a} and R_{1b} taken together with the carbon to which they are attached form an optionally substituted C3-C6 cycloalkyl or carbocycle;
- 25 wherein R_{1a} and R_{1b} are both H; R_{1a} and R_{1b} are either both methyl or taken together with the carbon to which they are attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; the R_{1a} attached to a carbon directly bonded to the ring bearing R₁₂, taken with R₁₂ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally
- 30 substituted heterocycle or the R_{1a} attached to a carbon directly bonded to the ring bearing R₈, taken with R₈ and the carbon to which R_{1a} is attached form an optionally substituted

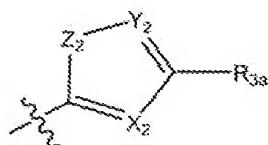
C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; m is 1 and R_{1a} and R_{1b} taken together with the carbon to which they are attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; R_{1a} and R_{1b} attached to the same carbon, taken together with that carbon, form an optionally

- 5 substituted C3-C6 cycloalkyl or carbocycle; R₉ and R₁₁ are both H; R₈, R₉, R₁₀, R₁₁ and R₁₂ are other than H; R₈, R₉, R₁₀, R₁₁ and R₁₂ are other than H; no more than two of R₈, R₉, R₁₀, R₁₁ and R₁₂ are other than H; only one of R₈, R₉, R₁₀, R₁₁ and R₁₂ is other than H; R₅ is methoxy; R₁₀ is halogen; R₄ is selected from: F, H, an optionally substituted C1-C5 alkyl, an optionally substituted C1-C5 alkoxy; each of R₄, R₅, R₆, and R₇ is independently 10 selected from H, a halogen, hydroxy, an optionally substituted C1-C5 alkyl, an optionally substituted C1-C5 alkoxy; R₅ is selected from: Cl, F, Br, methoxy, CH₃, CF₃ and OH.

In some cases: R₃ is R_{3x}; R₃ is R_{3y}; R₃ is R_{3z}.



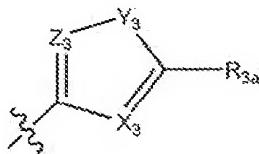
- 15 In some cases: wherein R_{3x} is , wherein X₁, Y₁, and Z₁ are: (a) O, N and N, respectively; (b) O, N and C(R_{3c}), respectively; (c) O, C(R_{3c}) and C(R_{3c}), respectively; (d) O, C(R_{3c}) and N respectively; (e) S, N and N, respectively; (f) S, N and C(R_{3c}), respectively; (g) S, C(R_{3c}) and C(R_{3c}), respectively; (h) S, C(R_{3c}) and N respectively; (i) N(R_{3b}), N and N, respectively; (j) N(R_{3b}), N and C(R_{3c}), respectively; (k) 20 N(R_{3b}), C(R_{3c}) and C(R_{3c}), respectively; or (l) N(R_{3b}), C(R_{3c}) and N respectively; R₃ is R_{3x} and X₁, Y₁, and Z₁ are (k) O, N and N, respectively.



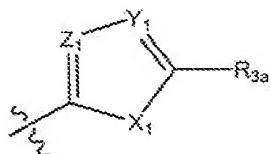
- 25 In some cases: R_{3y} is , wherein X₂, Y₂, and Z₂ are: (a) N, N and O, respectively; (b) C(R_{3c}), N and O, respectively; (c) N, C(R_{3c}) and O, respectively; (d) C(R_{3c}), C(R_{3c}) and O, respectively; (e) N, N and S, respectively; (f) C(R_{3c}), N and S,

respectively; (g) N, C(R_{3c}) and S, respectively; (h) C(R_{3c}), C(R_{3c}) and S, respectively; (i) N, N and N(R_{3b}), respectively; (j) C(R_{3c}), N and N(R_{3b}), respectively; (k) N, C(R_{3c}) and N(R_{3b}), respectively; or (l) C(R_{3c}), C(R_{3c}) and N(R_{3b}), respectively; R₃ is R_{3y} and X₂, Y₂, and Z₂ are (c) N, N and O, respectively.

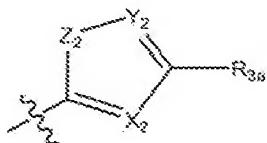
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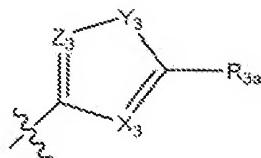
In some cases: R_{3x} is , wherein X₃, Y₃, and Z₃ are: (a) N, O and N, respectively; (b) C(R_{3c}), O and N, respectively; (c) N, O and C(R_{3c}), respectively; (d) C(R_{3c}), O and C, respectively; (e) N, S and N, respectively; (f) C(R_{3c}), S and N, respectively; (g) N, S and C, respectively; (h) C(R_{3c}), S and C(R_{3c}), respectively; (i) N, N(R_{3b}) and N, respectively; (j) C(R_{3c}), N(R_{3b}) and N, respectively; (k) N, N(R_{3b}) and C(R_{3c}), respectively; or (l) C(R_{3c}), N(R_{3b}) and C(R_{3c}), respectively.



In some cases: R_{3x} is , wherein X₁, Y₁, and Z₁ are: (i) O, N and C(R_{3c}), respectively; (j) O, C(R_{3c}) and N, respectively; or (k) O, N and N respectively;



R_{3y} is , wherein X, Y, and Z are: (c) N, N and O, respectively;

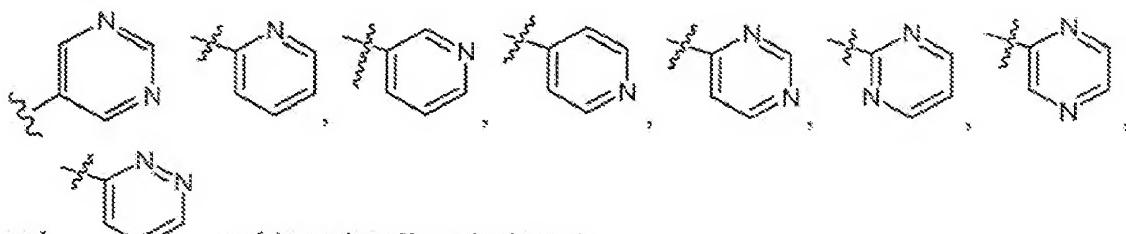


R₃₂ is , wherein X, Y, and Z are: (a) C(R_{3c}), O and N, respectively, (b) C(R_{3c}), S and N, respectively; (c) N, O and N, respectively; (d) N, S and N, respectively; or (e) N, N(R_{3b}) and N, respectively; and

R_{3a} is an optionally substituted aryl containing a single ring or an optionally substituted heteroaryl containing a single ring.

In some cases: R₄ is H; R₆ is H; R₇ is H; R₈ is H; R₄, R₆, and R₇ are H.

10 In some cases: R_{3a} is selected from:



and , and is optionally substituted;

15

R_{3a} is and is optionally substituted;

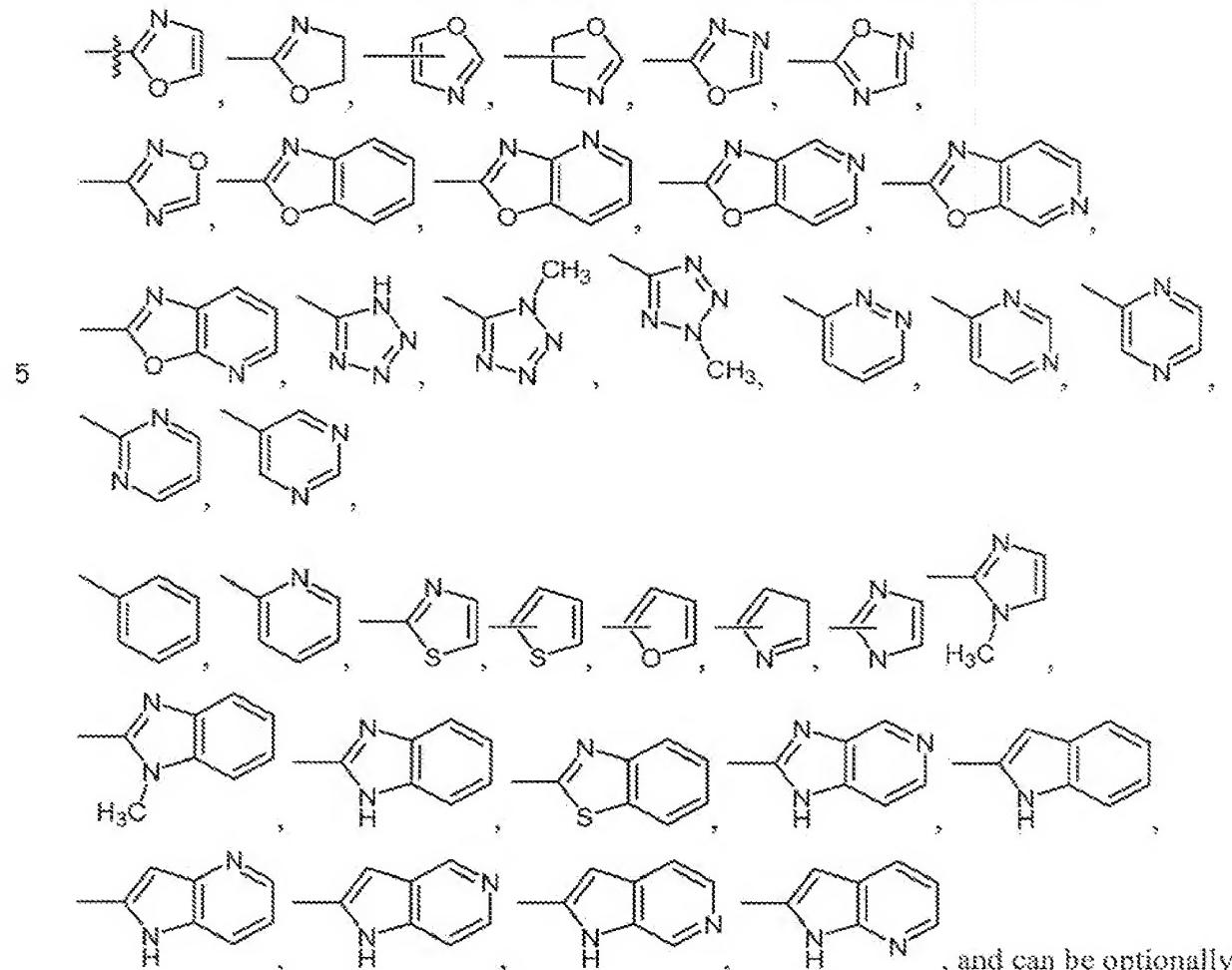
R_{3a} is and is optionally substituted;

R_{3a} is and is optionally substituted; and

20

R_{3a} is and is optionally substituted;

In some cases: R_{3a} is an optionally substituted pyrimidine; R_{3a} is monosubstituted or unsubstituted; R_{3a} is unsubstituted; R_{3a} is monosubstituted; R_{3a} is selected from:



In some cases: R_{3b} is selected from H and C1-C3 alkyl; R_{3c} is selected from H, halogen and C1-C3 alkyl; R_{3c} taken with the carbon to which it is attached and a ring atom adjacent to the ring atom to which it is attached form a heteroaryl; R_{1a} attached to a carbon directly bonded to the ring bearing R₈, taken with R₈ and the carbon to which R_{1a} is attached, form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted heterocycle; or an R_{1a} attached to a carbon directly bonded to the ring bearing R₁₂, taken with R₁₂ and the carbon to which R_{1a} is attached form an optionally substituted C3-C6 cycloalkyl or carbocycle or an optionally substituted

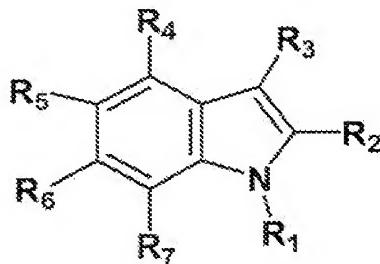
heterocycle and R_{1b} is selected from H or methyl; R₄ is an optionally substituted heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₅ is an optionally substituted heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₆ is an optionally substituted heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₇ is an optionally substituted heterocycle or heteroaromatic containing 5 or 6 ring atoms; R_{2a} and R_{2b} attached to the same nitrogen, taken together with that nitrogen form an optionally substituted heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₈ is heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₉ is heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₁₀ is heterocycle or heteroaromatic containing 5 or 6 ring atoms; R₁₁ is heterocycle or heteroaromatic containing 5 or 6 ring atoms; and R₁₂ is heterocycle or heteroaromatic containing 5 or 6 ring atoms.

Certain of the FAAH inhibitors are reversible inhibitors of FAAH-1. In some cases reversible inhibitors are preferable to irreversible inhibitors.

Certain of the FAAH inhibitors, e.g., certain FAAH-1 inhibitors described herein are do not significantly inhibit the CB1 receptor and/or the CB2 receptor. In some cases an FAAH inhibitor is an agonist of the CB1 receptor and/or the CB2 receptor.

DAO

Other useful compounds, e.g., DAO inhibitors, have Formula II:



Formula II

wherein R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle-C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈, -C(O)N(OH)(C1-C6 alkyl), -

C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂ -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃, -(CH₂)_n-carbocycle, -O(CH₂)_nCO₂H, CN₅H, (CH₂)_nCN₃H, -B(OH)₂, -(CH₂)_nN(OH),

R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, CO₂H, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -

10 SO₂R₈;

R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

15 R₁ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, (CH₂)_ncarbocycle, (CH₂)_nphenyl.

wherein R₈ is selected from H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle;

20

n = 0, 1, 2, 3, 4 or 5; and

any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted and pharmaceutically acceptable salts thereof.

25

In certain cases: R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -

30 N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂ -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nO-carbocycle,

-(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)2-carbocycle, -(CH₂)_nS(O)2-carbocycle, -(CH₂)_nN(H)carbocycle, and (CH₂)_nNCOCH₃.

- In certain cases: R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen,
5 C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈.

- In certain cases: R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen,
10 C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈.

- In certain cases: R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -NR₈, -NOR₈, -NO₂, -SR₈, -
15 SOR₈, -SO₂R₈.

In certain cases: R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈.

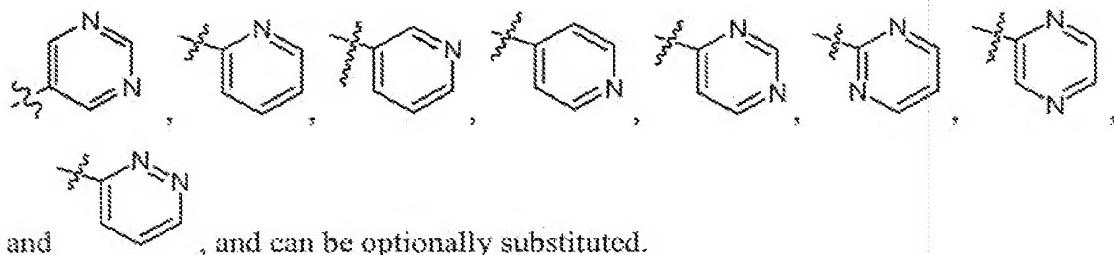
- 20 In certain cases: R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈.

In certain cases: R₆ is H.

- 25 In certain cases: R₁ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, (CH₂)_ncarbocycle.

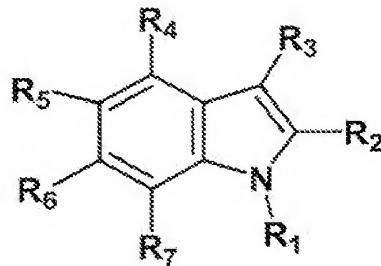
In certain cases: R₁ is H.

- 30 In certain cases: any heteroaryl is selected from:



- 5 In certain cases: the any aryl or carbocycle is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; and R₁ is (CH₂)phenyl.

Useful compounds, e.g., useful DAO inhibitors, include: compound having Formula III:



Formula III

- 15 wherein R₂, R₃, R₄, R₅, and R₈ are independently selected from: H, -OR₈, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle - C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)aryl, -C(O)N(H)aryl, -C(O)N(OH)(C1-C6 alkyl), - C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈ -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂ -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆ 20 aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nOcarbocycle, -(CH₂)_nScarbocycle, -(CH₂)_nScycloalkyl, -(CH₂)_nS(O)₂carbocycle, -(CH₂)_nS(O)₂carboalkyl, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃-(CH₂)_ncarbocycle, -O(CH₂)_nCO₂H, CN₃H, (CH₂)_nCN₃H, B(OH)₂, (CH₂)_nN(OH),

R₆ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, CO₂H, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

- 5 R₇ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

R₁ is H;

- 10 n = 0, 1, 2, 3, 4 or 5; and

wherein R₈ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle; and

- 15 and wherein any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted and pharmaceutically acceptable salts thereof.

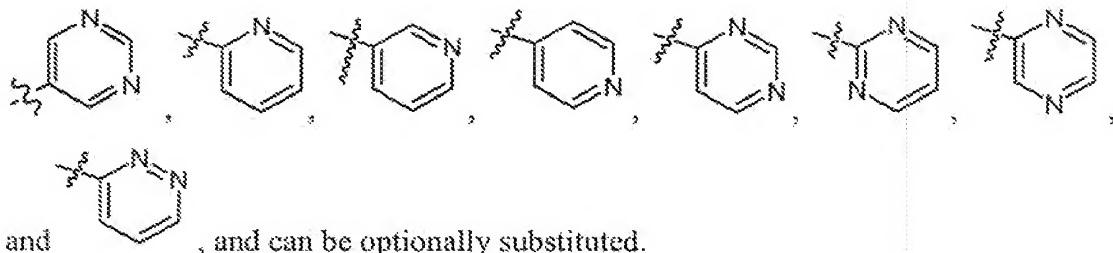
In certain cases: R₂, R₃, R₄, R₅, and R₈ are independently selected from: H, and -OR₈,

- 20 CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl.

In certain cases: R₂, R₃, R₄, R₅, and R₈ are independently selected from: H, and -OR₈,

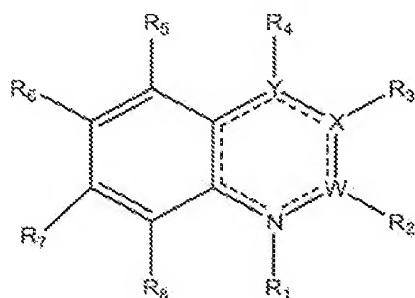
- 25 In certain cases: R₆ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₆ is H; R₇ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈; R₇ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₇ is H.

In certain cases: any heteroaryl is selected from:



- 5 In certain cases: any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; and any C1-C6 alkyl is methyl or ethyl.

Other useful compounds have Formula IV:



10 Formula IV

Each of W, X and Y are independently N or C.

wherein R₂, R₃, R₄, R₅, and R₈ are independently selected from: H, -OR₈, CO₂H, halogen,

C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -

15 -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)aryl, -C(O)N(H)aryl, -C(O)N(OH)(C1-C6 alkyl), -

C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -

ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂, -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆

aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nOcarbocycle, -(CH₂)_nScarbocycle,

-(CH₂)_nScyclealkyl, -(CH₂)_nS(O)₂carbocycle, -(CH₂)_nS(O)₂carbocycle, -

20 -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃-(CH₂)_ncarbocycle, -O(CH₂)_nCO₂H, CN₃H,

(CH₂)_nCN₃H, B(OH)₂, (CH₂)_nN(OH),

R₆ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, CO₂H, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

R₇ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle,

5 carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

R₁ is H;

n = 0, 1, 2, 3, 4 or 5; and

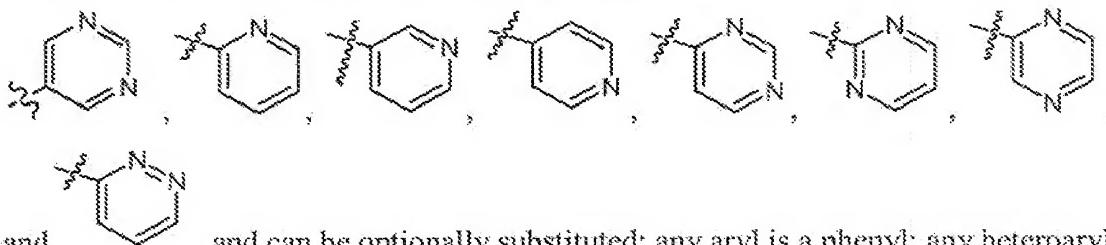
wherein R₈ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle; and

10 and wherein any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted.

In certain embodiments of Formula IV: R₂, R₃, R₄, R₅, and R₈ are independently selected from: H, and -OR₈, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₂, R₃,

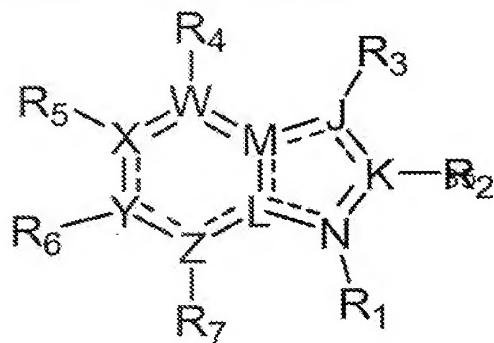
15 R₄, R₅, and R₈ are independently selected from: H, and -OR₈; R₆ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₇ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈; R₂ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6

20 alkynyl.; R₇ is H; any heteroaryl is selected from:



and , and can be optionally substituted; any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; and any subcombinations thereof.

Additional useful compound have Formula V



Formula V

5 wherein:

each of J, K, L, M, W, X, Y and Z are C or N;

|| indicates a double or single bond;

R₂, R₃, R₄, R₇ are independently selected from:

10

H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)aryl, -C(O)N(H)aryl, -OR₈, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂ -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆ aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nOcarbocycle, -(CH₂)_nScarbocycle, -(CH₂)_nScycloalkyl, -(CH₂)_nS(O)Zcarbocycle, -(CH₂)_nS(O)₂carbocycle, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃-(CH₂)_ncarbocycle, -O(CH₂)_nCO₂H, CN₃H, (CH₂)_nCN₃H, B(OH)₂, (CH₂)_nN(OH), or is missing;

15

R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, CO₂H, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈ or is missing;

20

R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈ or is missing;

R₁ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, (CH₂)_ncarbocycle, or is missing;

25

wherein R₈ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, or is missing;

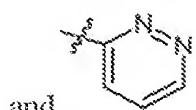
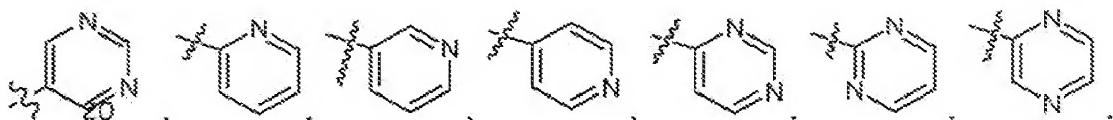
n = 0, 1, 2, 3, 4 or 5;

5

wherein any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted.

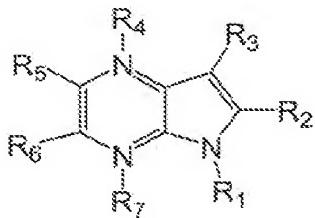
In various embodiments of Formula V:

- 10 R₂, R₃, R₄, R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₂, R₃, R₄, R₇ are independently selected from: H, CO₂H; R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₁ is H; R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈; R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₈ is H; R₁ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R₁ is H; any heteroaryl is selected from:
- 15



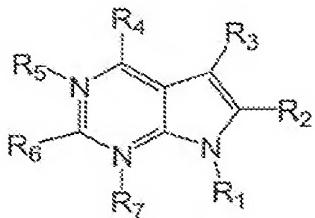
and , and can be optionally substituted; the any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; no more than 5 of J, K, L, M, W, X, Y and Z are N; no more than 4 of J, K, L, M, W, X, Y and Z are N; no more than 3 of J, K, L, M, W, X, Y and Z are N; and no more than 2 of J, K, L, M, W, X, Y and Z are N as well as all combinations and subcombinations thereof.

In some embodiments the compound of Formula V has the structure:



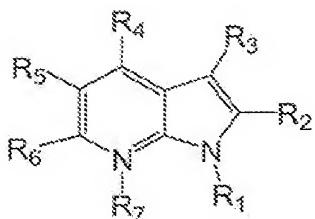
Formula Va

In some embodiments the compound of Formula V has the structure:



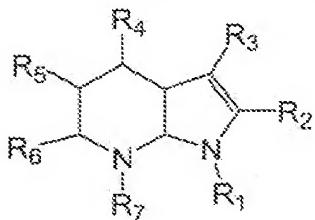
5 Formula Vb

In some embodiments the compound of Formula V has the structure:



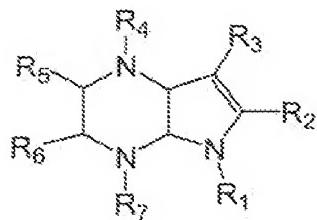
Formula Vc

10 In some embodiments the compound of Formula V has the structure:



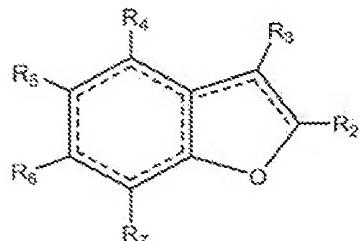
Formula Vd

In some embodiments the compound of Formula V has the structure:



Formula Ve

Also described are useful compounds having Formula VI



5

Formula VI

wherein:

|| indicates a double or single bond;

- 10 wherein R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₁, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₁, -N(H)OR₁, -(CH₂)_nC(O)OR₁, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂ -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆ aryl), -NO₂, -SR₁, -SOR₁, -SO₂R₁, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃, -(CH₂)_ncarbocycle, -O(CH₂)_nCO₂H, CN₅H, (CH₂)_nCN₅H, -B(OH)₂, -(CH₂)_nN(OH),
- 15 R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, CO₂H, -NR₁, -NOR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁;
- 20 R₆ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, CO₂H, -NR₁, -NOR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁;

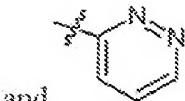
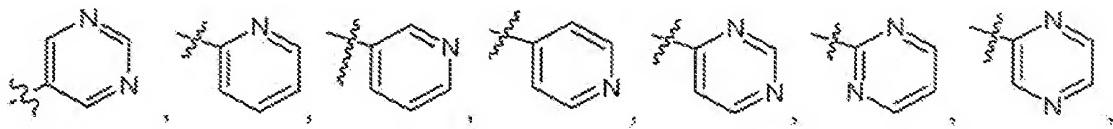
R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₁, -NR₁, -NOR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁;

- 5 wherein R₁ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle;

n = 0, 1, 2, 3, 4 or 5; and

- 10 any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted.

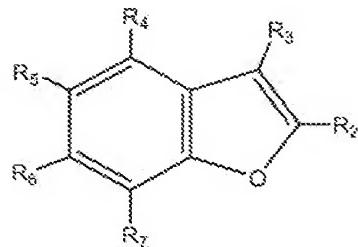
In various embodiments of the compound of Formula VI: 54: R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, -OR₁, -NR₁, -N(H)OR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁, -(CH₂)_nCN; R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle; R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH; R₁ is C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; n = 0, 1, 2, or 3; any heteroaryl is selected from:



and

25 and can be optionally substituted; any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; and all combinations and subcombinations thereof.

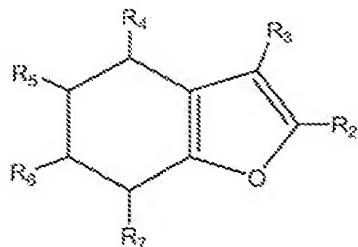
In various embodiments the compound of Formula VI has the structure:



Formula VIa

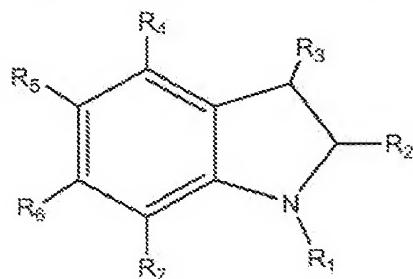
In various embodiments the compound of Formula VII has the structure:

5 Formula VIa



Formula VIb

10 Other useful compounds have Formula VII:



Formula VII

wherein R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -

15 -(CH₂)_nC(O)N(H)(NH₂), -NR₈NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -

ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂, -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃, -(CH₂)_n-carbocycle, -O(CH₂)_nCO₂H, CN₅H, (CH₂)_nCN₅H, -B(OH)₂, -(CH₂)_nN(OH),

5 R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, CO₂H, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

10 R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈;

15 R₁ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, (CH₂)_ncarbocycle.

wherein R₈ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle;

20 n = 0, 1, 2, 3, 4 or 5; and

any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted.

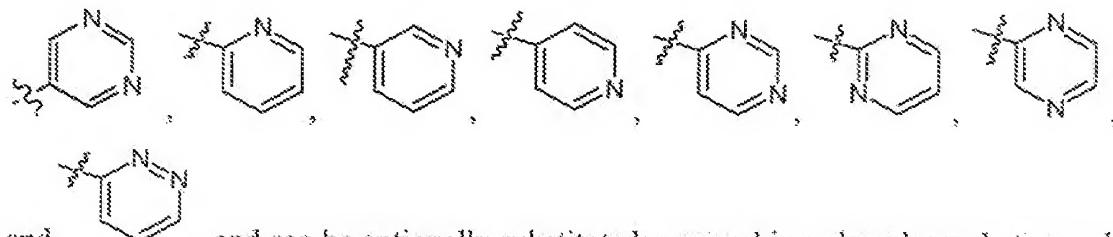
25 In different embodiments of the compound of Formula VII:

R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈, -C(O)N(OH)(C₁-C₆ alkyl), -C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -

30 ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂, -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆ aryl), -NO₂, -SR₈, -SOR₈, -SO₂R₈, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-

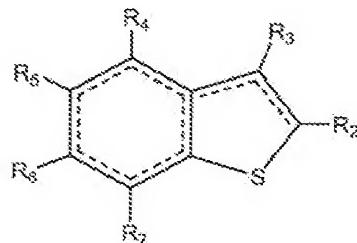
carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)2-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, and (CH₂)_nNCOCH₃; R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -

- 5 C(O)N(H)-aryl, -OR₈, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₈, -N(H)OR₈, -(CH₂)_nC(O)OR₈; R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₈; R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈; R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₈; R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR₈, -NO₂, -SR₈, -SOR₈, -SO₂R₈; R₆ is H; R₁ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, (CH₂)_ncarbocycle; R₁ is H; any heteroaryl is selected from:



- 20 and , and can be optionally substituted; any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; and combinations and subcombinations thereof.

Other compounds have Formula VIII



Formula VIII

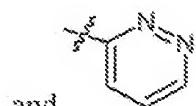
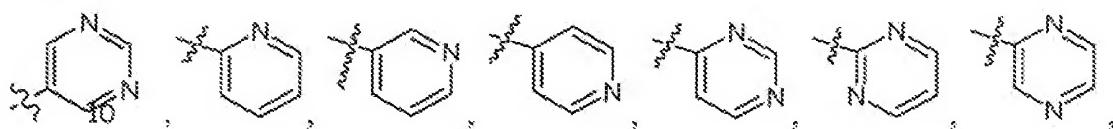
wherein



indicates a double or single bond;

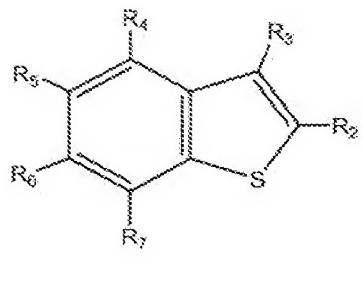
- 5 wherein R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR₁, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR₁, -N(H)OR₁, -(CH₂)_nC(O)OR₁, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₂H, -PO₃H₂ -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C1-C6 aryl), -NO₂, -SR₁, -SOR₁, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃, -(CH₂)_n-carbocycle, -O(CH₂)_nCO₂H, CN₃H, (CH₂)_nCN₃H, -B(OH)₂, -(CH₂)_nN(OH),
- 10 R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, CO₂H, -NR₁, -NOR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁;
- 15 R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₁, -NR₁, -NOR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁;
- 20 wherein R₁ is H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle;
- 25 n = 0, 1, 2, 3, 4 or 5; and
- any C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted.

In various embodiment of the compound of Formula VIII: R₂, R₃, R₄, and R₇ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R₁, -OR₁, -NR₁, -N(H)OR₁, -NO₂, -SR₁, -SOR₁, -SO₂R₁, -(CH₂)_nCN; R₅ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle; R₆ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH; R₁ is C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; n = 0, 1, 2, or 3; any heteroaryl is selected from:



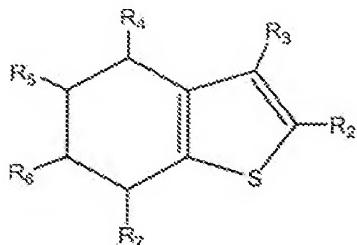
and , and can be optionally substituted; any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; and all combinations and subcombinations thereof.

15 In certains cases the compounds have Formula VIII have the structure:



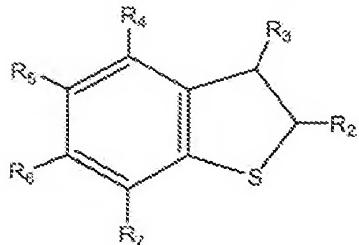
Formula VIIIa

In certains cases the compounds have Formula VIII have the structure:



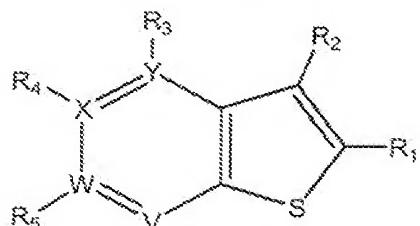
Formula VIIIb

In certain cases the compounds have Formula IX have the structure:



5 Formula VIIIc

Compounds having Formula IX are also useful:



10 Formula IX

wherein

each of V,W,X and Y is independently C or N;

- 15 R₁, R₂, and R₃ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR, -N(H)OR, -(CH₂)_nC(O)OR₈, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -

ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂, -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆ aryl), -NO₂, -SR, -SOR, -SO₂R, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, (CH₂)_nNCOCH₃, -(CH₂)_n-carbocycle, -O(CH₂)_nCO₂H, CN₃H, (CH₂)_nCN₃H, -B(OH)₂, -(CH₂)_nN(OH),

R₄ is selected from H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R, CO₂H, -NR, -NOR, -NO₂, -SR, -SOR, -SO₂R;

10

R₅ is selected from: H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR₈, -NR₈, -NOR, -NO₂, -SR, -SOR, -SO₂R;

wherein R is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, heterocycle, carbocycle;

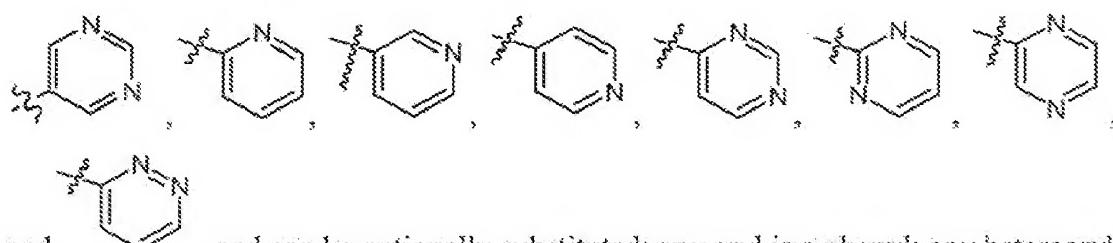
n = 0, 1, 2, 3, 4 or 5; and

any C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, heterocycle, carbocycle can be optionally substituted.

In various embodiments of Formula X: R₁, R₂, and R₃ are independently selected from: H, CO₂H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR, -C(O)N(OH)(C₁-C₆ alkyl), -C(O)N(H)(NH₂), -NR, -N(H)OR, -(CH₂)_nC(O)OR, -S(CH₂)_nCO₂H, -N(CH₂)_nCO₂H, -ON(H)(CH₂)_nCO₂H, -SO₃H, -PO₃H₂, -(CH₂)_naryl, -(CH₂)_nNH₂, -(CH₂)_nN(OH)(C₁-C₆ aryl), -NO₂, -SR, -SOR, -SO₂R, -(CH₂)_nCN, -(CH₂)_nO-carbocycle, -(CH₂)_nS-carbocycle, -(CH₂)_nS-cycloalkyl, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nS(O)₂-carbocycle, -(CH₂)_nN(H)carbocycle, and (CH₂)_nNCOCH₃; R₁, R₂, and R₃ are independently selected from: H, CO₂H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heteroaryl, heterocycle, carbocycle-C(O)R, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-

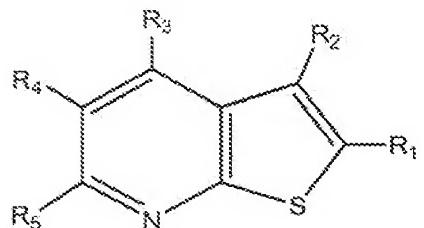
aryl, -C(O)N(H)-aryl, -OR, -C(O)N(OH)(C1-C6 alkyl), -C(O)N(H)(NH₂), -NR, -N(H)OR, -(CH₂)_nC(O)OR; R₁, R₂, and R₃ are independently selected from: H, CO₂H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle -C(O)R, -(CH₂)_nC(O)NO, -(CH₂)_nN(H)-aryl, -C(O)N(H)-aryl, -OR;

- 5 R₄ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle-C(O)R, -NR, -NOR, -NO₂, -SR, -SOR, -SO₂R; R₄ is selected from H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle-C(O)R; R₅ is selected from: H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, heterocycle, carbocycle, OH, -OR, -NR, -NOR, -NO₂, -SR, -SOR, -SO₂R; any heteroaryl is selected from:



and , and can be optionally substituted; any aryl is a phenyl; any heteroaryl contains 5 or 6 ring atoms; any heterocycle contains 5 or 6 ring atoms; any C1-C6 alkyl is methyl or ethyl; one of V, W, X and Y is N and the rest are C.

In some cases the compound of Formula IX has the structure:



- 20 Formula IXa

All indole cores can include a third fused ring

The term "halo" or "halogen" refers to any radical of fluorine, chlorine, bromine or iodine.

The term "alkyl" refers to a hydrocarbon chain that may be a straight chain or branched chain, containing the indicated number of carbon atoms. For example, C1-C12 alkyl indicates that the group may have from 1 to 12 (inclusive) carbon atoms in it (i.e., 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12). The term "haloalkyl" refers to an alkyl in which one or more hydrogen atoms are replaced by halo, and includes alkyl moieties in which all hydrogens have been replaced by halo (e.g., perfluoroalkyl). The terms "arylalkyl" or "aralkyl" refer to an alkyl moiety in which an alkyl hydrogen atom is replaced by an aryl group. Examples of "arylalkyl" or "aralkyl" include, but are not limited to, benzyl and 9-fluorenyl groups.

10

The terms "alkylamino" and "dialkylamino" refer to $-\text{NH}(\text{alkyl})$ and $-\text{N}(\text{alkyl})_2$ radicals respectively. The term "aralkylamino" refers to a $-\text{NH}(\text{aralkyl})$ radical. The term "alkoxy" refers to an $-\text{O-alkyl}$ radical. Thus, for example, alkoxy or alkoxy can refer to groups of 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms of a straight, branched, cyclic configuration and combinations thereof attached to the parent structure through an oxygen atom. Examples include, but are not limited to, methoxy, ethoxy, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy and the like. Lower-alkoxy refers to groups containing one to four carbons. The term "mercapto" refers to an SH radical. The term "thioalkoxy" refers to an $-\text{S-alkyl}$ radical.

20 The term "aryl" refers to an aromatic monocyclic, bicyclic, or tricyclic hydrocarbon ring system, wherein any ring atom capable of substitution can be substituted by a substituent. Examples of aryl moieties include, but are not limited to, phenyl, naphthyl, and anthracenyl. In a multiple ring aromatic ring system, only one ring need be aromatic. In some cases all of the rings are aromatic.

25

The term "cycloalkyl" as employed herein includes saturated monocyclic, bicyclic, tricyclic, or polycyclic hydrocarbon groups having 3 to 12 carbons, wherein any ring atom capable of substitution can be substituted by a substituent. Examples of cycloalkyl moieties include, but are not limited to, cyclopentyl, norbornyl, cyclopropyl, cyclohexyl, and adamantyl.

The term "carbocycle" as employed herein includes saturated, partially unsaturated or unsaturated monocyclic, bicyclic, tricyclic, or polycyclic hydrocarbon groups having 3 to 12 carbons, wherein any ring atom capable of substitution can be substituted by a substituent. Carbocycles can be aromatic, e.g., a phenyl group is an example of a carbocycle. A subset of carbocycles is non-aromatic carbocycles.

5 The term "acyl" refers to an alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or heteroarylcarbonyl substituent, any of which may be further substituted by substituents.

10

The term "oxy" refers to an oxygen atom, which forms a carbonyl when attached to carbon, an N-oxide when attached to nitrogen, and a sulfoxide or sulfone when attached to sulfur,

15 The term "heteroaryl" refers to a mono- and bicyclic aromatic ring system (only one ring needs to be aromatic) having from 5 to 14, preferably 5 to 10 ring atoms such as 5, 6, 7, 8, 9 or 10 ring atoms (mono- or bicyclic), in which one or more of the ring atoms are other than carbon, such as nitrogen, sulfur, oxygen as part of the ring system. Examples of heteroaryl ring systems include, but are not limited to: pyrrole, imidazole, thiophene, furan, thiazole, isothiazole, thiadiazole, oxazole, isoxazole, oxadiazole, pyridine, 20 pyrazine, pyrimidine, pyridazine, pyrazole, triazole, tetrazole, indole, isoindole, indoline (i.e., 2,3-dihydroindole), isoindoline (i.e., 1,3-dihydroisoindole), benzothiophene, benzofuran, 2,3-dihydrobenzofuran, isobenzofuran, benzodioxole, benzothiadiazole, benzotriazole, benzoxazole, 2,1,3-benzoxadiazole, benzopyrazole, 2,1,3-benzothiazole, 25 2,1,3-benzoselenadiazole, benzimidazole, indazole and benzodioxane. Additional examples are described below. Important subsets include mono-cyclic heteroaryls and bicyclic heteroaryls.

30 The term "heterocyclic" refers to unsaturated, partially saturated and fully saturated monocyclic and bicyclic rings having from 4 to 14, preferably 4 to 10 ring atoms having one or more heteroatoms (e.g., oxygen, sulfur, or nitrogen) as part of the ring system and

the remainder being carbon, such as, for example, the heteroaryl groups mentioned above as well as the corresponding partially saturated or fully saturated heterocyclic rings. Examples of saturated heterocyclic rings, but are not limited to, azetidine, pyrrolidine, piperidine, piperazine, morpholine, and thiomorpholine. Additional examples are 5 described below.

Heterocycles having a 5-membered ring include, but are not limited to: thiophene, furan, and pyrrole, thiazole, oxazole, and imidazole, isothiazole, isoxazole, and pyrazole, 1,2,3-triazole, 1,2,4-triazole, tetrazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 10 1,3,4-oxadiazole, 1,2,3,4-oxatriazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-thiadiazole, and 1,2,3,4-thiadiazole.

Saturated 5 or 6-membered ring heterocycles include, but are not limited to: piperidine and substituted piperidine; pyrrolidine and substituted pyrrolidine; azetidine and substituted azetidine; piperazine and substituted piperazine; morpholine and substituted morpholine; thiomorpholine and substituted thiomorpholine and their sulfoxide and sulfone derivatives; thioethers, substituted thioethers, their sulfoxides and sulfones; ethers and substituted ethers; 1,4-thioether-ethers and 1,4-dioxane derivatives; 1,4-bis-thioethers, their sulfoxides and sulfones. Also included are tetrahydrofuran, 15 dihydrofuran, tetrahydrothiophene, dihydrothiophene, piperidine, dihydropyrrole, 1,3-dithiolane, 1,2-dithiolane, isoxazolidine, isothiazolidine, pyrazolidine, tetrahydro-2H-pyran, tetrahydro-2H-thiopyran, 3,6-dihydro-2H-thiopyran, 3,4-dihydro-2H-thiopyran, 20 piperidine, 1,2,3,6-tetrahydropyridine, 1,2,3,4-tetrahydropyridine, morpholine, thiomorpholine, piperazine, thiomorpholine 1-oxide, thiomorpholine 1,1-dioxide, and the like.

The 6-membered ring heteroaryls include, but are not limited to: pyridine; pyrimidine; pyrazine; pyridazine; 1,2,3-triazine; 1,2,4-triazine; 1,3,5-triazine; 1,2,3,4-tetrazine; 1,2,3,5-tetrazine; 1,2,4,5-tetrazine; and pentazine.

Carbocycles include, but are not limited to: cyclohexyl and substituted cyclohexyl; cyclopentyl and substituted cyclopentyl; cyclobutyl and substituted cyclobutyl; cyclopropyl and substituted cyclopropyl; cyclohexenyl and substituted cyclohexenyl; cyclopentenyl and substituted cyclopentenyl; and cyclobutenyl and substituted cyclobutenyl.

The 6, 5-fused heteroaromatic ring systems having 1, 2, 3 or 4 heteroatoms independently selected from N, O and S, include, but are not limited to: 1,3-benzoxazole-2-yl; 1,3-benzoxazole-4-yl; 1,3-benzoxazole-5-yl; 1,3-benzoxazole-6-yl; 1,3-benzoxazole-7-yl; 1,3-benzothiazole-2-yl; 1,3-benzothiazole-4-yl; 1,3-benzothiazole-5-yl; 1,3-benzothiazole-6-yl; 1,3-benzothiazole-7-yl; [1,3]thiazolo[4,5-b]pyridine-2-yl; [1,3]thiazolo[4,5-b]pyridine-5-yl; [1,3]thiazolo[4,5-b]pyridine-7-yl; [1,3]thiazolo[4,5-c]pyridine-2-yl; [1,3]thiazolo[4,5-c]pyridine-4-yl; [1,3]thiazolo[4,5-c]pyridine-7-yl; [1,3]thiazolo[4,5-c]pyridine-4-yl; [1,3]thiazolo[4,5-c]pyridine-5-yl; [1,3]thiazolo[5,4-c]pyridine-2-yl; [1,3]thiazolo[5,4-c]pyridine-7-yl; [1,3]thiazolo[5,4-c]pyridine-5-yl; [1,3]thiazolo[5,4-c]pyridine-4-yl; [1,3]thiazolo[5,4-b]pyridine-2-yl; [1,3]thiazolo[5,4-b]pyridine-7-yl; [1,3]thiazolo[5,4-b]pyridine-5-yl; [1,3]thiazolo[5,4-b]pyridine-6-yl; [1,3]thiazolo[5,4-b]pyridine-3-yl; [1,3]thiazolo[4,5-b]pyrazine-2-yl; [1,3]thiazolo[4,5-b]pyrazine-5-yl; [1,3]thiazolo[4,5-b]pyrazine-6-yl; [1,3]thiazolo[5,4-a]pyrimidine-2-yl; [1,3]thiazolo[5,4-a]pyrimidine-7-yl; [1,3]thiazolo[5,4-a]pyrimidine-5-yl; [1,3]thiazolo[4,5-d]pyrimidine-2-yl; [1,3]thiazolo[4,5-d]pyrimidine-5-yl; [1,3]thiazolo[4,5-d]pyrimidine-7-yl; [1,3]thiazolo[4,5-c]pyridazine-2-yl; [1,3]thiazolo[4,5-c]pyridazine-5-yl; [1,3]thiazolo[4,5-c]pyridazine-4-yl; [1,3]thiazolo[4,5-d]pyridazine-2-yl; [1,3]thiazolo[4,5-d]pyridazine-4-yl; [1,3]thiazolo[4,5-d]pyridazine-7-yl; [1,3]thiazolo[5,4-c]pyridazine-6-yl; [1,3]thiazolo[5,4-c]pyridazine-4-yl; [1,3]thiazolo[5,4-c]pyridazine-3-yl; [1,3]oxazolo[5,4-c]pyridazine-6-yl; [1,3]oxazolo[5,4-c]pyridazine-4-yl; [1,3]oxazolo[5,4-c]pyridazine-3-yl; [1,3]oxazolo[4,5-d]pyridazine-2-yl; [1,3]oxazolo[4,5-d]pyridazine-4-yl; [1,3]oxazolo[4,5-d]pyridazine-7-yl; [1,3]oxazolo[4,5-c]pyridazine-6-yl; [1,3]oxazolo[4,5-c]pyridazine-3-yl; [1,3]oxazolo[4,5-c]pyridazine-4-yl; [1,3]oxazolo[4,5-d]pyrimidine-2-yl; [1,3]oxazolo[4,5-d]pyrimidine-5-yl; [1,3]oxazolo[4,5-d]pyrimidine-7-yl; [1,3]oxazolo[5,4-d]pyrimidine-2-yl;

[1,3]oxazolo[5,4-d]pyrimidine-7-yl; [1,3]oxazolo[5,4-d]pyrimidine-5-yl;
[1,3]oxazolo[4,5-b]pyrazine-2-yl; [1,3]oxazolo[4,5-b]pyrazine-5-yl; [1,3]oxazolo[4,5-b]pyrazine-6-yl; [1,3]oxazolo[4,5-b]pyridine-2-yl; [1,3]oxazolo[4,5-b]pyridine-5-yl;
[1,3]oxazolo[4,5-b]pyridine-6-yl; [1,3]oxazolo[4,5-b]pyridine-7-yl; [1,3]oxazolo[4,5-c]pyridine-2-yl; [1,3]oxazolo[4,5-c]pyridine-4-yl; [1,3]oxazolo[4,5-c]pyridine-6-yl;
[1,3]oxazolo[4,5-c]pyridine-7-yl; [1,3]oxazolo[5,4-c]pyridine-2-yl, [1,3]oxazolo[5,4-c]pyridine-7-yl, [1,3]oxazolo[5,4-c]pyridine-6-yl, [1,3]oxazolo[5,4-c]pyridine-4-yl;
[1,3]oxazolo[5,4-b]pyridine-2-yl, [1,3]oxazolo[5,4-b]pyridine-7-yl, [1,3]oxazolo[5,4-b]pyridine-6-yl, [1,3]oxazolo[5,4-b]pyridine-5-yl; furo[2,3-b]pyridine-2-yl, furo[2,3-b]pyridine-3-yl, furo[2,3-b]pyridine-4-yl, furo[2,3-b]pyridine-5-yl, furo[2,3-b]pyridine-6-yl; furo[2,3-c]pyridine-2-yl, furo[2,3-c]pyridine-3-yl, furo[2,3-c]pyridine-4-yl, furo[2,3-c]pyridine-5-yl, furo[2,3-c]pyridine-7-yl; furo[3,2-c]pyridine-2-yl, furo[3,2-c]pyridine-3-yl, furo[3,2-c]pyridine-4-yl, furo[3,2-c]pyridine-6-yl, furo[3,2-c]pyridine-7-yl; furo[3,2-b]pyridine-2-yl, furo[3,2-b]pyridine-3-yl, furo[3,2-b]pyridine-5-yl, furo[3,2-b]pyridine-6-yl, furo[3,2-b]pyridine-7-yl; thieno[3,2-d]pyrimidine-6-yl, thieno[3,2-d]pyrimidine-7-yl, thieno[3,2-d]pyrimidine-2-yl, thieno[3,2-d]pyrimidine-4-yl; thieno[2,3-d]pyrimidine-6-yl, thieno[2,3-d]pyrimidine-5-yl, thieno[2,3-d]pyrimidine-4-yl, thieno[2,3-d]pyrimidine-2-yl; thieno[2,3-c]pyridazine-6-yl, thieno[2,3-c]pyridazine-5-yl, thieno[2,3-c]pyridazine-4-yl, thieno[2,3-c]pyridazine-3-yl; thieno[2,3-d]pyridazine-2-yl, thieno[2,3-d]pyridazine-3-yl, thieno[2,3-d]pyridazine-4-yl, thieno[2,3-d]pyridazine-5-yl, thieno[2,3-d]pyridazine-6-yl, thieno[2,3-d]pyridazine-7-yl; thieno[3,2-c]pyridazine-7-yl, thieno[3,2-c]pyridazine-3-yl, thieno[3,2-c]pyridazine-4-yl; thieno[2,3-b]pyrazine-6-yl, thieno[2,3-b]pyrazine-7-yl, thieno[2,3-b]pyrazine-2-yl, thieno[2,3-b]pyrazine-3-yl; thieno[3,2-b]pyridine-2-yl, thieno[3,2-b]pyridine-3-yl, thieno[3,2-b]pyridine-5-yl, thieno[3,2-b]pyridine-6-yl, thieno[3,2-b]pyridine-7-yl; thieno[3,2-c]pyridine-2-yl, thieno[3,2-c]pyridine-3-yl, thieno[3,2-c]pyridine-4-yl, thieno[3,2-c]pyridine-6-yl, thieno[3,2-c]pyridine-7-yl; thieno[2,3-c]pyridine-3-yl, thieno[2,3-c]pyridine-4-yl, thieno[2,3-c]pyridine-5-yl, thieno[2,3-c]pyridine-7-yl; thieno[2,3-b]pyridine-2-yl, thieno[2,3-b]pyridine-3-yl, thieno[2,3-b]pyridine-4-yl, thieno[2,3-b]pyridine-5-yl, thieno[2,3-b]pyridine-6-yl; 1-benzothiophene-2-yl, 1-benzothiophene-3-yl, 1-benzothiophene-4-yl, 1-benzothiophene-5-yl, 1-benzothiophene-6-yl, 1-benzothiophene-7-yl; 1H-benzimidazole-2-yl, 1H-

benzimidazole-1-yl, 1H-benzimidazole-4-yl, 1H-benzimidazole-5-yl; 3H-imidazo[4,5-b]pyridine-2-yl, 3H-imidazo[4,5-b]pyridine-1-yl, 3H-imidazo[4,5-b]pyridine-7-yl, 3H-imidazo[4,5-b]pyridine-6-yl, 3H-imidazo[4,5-b]pyridine-5-yl; 3H-imidazo[4,5-c]pyridine-2-yl, 3H-imidazo[4,5-c]pyridine-1-yl, 3H-imidazo[4,5-c]pyridine-7-yl, 3H-imidazo[4,5-c]pyridine-6-yl, 3H-imidazo[4,5-c]pyridine-4-yl; 7H-imadazo[4,5-c]pyridazine-6-yl, 7H-imadazo[4,5-c]pyridazine-7-yl, 7H-imadazo[4,5-c]pyridazine-4-yl, 7H-imadazo[4,5-c]pyridazine-3-yl; 1H-imadazo[4,5-d]pyridazine-2-yl, 1H-imadazo[4,5-d]pyridazine-1-yl, 1H-imadazo[4,5-d]pyridazine-4-yl; 7H-purine-8-yl, 7H-purine-7-yl, 7H-purine-2-yl, 7H-purine-6-yl; 1H-imadazo[4,5-b]pyrazine-2-yl, 1H-imadazo[4,5-b]pyrazine-1-yl, 1H-imadazo[4,5-b]pyrazine-5-yl; 1H-indole-2-yl, 1H-indole-1-yl, 1H-indole-3-yl, 1H-indole-4-yl, 1H-indole-5-yl, 1H-indole-6-yl, 1H-indole-7-yl; 1H-pyrrolo[3,2-b]pyridine-2-yl, 1H-pyrrolo[3,2-b]pyridine-1-yl, 1H-pyrrolo[3,2-b]pyridine-3-yl, 1H-pyrrolo[3,2-b]pyridine-5-yl, 1H-pyrrolo[3,2-b]pyridine-6-yl, 1H-pyrrolo[3,2-b]pyridine-7-yl; 1H-pyrrolo[3,2-c]pyridine-2-yl, 1H-pyrrolo[3,2-c]pyridine-1-yl, 1H-pyrrolo[3,2-c]pyridine-3-yl 1H-pyrrolo[3,2-c]pyridine-4-yl, 1H-pyrrolo[3,2-c]pyridine-6-yl, 1H-pyrrolo[3,2-c]pyridine-7-yl; 1H-pyrrolo[2,3-c]pyridine-2-yl, 1H-pyrrolo[2,3-c]pyridine-1-yl, 1H-pyrrolo[2,3-c]pyridine-5-yl, 1H-pyrrolo[2,3-c]pyridine-7-yl; 1H-pyrrolo[2,3-b]pyridine-2-yl, 1H-pyrrolo[2,3-b]pyridine-3-yl, 1H-pyrrolo[2,3-b]pyridine-4-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, 1H-pyrrolo[2,3-b]pyridine-6-yl; 1H-pyrrolo[2,3-b]pyridine-7-yl; 5H-pyrrolo[3,2-c]pyridazine-6-yl, 5H-pyrrolo[3,2-c]pyridazine-5-yl, 5H-pyrrolo[3,2-c]pyridazine-7-yl, 5H-pyrrolo[3,2-c]pyridazine-3-yl, 5H-pyrrolo[3,2-c]pyridazine-4-yl; 7H-pyrrolo[2,3-c]pyridazine-6-yl, 7H-pyrrolo[2,3-c]pyridazine-7-yl, 7H-pyrrolo[2,3-c]pyridazine-5-yl, 7H-pyrrolo[2,3-c]pyridazine-3-yl; 5H-pyrrolo[2,3-b]pyrazine-6-yl, 5H-pyrrolo[2,3-b]pyrazine-5-yl, 5H-pyrrolo[2,3-b]pyrazine-7-yl, 5H-pyrrolo[2,3-b]pyrazine-2-yl, 5H-pyrrolo[2,3-b]pyrazine-3-yl; 5H-pyrrolo[3,2-d]pyrimidine-6-yl, 5H-pyrrolo[3,2-d]pyrimidine-5-yl, 5H-pyrrolo[3,2-d]pyrimidine-7-yl, 5H-pyrrolo[3,2-d]pyrimidine-2-yl, 5H-pyrrolo[3,2-d]pyrimidine-4-yl; 7H-pyrrolo[2,3-d]pyrimidine-6-yl, 7H-pyrrolo[2,3-d]pyrimidine-7-yl, 7H-pyrrolo[2,3-d]pyrimidine-4-yl;

d]pyrimidine-5-yl, 7H-pyrrolo[2,3-d]pyrimidine-4-yl, and 7H-pyrrolo[2,3-d]pyrimidine-2-yl.

Among 6, 6-fused heteroaromatic ring systems having 1, 2, 3 or 4 heteroatoms independently selected from N, O and S, include, but are not limited to: 2-quinolyl, 3-quinolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 7-quinolyl, 8-quinolyl, 1-isoquinolyl, 3-isoquinolyl, 4-isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 7-isoquinolyl, 8-isoquinolyl, 3-cinnolyl, 4-cinnolyl, 5-cinnolyl, 6-cinnolyl, 7-cinnolyl, 8-cinnolyl, 2-quinazolyl, 4-quinazolyl, 5-quinazolyl, 6-quinazolyl, 7-quinazolyl, 8-quinazolyl, 2-quinoxalyl, 3-quinoxalyl, 5-quinoxalyl, 6-quinoxalyl, 7-quinoxalyl, 8-quinoxalyl, 1,5-naphthyrid-2-yl, 1,5-naphthyrid-3-, 1,5-naphthyrid-4-yl, 1,6-naphthyrid-2-yl, 1,6-naphthyrid-3-yl, 1,6-naphthyrid-4-yl, 1,6-naphthyrid-5-yl, 1,6-naphthyrid-7-yl, 1,6-naphthyrid-8-yl, 1,7-naphthyrid-2-yl, 1,7-naphthyrid-3-yl, 1,7-naphthyrid-4-yl, 1,7-naphthyrid-5-yl, 1,7-naphthyrid-6-yl, 1,7-naphthyrid-8-yl, 1,8-naphthyrid-2-yl, 1,8-naphthyrid-3-yl, and 1,8-naphthyrid-4-yl.

The term "substituents" refers to a group "substituted" on an alkyl, cycloalkyl, alkenyl, alkynyl, heterocyclyl, heterocycloalkenyl, cycloalkenyl, aryl, or heteroaryl group or other group at any atom of the group. The group can be singly or multiply substituted and where multiply substituted, the substituents are independent. Suitable substituents include, without limitation, : F, Cl, Br, I, alkyl, alkenyl, alkynyl, alkoxy, acyloxy, halo, hydroxy, cyano, nitro, amino, SO₃H, sulfate, phosphate, perfluoroalkyl, perfluoroalkoxy, methylenedioxy, ethylenedioxy, carboxyl, oxo, thioxo, imino (alkyl, aryl, aralkyl), S(O)_nalkyl (where n is 0-2), S(O)_naryl (where n is 0-2), S(O)_nheteroaryl (where n is 0-2), S(O)_nheterocyclyl (where n is 0-2), amine (mono-, di-, alkyl, cycloalkyl, aralkyl, heteroaralkyl, and combinations thereof), ester (alkyl, aralkyl, heteroaralkyl), amide (mono-, di-, alkyl, aralkyl, heteroaralkyl, and combinations thereof), sulfonamide (mono-, di-, alkyl, aralkyl, heteroaralkyl, and combinations thereof), unsubstituted aryl, unsubstituted heteroaryl, unsubstituted heterocyclyl, and unsubstituted cycloalkyl. In one aspect, the substituents on a group are independently any one single, or any subset of the aforementioned substituents. In some cases the substituents are selected from: F, Cl, Br

and I. In other cases the substituents are selected from: halogen, optionally independently halogen substituted C1-C3 alkyl, optionally independently halogen substituted C1-C3 alkoxy, hydroxy, cyano, nitro and amino. In some cases the substituents are selected from aryl groups. In some cases the substituents are selected 5 from heteroaryl groups. In some cases substituents are selected from: halogen, hydroxy, and C1-C3 alkyl. In some cases substituents are selected from: halogen, hydroxy, and C1-C3 alkyl and C1-C3 alkoxy.

Salts, particularly physiologically acceptable salts, and solvates of the compounds having 10 are disclosed. Solvates are forms of the compounds in which the compound forms a complex with solvent molecules by coordination in the solid or liquid states. Hydrates are a special form of solvate in which the compound is coordinated with water. The pharmacologically acceptable addition salts as mentioned above are meant to comprise the therapeutically active non-toxic acid and base addition salt forms that the compounds 15 are able to form. Compounds that have basic properties can be converted to their pharmaceutically acceptable acid addition salts by treating the base form with an appropriate acid. Exemplary acids include inorganic acids, such as hydrogen chloride, hydrogen bromide, hydrogen iodide, sulphuric acid, phosphoric acid; and organic acids such as acetic acid, propanoic acid, hydroxyacetic acid, lactic acid, pyruvic acid, glycolic 20 acid, maleic acid, malonic acid, oxalic acid, benzenesulphonic acid, toluenesulphonic acid, methanesulphonic acid, trifluoroacetic acid, fumaric acid, succinic acid, malic acid, tartaric acid, citric acid, salicylic acid, p aminosalicylic acid, pamoic acid, benzoic acid, ascorbic acid and the like. Exemplary base addition salt forms are the sodium, potassium, calcium salts, and salts with pharmaceutically acceptable amines such as, for example, 25 ammonia, alkylamines, benzathine, and amino acids, such as, e.g. arginine and lysine. The term addition salt as used herein also comprises solvates which the compounds and salts thereof are able to form, such as, for example, hydrates, alcoholates and the like. Certain compounds may exist in stereoisomeric forms such as enantiomers, diastereomers and mixtures thereof. Mixtures can be separated into stereoisomerically pure 30 constituents.

Certain compounds may be tautomeric and various tautomeric mixtures can be useful.

Also described is a pharmaceutical composition comprising a compound described herein and a method for preparing a pharmaceutical composition comprising admixing a

5 compound described herein and a pharmaceutically acceptable carrier.

Also described are methods for treating a patient for anxiety, depression, bipolar disorder, obesity, pain or a sleep disorder, comprising administering a compound described herein in an effective amount.

10

Disclosed herein are: a pharmaceutical composition comprising one or more of the compounds, e.g., a compound that inhibits FAAH, described herein and a pharmaceutically acceptable carrier; a method for treating pain (e.g. neuropathic pain) and/or inflammation comprising administering a compound described herein or a

15 pharmaceutical composition comprising a compound described herein; a method for treating anxiety comprising administering a compound described herein or a pharmaceutical composition comprising a compound described herein; a method for

treating depression comprising administering a compound described herein or a pharmaceutical composition comprising a compound described herein; a method for

20 treating a sleep disorder comprising administering a compound described herein or a pharmaceutical composition comprising a compound described herein; a method for

treating hypertension comprising administering a compound described herein or a pharmaceutical composition comprising a compound described herein; a method for

treating a gastrointestinal disorder (e.g. diarrhea and inflammatory bowel disorder)

25 comprising administering a compound described herein or a pharmaceutical composition comprising a compound described herein; and a method for treating obesity comprising administering a compound described herein or a pharmaceutical

composition comprising a compound described herein.

30 Useful compounds include a prodrug of a compound described herein having a hydroxyl moiety wherein the prodrug of a hydroxy moiety is selected from: (a) an ester having a C₁

to C₆ branched or straight chain alkyl group, (b) phosphate ester having C₁ to C₆ branched or straight chain alkyl groups, (c) a carbamate having C₁ to C₆ branched or straight chain alkyl groups, and (d) a carbonate group having a C₁ to C₆ branched or straight chain alkyl group.

- 5 Certain compounds described herein inhibit human FAAH (sometimes called FAAH-1) activity without significantly inhibiting the the activity of human FAAH-2. Thus, some compounds have an IC₅₀ for FAAH-2 that is 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ for FAAH-1.

10 Inhibition of hERG potassium channels can cause heart arrhythmia. Certain compounds described herein inhibit human FAAH without inhibiting hERG potassium channels *in vitro* (for example, when tested between 3 and 30 μ m) and/or *in vivo*.

15 Certain compounds described herein can have activity towards enzymes other than FAAH. For example, certain inhibitors of FAAH can inhibit COX-1, COX-2, DAO, DP-1, TXA2, CB1/CB2, MAGL, cysLT2, chemerinR and/or CRTH2. In some cases a compound described herein is not an inhibitor of FAAH, but does inhibit one or more of COX-1, COX-2, DAO, DP-1, TXA2, CB1/CB2, MAGL, cysLT2, chemerinR and CRTH2. In some cases the compound activates CRTH2.

20 In certain embodiments, the compounds that inhibit FAAH are selective for inhibition of FAAH relative to COX-1, COX-2, DAO, DP-1, TXA2, CB1/CB2, MAGL, cysLT2, chemerinR and/or CRTH2. Thus, in some cases the IC₅₀ of a compound towards COX-1 is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. In some cases the IC₅₀ of a compound towards COX-2 is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. In some cases the IC₅₀ of a compound towards DAO is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. Thus, in some cases the IC₅₀ of a compound towards TXA2 is at least 5, 10, 15,

20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. In some cases the IC₅₀ of a compound towards CB1/CB2 is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. In some cases the IC₅₀ of a compound towards MAGL is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound
5 towards FAAH. In some cases the IC₅₀ of a compound towards cysLT2 is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. In some cases the IC₅₀ of a compound towards chemerinR is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH. In some cases the IC₅₀ of a compound
10 towards CRTH2 is at least 5, 10, 15, 20, 50, 100, 500 or 1000 times the IC₅₀ of a compound towards FAAH.

Certain of the compounds that inhibit FAAH are selective and do not significantly inhibit one or more of tubulin, PDE4 and PLA2. The selective compounds have an IC₅₀ of a compound towards one or more of tubulin, PDE4 and PLA2 that is at least 500, 1000 or
15 10,000 times the IC₅₀ of a compound towards FAAH.

In some embodiments, the composition is administered to a patient that is not being treated with a non-selective NSAID, e.g., a patient that is not being treated with indomethacin.

20 In certain embodiments the compounds are administered in combination with a second compound useful for reducing inflammation or pain.

The subject can be a mammal, preferably a human. Identifying a subject in need of such treatment can be in the judgment of a subject or a health care professional and can be subjective (e.g., opinion) or objective (e.g., measurable by a test or diagnostic method).
25 The term "treating" or "treated" refers to administering a compound described herein to a subject with the purpose to cure, heal, alleviate, relieve, alter, remedy, ameliorate, improve, or affect a disease, the symptoms of the disease or the predisposition toward the disease.

"An effective amount" refers to an amount of a compound that confers a therapeutic effect on the treated subject. The therapeutic effect may be objective (i.e., measurable by some test or marker) or subjective (i.e., subject gives an indication of or feels an effect).

An effective amount of the compound described above may range from about 0.05

5 mg/Kg to about 500 mg/Kg, alternatively from about 1 to about 50 mg/Kg. Effective doses will also vary depending on route of administration, as well as the possibility of co-usage with other agents.

The term "mammal" includes, for example, mice, hamsters, rats, cows, sheep, pigs, goats, and horses, monkeys, dogs (e.g., *Canis familiaris*), cats, rabbits, guinea pigs, and

10 primates, including humans.

The term "prodrug" refers to compounds which are drug precursors which, following administration and absorption, release the drug *in vivo* through a metabolic process.

Exemplary prodrugs include acyl amides of the amino compounds described herein such as amides of alcanoic (C₁ to C₆) acids, amides of aryl acids (e.g., benzoic acid) and

15 alkane (C₁ to C₆)dioic acids.

Also described are prodrugs that are converted *in vivo* so that R₅ becomes a hydroxyl group. Thus, in the prodrug form of the compounds having Formula I or Formula II, R₅ is a group that is converted to a hydroxyl group. For example, in a prodrug form of the compounds having Formula I or Formula II, R₅ can be a carbonate, ester, carbamate,

20 phosphate ester or a similar group.

The details of one or more embodiments of the invention are set forth herein. Other features, objects, and advantages of the invention will be apparent from the description and drawings, and from the claims. The patents, patent applications, and publications referenced herein are hereby incorporated by reference in their entirety.

25

DESCRIPTION OF DRAWINGS

FIG. 1 is a table that provides COX-1 IC₅₀ (purified enzyme assay) and COX-2 IC₅₀ (purified enzyme assay) for a number of compounds. All numbers are in μM units.

5 FIG 2a is a table that provides CRTH2 activity data for a number of compounds which are CRTH2 agonists. Compounds were tested for CRTH2 agonist activity at 10 and 1 μM .

10 FIG 2b is a table that provides CRTH2 activity data for a number of compounds, some of which are CRTH2 antagonists. Compounds were tested for CRTH2 antagonist activity at 10 μM .

FIG. 3 is a table that provides COX, FAAH, CRTH2, DAO, and DP-1 activity data for a number of compounds.

15 FIG. 4 is a table that provides DAO activity data for a number of compounds.

FIG. 5 is a table that provides DAO, FAAH, and COX activity data for a number of compounds.

20 FIG. 6 is a table that provides COX activity data for a number of compounds.

FIG. 7 is a table that provides CRTH2 activity data for a number of compounds.

25 FIG. 8A and FIG. 8B are tables that provide DAO and DP-1 activity data for a number of compounds.

FIGS. 9A, 9B, 9C and 9D are tables that provide FAAH activity data for a number of compounds. The values in these figures are based on the results of between 1 and 6 or more experiments.

30 FIG. 10 is a table that provides TXA₂ activity data for a number of compounds.

DETAILED DESCRIPTION

Certain compounds described herein can have activity towards enzymes/proteins other than FAAH. For example, certain inhibitors of FAAH can inhibit COX-1, COX-2, DAO, DP-1, TXA2, CB1/CB2, MAGL, cysLT2, chemerin R, and/or CRTH2. In some cases a compound described herein is not an inhibitor of FAAH, but does inhibit one or more of COX-1, COX-2, DAO, DP-1, TXA2, CB1/CB2, MAGL, cysLT2, chemerinR and/or CRTH2.

10

Certain compounds are expected to have an increased half-life in the human body compared to certain structurally related compounds. Certain compounds are expected to have reduced renal and/or gastric toxicity compared to certain structurally related compounds.

15

Examples

Certain useful compounds are described below.

{1-[{(5-chlorothien-2-yl)carbonyl]-5-hydroxy-2-methyl-*1H*-indol-3-yl}acetic acid

mp 195 °C

20 ^1H NMR ($\text{CDCl}_3/300 \text{ MHz}$) 7.43 (d, 1H, $J = 4.2 \text{ Hz}$), 7.13-7.10 (m, 2H), 6.87 (d, 1H, $J = 2.1 \text{ Hz}$), 6.61 (dd, 1H, $J = 8.7, 2.1 \text{ Hz}$), 3.66 (s, 2H), 2.38 (s, 3H).

{1-[{(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic acid

25 mp 169 °C

^1H NMR ($\text{CDCl}_3/300 \text{ MHz}$) 7.35 (d, 1H, $J = 4.0 \text{ Hz}$), 7.09 (d, 1H, $J = 11.7 \text{ Hz}$), 7.00 (d, 1H, $J = 7.2 \text{ Hz}$), 6.98 (d, 1H, $J = 4.0 \text{ Hz}$), 3.93 (s, 3H), 3.70 (s, 2H), 2.42 (s, 3H).

30 {1-[{(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl}acetic acid

mp 174 °C

¹H NMR (CDCl₃/300 MHz) 7.34 (d, 1H, *J* = 3.9 Hz), 7.13 (d, 1H, *J* = 11.1 Hz), 7.07 (d, 1H, *J* = 8.4 Hz), 6.98 (d, 1H, *J* = 3.9 Hz), 3.66 (s, 2H), 2.39 (s, 3H).

5

[6-fluoro-5-methoxy-2-methyl-1-(thien-2-ylcarbonyl)-*1H*-indol-3-yl]acetic acid

mp 137 °C

¹H NMR (CDCl₃/300 MHz) 7.77 (dd, 1H, *J* = 5.0, 1.2 Hz), 7.54 (dd, 1H, *J* = 3.9, 1.2 Hz), 7.15 (dd, 1H, *J* = 5.0, 3.9 Hz), 7.01 (d, 1H, *J* = 12.0 Hz), 7.00 (d, 1H, *J* = 8.1 Hz), 3.92 (s, 3H), 3.69 (s, 2H), 2.41 (s, 3H).

10

{6-fluoro-5-methoxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*1H*-indol-3-yl}acetic acid

15

mp 152 °C

¹H NMR (CDCl₃/300 MHz) 7.35 (d, 1H, *J* = 3.9 Hz), 7.06 (d, 1H, *J* = 12.3), 6.99 (d, 1H, *J* = 8.1 Hz), 6.81 (d, 1H, *J* = 3.9 Hz), 3.92 (s, 3H), 3.68 (s, 2H), 2.60 (s, 3H), 2.42 (s, 3H).

20

{6-fluoro-5-hydroxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*1H*-indol-3-yl}acetic acid

mp 197 °C

¹H NMR (CD₃OD/300 MHz) 7.40 (d, 1H, *J* = 4.0 Hz), 6.99 (d, 1H, *J* = 8.7 Hz), 6.98 (d, 1H, *J* = 11.7 Hz), 6.93 (d, 1H, *J* = 4.0 Hz), 3.64 (s, 2H), 2.62 (s, 3H), 2.34 (s, 3H).

25

[6-fluoro-5-hydroxy-2-methyl-1-(thien-2-ylcarbonyl)-*1H*-indol-3-yl]acetic acid

mp 219 °C

¹H NMR (CD₃OD/300 MHz) 7.97 (dd, 1H, *J* = 5.1, 1.2 Hz), 7.59 (dd, 1H, *J* = 3.9, 1.2 Hz), 7.22 (dd, 1H, *J* = 5.1, 3.9 Hz), 7.00 (d, 1H, *J* = 8.7 Hz), 6.94 (d, 1H, *J* = 12.0 Hz), 3.65 (s, 2H), 2.32 (s, 3H).

[1-(cyclohexylcarbonyl)-5-methoxy-2-methyl-*IH*-indol-3-yl]acetic acid

mp 129 °C

- 5 ¹H NMR (CDCl₃/300 MHz) 7.62 (d, 1H, *J* = 9.0 Hz), 6.93 (d, 1H, *J* = 2.7), 6.86 (dd, 1H, *J* = 9.0, 2.7 Hz), 3.85 (s, 3H), 3.67 (s, 2H), 3.18 (m, 1H), 2.04-1.32 (m, 10H).
-

[1-(cyclohexylcarbonyl)-5-hydroxy-2-methyl-*IH*-indol-3-yl]acetic acid

- 10 ¹H NMR (CDCl₃/300 MHz) 7.50 (d, 1H, *J* = 9.0 Hz), 6.95 (d, 1H, *J* = 2.1), 6.73 (dd, 1H, *J* = 9.0, 2.1 Hz), 3.53 (s, 2H); 3.12 (m, 1H), 2.49 (s, 3H), 2.00-1.05 (m, 10H).
-

{1-[(6-chloropyridin-3-yl)carbonyl]-5-methoxy-2-methyl-*IH*-indol-3-yl}acetic acid

- 15 mp 153 °C

¹H NMR (CDCl₃/300 MHz) 8.71 (d, 1H, *J* = 2.7 Hz), 8.27 (dd, 1H, *J* = 8.1, 2.7 Hz), 7.98 (dd, 1H, *J* = 8.1, 2.7 Hz), 7.48 (d, 1H, *J* = 8.7 Hz), 6.97 (d, 1H, *J* = 2.4 Hz), 6.76 (dd, 1H, *J* = 8.7, 2.4 Hz), 3.84 (s, 3H), 3.71 (s, 2H), 2.41 (s, 3H).

20

[1-(cyclohexylcarbonyl)-6-fluoro-5-methoxy-2-methyl-*IH*-indol-3-yl]acetic acid

mp 104 °C

¹H NMR (CDCl₃/300 MHz) 7.72 (d, 1H, *J* = 12.9 Hz), 7.13 (d, 1H, *J* = 8.1), 3.91 (s, 3H), 3.69 (s, 2H), 3.23 (m, 1H), 2.56 (s, 3H), 2.05-1.27 (m, 10H).

25

[5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*IH*-indol-3-yl]acetic acid

yellow oil

30 ¹H NMR (CDCl₃/300 MHz) 7.16 (d, 1H, *J* = 9.0 Hz), 6.96 (d, 1H, *J* = 2.7), 6.81 (dd, 1H, *J* = 9.0, 2.7 Hz), 3.83 (s, 3H), 3.66 (s, 2H), 3.58-3.30 (m, 4H), 2.40 (s, 3H), 1.70-1.55 (m, 6H).

[5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-1*H*-indol-3-yl]acetic acid

mp 235 °C

- 5 ¹H NMR (CDCl₃/300 MHz) 6.99 (d, 1H, *J* = 8.7 Hz), 6.79 (s, 1H), 6.64 (d, 1H, *J* = 8.7 Hz), 3.47 (s, 2H), 3.47-3.30 (m, 4H), 2.33 (s, 3H), 1.72-1.43 (m, 6H).
-

2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-N-pyridin-2-ylacetamide

- 10 ¹H NMR (CDCl₃/300 MHz) 9.38(bs, 1H), 8.40-8.34(m, 2H), 7.82-7.73(m, 2H), 7.30-7.25(m, 2H), 7.09(d, 2H, *J* = 8.1 Hz), 6.94(d, 2H, *J* = 8.4 Hz), 6.88-6.84(m, 1H), 5.32(s, 2H), 3.86(s, 3H), 2.67(s, 3H).
-

2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-N-pyridin-2-ylacetamide

- 15 ¹H NMR (CDCl₃/300 MHz) 9.98(bs, 1H), 9.02(s, 1H), 8.40(d, 1H, *J* = 4.2Hz), 8.30(d, 1H, *J*=8.4Hz), 7.99(d, 1H, *J*=2.7Hz), 7.77(td, 1H, *J*=1.5, 8.1Hz), 7.31(d, 2H, *J* = 8.4 Hz), 7.16-7.10(m, 3H), 6.92(dd, 1H, *J* = 2.7, 9.0 Hz), 5.35(s, 2H), 3.93(s, 3H).
-

2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-N-cyclohexyl-2-oxoacetamide

- 20 ¹H NMR (CDCl₃/300MHz) 7.73(d, 1H, *J* = 2.7Hz), 7.26(d, 2H, *J* = 8.7Hz), 7.07(d, 1H, *J* = 9.0Hz), 6.93(d, 2H, *J* = 8.7Hz), 6.84(dd, 1H, *J* = 2.7, 9.0Hz), 6.74(brd, 1H, *J* = 8.4Hz), 5.30(s, 2H), 3.88(m, 1H), 3.87(s, 3H), 2.64(s, 3H), 2.05-1.10(m, 10H).
-

2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-N-cyclopropyl-2-oxoacetamide

- 25 ¹H NMR (CDCl₃/300MHz) 7.72(d, 1H, *J* ≈ 2.1Hz), 7.26(d, 2H, *J* = 8.7Hz), 7.07(d, 1H, *J* = 9.0Hz), 6.92(d, 2H, *J* = 8.7Hz), 6.83(dd, 1H, *J* = 2.1, 9.0Hz), 5.29(s, 2H), 3.87(s, 3H), 2.88(m, 1H), 2.63(s, 3H), 0.91(m, 2H), 0.65(m, 2H).
-

[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl](oxo)acetic acid

- 30 ¹H NMR (CDCl₃/300MHz) 7.92(d, 1H, *J* = 2.7Hz), 7.28(d, 2H, *J* = 8.7Hz), 7.12(d, 1H, *J* = 8.7Hz), 6.94(d, 2H, *J* = 8.7Hz), 6.90(dd, 1H, *J* = 2.7, 8.7Hz), 5.35(s, 2H), 3.90(s, 3H), 2.74(s, 3H).
-

[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl](5-pyridin-2-yl-2-thienyl)methanone

¹H NMR (CDCl₃/300MHz) 8.64(m, 1H), 7.75(m, 2H), 7.65(m, 2H), 7.39-7.23(m, 4H),

- 5 7.11(d, 1H, *J* = 9.0Hz), 6.94(d, 2H, *J* = 8.4Hz), 6.83(dd, 1H, *J* = 2.7, 9.0Hz), 5.32(s, 2H),
3.37(s, 3H), 2.54(s, 3H).
-

Additional Useful Compounds

Among the useful compounds are:

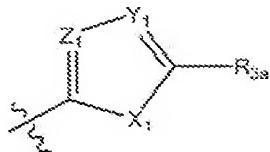
- 10 [6-chloro-1-(3,4-dichlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid
[6-chloro-1-(3,4-difluorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid
[6-chloro-1-(3,5-dichlorobenzyl)-2,5-dimethyl-1H-indol-3-yl]acetic acid
[1-(3-bromobenzyl)-6-chloro-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid
5-fluoro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indole-3-carbaldehyde
15 (2E)-3-{5-fluoro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acrylic acid
{5-methoxy-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
{4,6-dichloro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
{2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
{2-chloro-3-[3-(trifluoromethoxy)benzyl]-1H-indol-1-yl}acetic acid
20 (2Z)-{2-oxo-1-[3-(trifluoromethoxy)benzyl]-1,2-dihydro-3H-indol-3-ylidene}acetic acid
[6-chloro-1-(3,5-dimethylbenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid
{1-[3,5-bis(trifluoromethyl)benzyl]-6-chloro-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid
25 {5-methoxy-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
(2-oxo-1-[3-(trifluoromethoxy)benzyl]-2,3-dihydro-1H-indol-3-yl)acetic acid
{6-chloro-1-[3-(difluoromethoxy)benzyl]-5-methoxy-2-methyl-1H-indol-3-yl}acetic acid
{5,6-dichloro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
20 {2-chloro-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
{5-chloro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
30 {5-chloro-2-methyl-1-[3-(trifluoromethyl)benzyl]-1H-indol-3-yl}acetic acid
methyl (1-benzoyl-6-fluoro-5-hydroxy-2-methyl-1H-indol-3-yl)acetate

- methyl [1-(4-chlorobenzoyl)-4-fluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetate
methyl [1-(4-chlorobenzoyl)-4-fluoro-5-hydroxy-2-methyl-1H-indol-3-yl]acetate
methyl [1-(3,4-dichlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetate
methyl [1-(4-fluorobenzoyl)-5-hydroxy-2-methyl-1H-indol-3-yl]acetate
5 methyl {5-methoxy-2-methyl-1-[4-(trifluoromethyl)benzoyl]-1H-indol-3-yl}acetate
methyl [1-(4-bromobenzyl)-5-hydroxy-2-methyl-1H-indol-3-yl]acetate
methyl [1-(4-bromobenzyl)-4,6-difluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetate
methyl [1-(cyclohexylcarbonyl)-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetate
10 methyl {1-[(5-chloro-2-thienyl)carbonyl]-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl}acetate
methyl [6-fluoro-1-(4-fluorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetate
methyl {6-fluoro-5-hydroxy-2-methyl-1-[(5-methyl-2-thienyl)carbonyl]-1H-indol-3-yl}acetate
methyl {6-chloro-1-[(5-chloro-2-thienyl)methyl]-5-methoxy-2-methyl-1H-indol-3-yl}acetate
15 methyl {6-chloro-1-[(5-chloro-2-thienyl)carbonyl]-5-hydroxy-2-methyl-1H-indol-3-yl}acetate
(5-fluoro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl)acetic acid
(2E)-3-{5-methoxy-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acrylic acid
20 [6-chloro-5-methoxy-2-methyl-1-(3-nitrobenzyl)-1H-indol-3-yl]acetic acid
(5-fluoro-2-methyl-1-[[4-(methylsulfonyl)phenyl]sulfonyl]-1H-indol-3-yl)acetic acid
(6-chloro-5-methoxy-2-methyl-1-[[3-(trifluoromethoxy)phenyl]sulfonyl]-1H-indol-3-yl)acetic acid
[6-chloro-1-(3,5-difluorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid
25 methyl {6-fluoro-2,5-dimethyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetate
6-chloro-2,3-dimethyl-1-[3-(trifluoromethoxy)benzyl]-1H-indole acetate
methyl {5-hydroxy-2-methyl-1-[4-(trifluoromethoxy)benzoyl]-1H-indol-3-yl}acetate
methyl {5-hydroxy-2-methyl-1-(4-methylbenzoyl)-1H-indol-3-yl}acetate
methyl {5-hydroxy-2-methyl-1-[4-(trifluoromethyl)benzoyl]-1H-indol-3-yl}acetate
30 methyl [1-(3,4-difluorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetate
methyl [6-fluoro-5-methoxy-2-methyl-1-(2-thienylcarbonyl)-1H-indol-3-yl]acetate

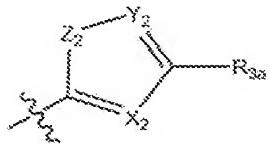
methyl [6-chloro-1-(4-fluorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetate
methyl {6-chloro-1-[(5-chloro-2-thienyl)carbonyl]-5-methoxy-2-methyl-1H-indol-3-
y1} acetate
methyl [6-chloro-1-(4-chlorobenzyl)-2,5-dimethyl-1H-indol-3-yl]acetate
5 methyl [6-chloro-1-(3-chlorobenzyl)-5-fluoro-2-methyl-1H-indol-3-yl]acetate
methyl [6-chloro-1-(3-chlorobenzyl)-2,5-dimethyl-1H-indol-3-yl]acetate
methyl {6-chloro-2,5-dimethyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetate
methyl 1-(1,3-benzothiazol-2-ylmethyl)-5-fluoro-2-methyl-1H-indole-3-carboxylate
methyl {5-fluoro-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}(oxo)acetate
10 {6-chloro-5-hydroxy-2-methyl-1-[3-(trifluoromethoxy)benzyl]-1H-indol-3-yl}acetic acid
(5-methoxy-2-methyl-1H-indol-3-yl)(5-pyridin-2-yl-1,3,4-oxadiazol-2-yl)methanone
2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]-N-cyclohexyl-N-methyl-2-
oxoacetamide
[1-(4-bromobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl](5-pyridin-2-yl-1,3,4-oxadiazol-
15 2-yl)methanone O-methyloxime
1-[1-(2,4-dichlorobenzyl)-2,5-dimethyl-1H-indol-3-yl]-2-morpholin-4-yl-2-oxoethanone
2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1H-indol-3-yl]-N-cyclopropyl-2-oxoacetamide
2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1H-indol-3-yl]-2-oxo-N-pyridin-2-ylacetamide
2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1H-indol-3-yl]-2-oxo-N-piperidin-1-
20 ylacetamide
[5-methoxy-1-(4-methoxybenzyl)-2-methyl-1H-indol-3-yl](5-pyridin-2-yl-1,3,4-
oxadiazol-2-yl)methanone O-methyloxime
N-cyclohexyl-2-[1-(2,4-dichlorobenzyl)-2,5-dimethyl-1H-indol-3-yl]-2-oxoacetamide

25 Derivatives of Indole Acids

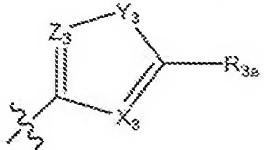
Additional compounds of Formula I include derivatives of the compounds in the section below entitled "Indole Acids" in which: (1) the group (e.g., the -CH₂C(O)OH group) at the 3 position of the indole group is replaced by -C(O)R₃ wherein R₃ is the R₃ of Formula I. In some cases R₃ is selected from: R_{3x}, R_{3y} and R_{3z} wherein:



R_{3x} is , wherein X_1 , Y_1 , and Z_1 are: (a) O, N and N, respectively; (b) O, N and C(R_{3c}), respectively; (c) O, C(R_{3c}) and C(R_{3c}), respectively; (d) O, C(R_{3c}) and N respectively; (e) S, N and N, respectively; (f) S, N and C(R_{3c}), respectively; (g) S, C(R_{3c}) and C(R_{3c}), respectively; (h) S, C(R_{3c}) and N respectively; (i) N(R_{3b}), N and N, respectively; (j) N(R_{3b}), N and C(R_{3c}), respectively; (k) N(R_{3b}), C(R_{3c}) and C(R_{3c}), respectively; or (l) N(R_{3b}), C(R_{3c}) and N respectively;



R_{3y} is , wherein X_2 , Y_2 , and Z_2 are: (a) N, N and O, respectively; (b) C(R_{3c}), N and O, respectively; (c) N, C(R_{3c}) and O, respectively; (d) C(R_{3c}), C(R_{3c}) and O, respectively; (e) N, N and S, respectively; (f) C(R_{3c}), N and S, respectively; (g) N, C(R_{3c}) and S, respectively; (h) C(R_{3c}), C(R_{3c}) and S, respectively; (i) N, N and N(R_{3b}), respectively; (j) C(R_{3c}), N and N(R_{3b}), respectively; (k) N, C(R_{3c}) and N(R_{3b}), respectively; or (l) C(R_{3c}), C(R_{3c}) and N(R_{3b}), respectively;



R_{3z} is , wherein X_3 , Y_3 , and Z_3 are: (a) N, O and N, respectively; (b) C(R_{3c}), O and N, respectively; (c) N, O and C(R_{3c}), respectively; (d) C(R_{3c}), O and C, respectively; (e) N, S and N, respectively; (f) C(R_{3c}), S and N, respectively; (g) N, S and C, respectively; (h) C(R_{3c}), S and C(R_{3c}), respectively; (i) N, N(R_{3b}) and N, respectively; (j) C(R_{3c}), N(R_{3b}) and N, respectively; (k) N, N(R_{3b}) and C(R_{3c}), respectively; or (l) C(R_{3c}), N(R_{3b}) and C(R_{3c}), respectively;

20

R_{3a} is selected from:

H, halogen, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -NO₂, -CN, -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an
5 optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted C3 to C10 cycloalkyl or carbocycle, an optionally substituted heterocycle, or R_{3a} and the carbon to which it is attached together with Y₁, Y₂ or Y₃ can form a heteroaryl containing 5 to 6 ring atoms or R_{3a} is absent.

10

R_{3b} is selected from:

H, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -CN, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an optionally substituted -SO₂OH, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted C3 to C10 cycloalkyl or carbocycle, an optionally substituted heterocycle;

20 R_{3c} is selected from:

H, halogen, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted C1-C5 alkyl, an optionally substituted C2-C5 alkenyl, an optionally substituted C2-C5 alkynyl, an optionally substituted C1-C5 alkoxy, -NO₂, -CN, -C(O)OH, an optionally substituted -SO₂CH₃, an optionally substituted -SO₂NH₂, an
25 optionally substituted -SO₂OH, -C(O)H, an optionally substituted -C(O)CH₃, an optionally substituted -C(O)N(CH₃)₂, an optionally substituted -C(O)NH₂, an optionally substituted -SCH₃, an optionally substituted C3 to C10 cycloalkyl or carbocycle, an optionally substituted heterocycle, or R_{3c} and the carbon to which it is attached together with a ring atom bonded to the carbon to which R_{3c} is attached can form a heteroaryl
30 containing 5 to 6 ring atoms.

Indole Acids

- [6-fluoro-5-hydroxy-2-methyl-1-(thien-2-ylcarbonyl)-*1H*-indol-3-yl]acetic acid;
- (6-fluoro-1-[(5-fluorothien-2-yl)carbonyl]-5-hydroxy-2-methyl-*1H*-indol-3-yl)acetic acid;
- {1-[(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl}acetic acid;
- {1-[(5-bromothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl}acetic acid;
- 10 {6-fluoro-5-hydroxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*1H*-indol-3-yl}acetic acid;
- {6-fluoro-5-hydroxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*1H*-indol-3-yl}acetic acid;
- {1-[(5-ethoxythien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl}acetic acid;
- 15 (1-[(5-(difluoromethoxy)thien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl)acetic acid;
- (6-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethoxy)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- 20 (6-fluoro-5-hydroxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- (6-fluoro-5-hydroxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- {6-fluoro-5-hydroxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*1H*-indol-3-yl}acetic acid;
- 25 (1-[(5-(difluoromethyl)thien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl)acetic acid;
- (6-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethyl)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- 30 (6-fluoro-5-hydroxy-2-methyl-1-[(5-(methylthio)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;

[1-((5-[(difluoromethyl)thio]thien-2-yl)carbonyl)-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid;
[6-fluoro-5-hydroxy-2-methyl-1-((5-[(trifluoromethyl)thio]thien-2-yl)carbonyl)-*H*-indol-3-yl]acetic acid;
5 [6-fluoro-5-hydroxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-2-yl)carbonyl)-*H*-indol-3-yl]acetic acid;
[6-fluoro-5-hydroxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl)carbonyl)-*H*-indol-3-yl]acetic acid; and
{1-[(5-cyanothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid

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[6-fluoro-5-hydroxy-2-methyl-1-(thien-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
(6-fluoro-1-[(5-fluorothien-3-yl)carbonyl]-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
{1-[(5-chlorothien-3-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
15 {1-[(5-bromothien-3-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
{6-fluoro-5-hydroxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
20 {6-fluoro-5-hydroxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
(1-[(5-ethoxythien-3-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
25 (1-[(5-(difluoromethoxy)thien-3-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
(6-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
(6-fluoro-5-hydroxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
30 (6-fluoro-5-hydroxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

- {6-fluoro-5-hydroxy-2-methyl-1-[(5-methylthien-3-yl)carbonyl]-*H*-indol-3-yl}acetic acid;
- (1-[(5-(difluoromethyl)thien-3-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 5 (6-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethyl)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- (6-fluoro-5-hydroxy-2-methyl-1-[(5-(methylthio)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- 10 [1-({5-[(difluoromethyl)thio]thien-3-yl}carbonyl)-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid;
- [6-fluoro-5-hydroxy-2-methyl-1-({5-[(trifluoromethyl)thio]thien-3-yl}carbonyl)-*H*-indol-3-yl]acetic acid;
- [6-fluoro-5-hydroxy-2-methyl-1-({5-[(pentafluoroethyl)thio]thien-3-yl}carbonyl)-*H*-indol-3-yl]acetic acid;
- 15 [6-fluoro-5-hydroxy-2-methyl-1-({5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl}carbonyl)-*H*-indol-3-yl]acetic acid; and
- {1-[(5-cyanothien-3-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid.
-
- 20 [6-chloro-5-hydroxy-2-methyl-1-(thien-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- {6-chloro-1-[(5-fluorothien-3-yl)carbonyl]-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[(5-chlorothien-3-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- 25 {1-[(5-bromothien-3-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {6-chloro-5-hydroxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- {6-chloro-5-hydroxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- 30 acid;

(1-[(5-ethoxythien-3-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;

(1-[(5-(difluoromethoxy)thien-3-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;

5 (6-chloro-5-hydroxy-2-methyl-1-[(5-(trifluoromethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

(6-chloro-5-hydroxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

10 (6-chloro-5-hydroxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

(6-chloro-5-hydroxy-2-methyl-1-[(5-methylthien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

(1-[(5-(difluoromethyl)thien-3-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;

15 (6-chloro-5-hydroxy-2-methyl-1-[(5-(trifluoromethyl)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

(6-chloro-5-hydroxy-2-methyl-1-[(5-(methylthio)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

20 [1-((5-[(difluoromethyl)thio]thien-3-yl)carbonyl)-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid;

[6-chloro-5-hydroxy-2-methyl-1-((5-[(trifluoromethyl)thio]thien-3-yl)carbonyl)-*H*-indol-3-yl]acetic acid;

[6-chloro-5-hydroxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-3-yl)carbonyl)-*H*-indol-3-yl]acetic acid;

25 [6-chloro-5-hydroxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl)carbonyl)-*H*-indol-3-yl]acetic acid; and

(1-[(5-cyanothien-3-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid.

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[6-chloro-5-hydroxy-2-methyl-1-(thien-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid

- {6-chloro-1-[(5-fluorothien-2-yl)carbonyl]-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[(5-chlorothien-2-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- 5 {1-[(5-bromothien-2-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {6-chloro-5-hydroxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- 10 {6-chloro-5-hydroxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[(5-ethoxythien-2-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- (1-{[5-(difluoromethoxy)thien-2-yl]carbonyl}-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 15 (6-chloro-5-hydroxy-2-methyl-1-{[5-(trifluoromethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (6-chloro-5-hydroxy-2-methyl-1-{[5-(perfluoroethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (6-chloro-5-hydroxy-2-methyl-1-{[5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- 20 (6-chloro-5-hydroxy-2-methyl-1-{(5-methylthien-2-yl)carbonyl}-*H*-indol-3-yl)acetic acid;
- (1-{[5-(difluoromethyl)thien-2-yl]carbonyl}-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 25 (6-chloro-5-hydroxy-2-methyl-1-{[5-(trifluoromethyl)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (6-chloro-5-hydroxy-2-methyl-1-{[5-(methylthio)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- [1-({5-[(difluoromethyl)thio]thien-2-yl}carbonyl)-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid;
- 30

[6-chloro-5-hydroxy-2-methyl-1-((5-[(trifluoromethyl)thio]thien-2-yl)carbonyl)-*H*-indol-3-yl]acetic acid;
[6-chloro-5-hydroxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-2-yl)carbonyl)-*H*-indol-3-yl]acetic acid;
5 [6-chloro-5-hydroxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl)carbonyl)-*H*-indol-3-yl]acetic acid; and
(1-[(5-cyanothien-2-yl)carbonyl]-6-chloro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid.

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[6-fluoro-5-methoxy-2-methyl-1-(thien-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(thien-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid;
(6-fluoro-1-[(5-fluorothien-2-yl)carbonyl]-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
15 {1-[(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
(1-[(5-bromothien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
(6-fluoro-5-methoxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl)acetic acid;
20 (6-fluoro-5-methoxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl)acetic acid;
(1-[(5-ethoxythien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
25 (1-[(5-(difluoromethoxy)thien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
(6-fluoro-5-methoxy-2-methyl-1-[(5-(trifluoromethoxy)thien-2-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
30 (6-fluoro-5-methoxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-2-yl)carbonyl]-*H*-indol-3-yl)acetic acid;

- (6-fluoro-5-methoxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- (6-fluoro-5-methoxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*1H*-indol-3-yl) acetic acid;
- 5 (1-[(5-(difluoromethyl)thien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl)acetic acid;
- (6-fluoro-5-methoxy-2-methyl-1-[(5-(trifluoromethyl)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- (6-fluoro-5-methoxy-2-methyl-1-[(5-(methylthio)thien-2-yl)carbonyl]-*1H*-indol-3-yl)acetic acid;
- 10 [1-((5-[(difluoromethyl)thio]thien-2-yl) carbonyl)-6-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid;
- [6-fluoro-5-methoxy-2-methyl-1-((5-[(trifluoromethyl)thio]thien-2-yl) carbonyl)-*1H*-indol-3-yl]acetic acid;
- 15 [6-fluoro-5-methoxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-2-yl) carbonyl)-*1H*-indol-3-yl]acetic acid;
- [6-fluoro-5-methoxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl) carbonyl)-*1H*-indol-3-yl]acetic acid; and
- {1-[(5-cyanothien-2-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic
- 20 acid.

-
- [6-chloro-5-methoxy-2-methyl-1-(thien-2-ylcarbonyl)-*1H*-indol-3-yl]acetic acid; (6-chloro-1-[(5-fluorothien-2-yl)carbonyl]-5-methoxy-2-methyl-*1H*-indol-3-yl)acetic acid;
- {1-[(5-chlorothien-2-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic
- 25 acid;
- {1-[(5-bromothien-2-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic acid;
- {6-chloro-5-methoxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*1H*-indol-3-yl}acetic acid;
- 30 {6-chloro-5-methoxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*1H*-indol-3-yl}acetic acid;

- {1-[{(5-ethoxythien-2-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid;
- (1-{[5-(difluoromethoxy)thien-2-yl]carbonyl}-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 5 (6-chloro-5-methoxy-2-methyl-1-{[5-(trifluoromethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (6-chloro-5-methoxy-2-methyl-1-{[5-(pentafluoroethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- 10 (6-chloro-5-methoxy-2-methyl-1-{[5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- {6-chloro-5-methoxy-2-methyl-1-{(5-methylthien-2-yl)carbonyl}-*H*-indol-3-yl} acetic acid;
- (1-{[5-(difluoromethyl)thien-2-yl]carbonyl}-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 15 (6-chloro-5-methoxy-2-methyl-1-{[5-(trifluoromethyl)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (6-chloro-5-methoxy-2-methyl-1-{[5-(methylthio)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- [1-{(5-[(difluoromethyl)thio]thien-2-yl} carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid;
- 20 [6-chloro-5-methoxy-2-methyl-1-((5-[(trifluoromethyl)thio]thien-2-yl) carbonyl)-*H*-indol-3-yl]acetic acid;
- [6-chloro-5-methoxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-2-yl) carbonyl)-*H*-indol-3-yl]acetic acid;
- 25 [6-chloro-5-methoxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl) carbonyl)-*H*-indol-3-yl]acetic acid; and
- {1-[(5-cyanothien-2-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid.
-
- 30 [6-fluoro-5-methoxy-2-methyl-1-(thien-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid

- {6-fluoro-1-[(5-fluorothien-3-yl)carbonyl]-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid;
- {1-[(5-chlorothien-3-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid;
- 5 {1-[(5-bromothien-3-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid;
- {6-fluoro-5-methoxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl} acetic acid;
- 10 {6-fluoro-5-methoxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl} acetic acid;
- {1-[(5-ethoxythien-3-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid;
- (1-[(5-(difluoromethoxy)thien-3-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 15 (6-fluoro-5-methoxy-2-methyl-1-[(5-(trifluoromethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- (6-fluoro-5-methoxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- (6-fluoro-5-methoxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- 20 {6-fluoro-5-methoxy-2-methyl-1-[(5-methylthien-3-yl)carbonyl]-*H*-indol-3-yl} acetic acid;
- (1-[(5-(difluoromethyl)thien-3-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 25 (6-fluoro-5-methoxy-2-methyl-1-[(5-(trifluoromethyl)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- (6-fluoro-5-methoxy-2-methyl-1-[(5-(methylthio)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- [1-((5-[(difluoromethyl)thio]thien-3-yl) carbonyl)-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid;
- 30

- [6-fluoro-5-methoxy-2-methyl-1-({5-[{(trifluoromethyl)thio]thien-3-yl}carbonyl]-*H*-indol-3-yl}acetic acid;
- [6-fluoro-5-methoxy-2-methyl-1-({5-[{(pentafluoroethyl)thio]thien-3-yl}carbonyl]-*H*-indol-3-yl}acetic acid;
- 5 [6-fluoro-5-methoxy-2-methyl-1-({5-[{(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl}carbonyl]-*H*-indol-3-yl}acetic acid; and
- {1-[{(5-cyanothien-3-yl)carbonyl]-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid.
-
- 10 [6-chloro-5-methoxy-2-methyl-1-[(thien-3-yl)carbonyl]-*H*-indol-3-yl}acetic acid
(6-chloro-1-[(5-fluorothien-3-yl)carbonyl]-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[{(5-chlorothien-3-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
- 15 {1-[{(5-bromothien-3-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
(6-chloro-5-methoxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- {6-chloro-5-methoxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- 20 {1-[{(5-ethoxythien-3-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
(1-[(5-(disfluoromethoxy)thien-3-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 25 (6-chloro-5-methoxy-2-methyl-1-[(5-(trifluoromethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
(6-chloro-5-methoxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- {6-chloro-5-methoxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl}acetic acid;
- 30

- {6-chloro-5-methoxy-2-methyl-1-[{(5-methylthien-3-yl)carbonyl]-*H*-indol-3-yl} acetic acid;
- (1-{{[5-(difluoromethyl)thien-3-yl]carbonyl}-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 5 (6-chloro-5-methoxy-2-methyl-1-[{5-(trifluoromethyl)thien-3-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (6-chloro-5-methoxy-2-methyl-1-[{5-(methylthio)thien-3-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- [1-({5-[{(difluoromethyl)thio]thien-3-yl} carbonyl)-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid;
- 10 [6-chloro-5-methoxy-2-methyl-1-({5-[(trifluoromethyl)thio]thien-3-yl} carbonyl)-*H*-indol-3-yl]acetic acid;
- [6-chloro-5-methoxy-2-methyl-1-({5-[(pentafluoroethyl)thio]thien-3-yl} carbonyl)-*H*-indol-3-yl]acetic acid;
- 15 [6-chloro-5-methoxy-2-methyl-1-({5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl} carbonyl)-*H*-indol-3-yl]acetic acid; and
- {1-[(5-cyanothien-3-yl)carbonyl]-6-chloro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid.
-
- 20 [4-fluoro-5-hydroxy-2-methyl-1-(thien-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- {4-fluoro-1-[(5-fluorothien-2-yl)carbonyl]-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[(5-chlorothien-2-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- 25 {1-[(5-bromothien-2-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {4-fluoro-5-hydroxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- {4-fluoro-5-hydroxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- 30 acid;

- {1-[{(5-ethoxythien-2-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- (1-[[5-(difluoromethoxy)thien-2-yl]carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 5 (4-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethoxy)thien-2-yl]carbonyl]-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-hydroxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-2-yl]carbonyl]-*H*-indol-3-yl)acetic acid;
- 10 (4-fluoro-5-hydroxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl]carbonyl]-*H*-indol-3-yl)acetic acid;
- {4-fluoro-5-hydroxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*H*-indol-3-yl}acetic acid;
- (1-[(5-(difluoromethyl)thien-2-yl]carbonyl)-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- 15 (4-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethyl)thien-2-yl]carbonyl]-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-hydroxy-2-methyl-1-[(5-(methylthio)thien-2-yl]carbonyl]-*H*-indol-3-yl)acetic acid;
- [1-((5-[(difluoromethyl)thio]thien-2-yl)carbonyl)-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid;
- 20 [4-fluoro-5-hydroxy-2-methyl-1-[(5-[(trifluoromethyl)thio]thien-2-yl]carbonyl]-*H*-indol-3-yl]acetic acid;
- [4-fluoro-5-hydroxy-2-methyl-1-[(5-[(pentafluoroethyl)thio]thien-2-yl]carbonyl]-*H*-indol-3-yl]acetic acid;
- 25 [4-fluoro-5-hydroxy-2-methyl-1-[(5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl]carbonyl]-*H*-indol-3-yl]acetic acid; and
- {1-[(5-cyanothien-2-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid

[4-fluoro-5-hydroxy-2-methyl-1-(thien-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid

- 30 {4-fluoro-1-[(5-fluorothien-3-yl)carbonyl]-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;

- {1-[{(5-chlorothien-3-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[{(5-bromothien-3-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- 5 {4-fluoro-5-hydroxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- {4-fluoro-5-hydroxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- 10 {1-[(5-ethoxythien-3-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl}acetic acid;
- (1-[(5-(difluoromethoxy)thien-3-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- 15 (4-fluoro-5-hydroxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-hydroxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- {4-fluoro-5-hydroxy-2-methyl-1-[(5-methylthien-3-yl)carbonyl]-*H*-indol-3-yl}acetic acid;
- 20 (1-[(5-(difluoromethyl)thien-3-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-hydroxy-2-methyl-1-[(5-(trifluoromethyl)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- 25 (4-fluoro-5-hydroxy-2-methyl-1-[(5-(methylthio)thien-3-yl)carbonyl]-*H*-indol-3-yl)acetic acid;
- [1-((5-[(difluoromethyl)thio]thien-3-yl)carbonyl)-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid;
- [4-fluoro-5-hydroxy-2-methyl-1-((5-[(trifluoromethyl)thio]thien-3-yl)carbonyl)-*H*-indol-3-yl]acetic acid;
- 30

[4-fluoro-5-hydroxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-3-yl) carbonyl)-*IIH*-indol-3-yl]acetic acid;

[4-fluoro-5-hydroxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl) carbonyl)-*IIH*-indol-3-yl]acetic acid; and

- 5 {1-[(5-cyanothien-3-yl)carbonyl]-4-fluoro-5-hydroxy-2-methyl-*IIH*-indol-3-yl}acetic acid.

[4-chloro-5-hydroxy-2-methyl-1-(thien-3-ylcarbonyl)-*IIH*-indol-3-yl]acetic acid

10 {4-chloro-1-[(5-fluorothien-3-yl)carbonyl]-5-hydroxy-2-methyl-*IIH*-indol-3-yl}acetic acid;

{1-[(5-chlorothien-3-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*IIH*-indol-3-yl}acetic acid;

{1-[(5-bromothien-3-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*IIH*-indol-3-yl}acetic acid;

- 15 {4-chloro-5-hydroxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*IIH*-indol-3-yl}acetic acid;

{4-chloro-5-hydroxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*IIH*-indol-3-yl}acetic acid;

- 20 {1-[(5-ethoxythien-3-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*IIH*-indol-3-yl}acetic acid;

{1-[(5-(difluoromethoxy)thien-3-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*IIH*-indol-3-yl}acetic acid;

(4-chloro-5-hydroxy-2-methyl-1-[(5-(trifluoromethoxy)thien-3-yl)carbonyl]-*IIH*-indol-3-yl)acetic acid;

- 25 {4-chloro-5-hydroxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-3-yl)carbonyl]-*IIH*-indol-3-yl}acetic acid;

(4-chloro-5-hydroxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl)carbonyl]-*IIH*-indol-3-yl)acetic acid;

- 30 {4-chloro-5-hydroxy-2-methyl-1-[(5-methylthien-3-yl)carbonyl]-*IIH*-indol-3-yl}acetic acid;

- (1-([5-(difluoromethyl)thien-3-yl]carbonyl)-4-chloro-5-hydroxy-2-methyl-*II*-indol-3-yl)acetic acid;
- (4-chloro-5-hydroxy-2-methyl-1-([5-(trifluoromethyl)thien-3-yl]carbonyl)-*II*-indol-3-yl)acetic acid;
- 5 (4-chloro-5-hydroxy-2-methyl-1-([5-(methylthio)thien-3-yl]carbonyl)-*II*-indol-3-yl)acetic acid;
- [1-([5-((difluoromethyl)thio)thien-3-yl] carbonyl)-4-chloro-5-hydroxy-2-methyl-*II*-indol-3-yl]acetic acid;
- [4-chloro-5-hydroxy-2-methyl-1-((5-((trifluoromethyl)thio)thien-3-yl) carbonyl)-*II*-indol-3-yl]acetic acid;
- 10 [4-chloro-5-hydroxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-3-yl) carbonyl)-*II*-indol-3-yl]acetic acid;
- [4-chloro-5-hydroxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl) carbonyl)-*II*-indol-3-yl]acetic acid; and
- 15 (1-[(5-cyanothien-3-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*II*-indol-3-yl)acetic acid.

-
- {4-chloro-5-hydroxy-2-methyl-1-(thien-2-ylcarbonyl)-*II*-indol-3-yl}acetic acid
- {4-chloro-1-[(5-fluorothien-2-yl)carbonyl]-5-hydroxy-2-methyl-*II*-indol-3-yl}acetic acid;
- 20 {1-[(5-chlorothien-2-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*II*-indol-3-yl}acetic acid;
- {1-[(5-bromothien-2-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*II*-indol-3-yl}acetic acid;
- 25 {4-chloro-5-hydroxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*II*-indol-3-yl}acetic acid;
- {4-chloro-5-hydroxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*II*-indol-3-yl}acetic acid;
- 30 {1-[(5-ethoxythien-2-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*II*-indol-3-yl}acetic acid;

- (1-{[5-(difluoromethoxy)thien-2-yl]carbonyl}-4-chloro-5-hydroxy-2-methyl-*IH*-indol-3-yl)acetic acid;
- (4-chloro-5-hydroxy-2-methyl-1-{[5-(trifluoromethoxy)thien-2-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- 5 (4-chloro-5-hydroxy-2-methyl-1-{[5-(pentafluoroethoxy)thien-2-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- (4-chloro-5-hydroxy-2-methyl-1-{[5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- 10 {4-chloro-5-hydroxy-2-methyl-1-[{(5-methylthien-2-yl)carbonyl]-*IH*-indol-3-yl}acetic acid;
- (1-{[5-(difluoromethyl)thien-2-yl]carbonyl}-4-chloro-5-hydroxy-2-methyl-*IH*-indol-3-yl)acetic acid;
- (4-chloro-5-hydroxy-2-methyl-1-{[5-(trifluoromethyl)thien-2-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- 15 (4-chloro-5-hydroxy-2-methyl-1-{[5-(methylthio)thien-2-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- {1-{[5-[(difluoromethyl)thio]thien-2-yl]carbonyl}-4-chloro-5-hydroxy-2-methyl-*IH*-indol-3-yl}acetic acid;
- [4-chloro-5-hydroxy-2-methyl-1-{[5-[(trifluoromethyl)thio]thien-2-yl]carbonyl}-*IH*-indol-3-yl]acetic acid;
- 20 [4-chloro-5-hydroxy-2-methyl-1-{[5-[(pentafluoroethyl)thio]thien-2-yl]carbonyl}-*IH*-indol-3-yl]acetic acid;
- [4-chloro-5-hydroxy-2-methyl-1-{[5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl]carbonyl}-*IH*-indol-3-yl]acetic acid; and
- 25 {1-[(5-cyanothien-2-yl)carbonyl]-4-chloro-5-hydroxy-2-methyl-*IH*-indol-3-yl}acetic acid.

[4-fluoro-5-methoxy-2-methyl-1-(thien-3-ylcarbonyl)-*IH*-indol-3-yl]acetic acid
(4-fluoro-1-[(5-fluorothien-2-yl)carbonyl]-5-methoxy-2-methyl-*IH*-indol-3-yl)acetic
30 acid;

- {1-[(5-chlorothien-2-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
- {1-[(5-bromothien-2-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
- 5 {4-fluoro-5-methoxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- {4-fluoro-5-methoxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl}acetic acid;
- 10 {1-[(5-ethoxythien-2-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid;
- (1-{[5-(difluoromethoxy)thien-2-yl]carbonyl}-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-methoxy-2-methyl-1-{[5-(trifluoromethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- 15 (4-fluoro-5-methoxy-2-methyl-1-{[5-(pentafluoroethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-methoxy-2-methyl-1-{[5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- {4-fluoro-5-methoxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*H*-indol-3-yl}acetic acid;
- 20 (1-{[5-(difluoromethyl)thien-2-yl]carbonyl}-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl)acetic acid;
- (4-fluoro-5-methoxy-2-methyl-1-{[5-(trifluoromethyl)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- 25 (4-fluoro-5-methoxy-2-methyl-1-{[5-(methylthio)thien-2-yl]carbonyl}-*H*-indol-3-yl)acetic acid;
- [1-({5-[(difluoromethyl)thio]thien-2-yl} carbonyl)-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid;
- [4-fluoro-5-methoxy-2-methyl-1-({5-[(trifluoromethyl)thio]thien-2-yl} carbonyl)-*H*-indol-3-yl]acetic acid;

- [4-fluoro-5-methoxy-2-methyl-1-[(5-[(pentafluoroethyl)thio]thien-2-yl]carbonyl]-*H*-indol-3-yl]acetic acid;
[4-fluoro-5-methoxy-2-methyl-1-[(5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl]carbonyl)-*H*-indol-3-yl]acetic acid; and
5 {1-[(5-cyanothien-2-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic acid.

[4-chloro-5-methoxy-2-methyl-1-(thien-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
{4-chloro-1-[(5-fluorothien-2-yl)carbonyl]-5-methoxy-2-methyl-*H*-indol-3-yl} acetic
10 acid;
{1-[(5-chlorothien-2-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic
acid;
{1-[(5-bromothien-2-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic
acid;
15 {4-chloro-5-methoxy-1-[(5-hydroxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl} acetic
acid;
{4-chloro-5-methoxy-1-[(5-methoxythien-2-yl)carbonyl]-2-methyl-*H*-indol-3-yl} acetic
acid;
20 {1-[(5-ethoxythien-2-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*H*-indol-3-yl} acetic
acid;
(1-[(5-(difluoromethoxy)thien-2-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*H*-indol-3-
yl)acetic acid;
(4-chloro-5-methoxy-2-methyl-1-[(5-(trifluoromethoxy)thien-2-yl)carbonyl]-*H*-indol-
3-yl)acetic acid;
25 (4-chloro-5-methoxy-2-methyl-1-[(5-(pentafluoroethoxy)thien-2-yl)carbonyl]-*H*-indol-
3-yl)acetic acid;
(4-chloro-5-methoxy-2-methyl-1-[(5-(1,1,2,2-tetrafluoroethoxy)thien-2-yl)carbonyl]-*H*-
indol-3-yl)acetic acid;
30 (4-chloro-5-methoxy-2-methyl-1-[(5-methylthien-2-yl)carbonyl]-*H*-indol-3-yl)acetic
acid;

- (1-{{5-(difluoromethyl)thien-2-yl]carbonyl}-4-chloro-5-methoxy-2-methyl-*HH*-indol-3-yl)acetic acid;
- (4-chloro-5-methoxy-2-methyl-1-{{5-(trifluoromethyl)thien-2-yl]carbonyl}-*HH*-indol-3-yl)acetic acid;
- 5 (4-chloro-5-methoxy-2-methyl-1-{{5-(methylthio)thien-2-yl]carbonyl}-*HH*-indol-3-yl)acetic acid;
- [1-({5-[(difluoromethyl)thio]thien-2-yl]carbonyl}-4-chloro-5-methoxy-2-methyl-*HH*-indol-3-yl]acetic acid;
- [4-chloro-5-methoxy-2-methyl-1-{{5-[(trifluoromethyl)thio]thien-2-yl]carbonyl}-*HH*-indol-3-yl]acetic acid;
- 10 [4-chloro-5-methoxy-2-methyl-1-{{5-[(pentafluoroethyl)thio]thien-2-yl]carbonyl}-*HH*-indol-3-yl]acetic acid;
- [4-chloro-5-methoxy-2-methyl-1-{{5-[(1,1,2,2-tetrafluoroethyl)thio]thien-2-yl]carbonyl}-*HH*-indol-3-yl]acetic acid; and
- 15 {1-[(5-cyanothien-2-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*HH*-indol-3-yl}acetic acid.

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- [4-fluoro-5-methoxy-2-methyl-1-(thien-3-ylcarbonyl)-*HH*-indol-3-yl]acetic acid
- (4-fluoro-1-[(5-fluorothien-3-yl)carbonyl]-5-methoxy-2-methyl-*HH*-indol-3-yl}acetic acid;
- 20 {1-[(5-chlorothien-3-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*HH*-indol-3-yl}acetic acid;
- {1-[(5-bromothien-3-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*HH*-indol-3-yl}acetic acid;
- 25 {4-fluoro-5-methoxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*HH*-indol-3-yl}acetic acid;
- {4-fluoro-5-methoxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*HH*-indol-3-yl}acetic acid;
- 30 {1-[(5-ethoxythien-3-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*HH*-indol-3-yl}acetic acid;

- (1-{[5-(difluoromethoxy)thien-3-yl]carbonyl}-4-fluoro-5-methoxy-2-methyl-*lH*-indol-3-yl)acetic acid;
- (4-fluoro-5-methoxy-2-methyl-1-{[5-(trifluoromethoxy)thien-3-yl]carbonyl}-*lH*-indol-3-yl)acetic acid;
- 5 (4-fluoro-5-methoxy-2-methyl-1-{[5-(pentafluoroethoxy)thien-3-yl]carbonyl}-*lH*-indol-3-yl)acetic acid;
- (4-fluoro-5-methoxy-2-methyl-1-{[5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl]carbonyl}-*lH*-indol-3-yl)acetic acid;
- 10 {4-fluoro-5-methoxy-2-methyl-1-[{(5-methylthien-3-yl)carbonyl}-*lH*-indol-3-yl}acetic acid;
- (1-{[5-(difluoromethyl)thien-3-yl]carbonyl}-4-fluoro-5-methoxy-2-methyl-*lH*-indol-3-yl)acetic acid;
- (4-fluoro-5-methoxy-2-methyl-1-{[5-(trifluoromethyl)thien-3-yl]carbonyl}-*lH*-indol-3-yl)acetic acid;
- 15 (4-fluoro-5-methoxy-2-methyl-1-{[5-(methylthio)thien-3-yl]carbonyl}-*lH*-indol-3-yl)acetic acid;
- {1-{[5-[(difluoromethyl)thio]thien-3-yl} carbonyl}-4-fluoro-5-methoxy-2-methyl-*lH*-indol-3-yl]acetic acid;
- [4-fluoro-5-methoxy-2-methyl-1-{[5-[(trifluoromethyl)thio]thien-3-yl} carbonyl]-*lH*-indol-3-yl]acetic acid;
- 20 [4-fluoro-5-methoxy-2-methyl-1-{[5-[(pentafluoroethyl)thio]thien-3-yl} carbonyl]-*lH*-indol-3-yl]acetic acid;
- [4-fluoro-5-methoxy-2-methyl-1-{[5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl} carbonyl]-*lH*-indol-3-yl]acetic acid; and
- 25 {1-[(5-cyanothien-3-yl)carbonyl]-4-fluoro-5-methoxy-2-methyl-*lH*-indol-3-yl} acetic acid.

[4-chloro-5-methoxy-2-methyl-1-(thien-3-ylcarbonyl)-*lH*-indol-3-yl]acetic acid;

30 {4-chloro-1-[(5-fluorothien-3-yl)carbonyl]-5-methoxy-2-methyl-*lH*-indol-3-yl} acetic acid;

- (1-[(5-chlorothien-3-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*IH*-indol-3-yl}acetic acid;
- (1-[(5-bromothien-3-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*IH*-indol-3-yl}acetic acid;
- 5 (4-chloro-5-methoxy-1-[(5-hydroxythien-3-yl)carbonyl]-2-methyl-*IH*-indol-3-yl}acetic acid;
- {4-chloro-5-methoxy-1-[(5-methoxythien-3-yl)carbonyl]-2-methyl-*IH*-indol-3-yl}acetic acid;
- 10 (1-[(5-ethoxythien-3-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*IH*-indol-3-yl}acetic acid;
- (1-{[5-(difluoromethoxy)thien-3-yl]carbonyl}-4-chloro-5-methoxy-2-methyl-*IH*-indol-3-yl)acetic acid;
- (4-chloro-5-methoxy-2-methyl-1-{[5-(trifluoromethoxy)thien-3-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- 15 (4-chloro-5-methoxy-2-methyl-1-{[5-(pentafluoroethoxy)thien-3-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- (4-chloro-5-methoxy-2-methyl-1-{[5-(1,1,2,2-tetrafluoroethoxy)thien-3-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- 20 (4-chloro-5-methoxy-2-methyl-1-[(5-methylthien-3-yl)carbonyl]-*IH*-indol-3-yl}acetic acid;
- (1-{[5-(difluoromethyl)thien-3-yl]carbonyl}-4-chloro-5-methoxy-2-methyl-*IH*-indol-3-yl)acetic acid;
- (4-chloro-5-methoxy-2-methyl-1-{[5-(trifluoromethyl)thien-3-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- 25 (4-chloro-5-methoxy-2-methyl-1-{[5-(methylthio)thien-3-yl]carbonyl}-*IH*-indol-3-yl)acetic acid;
- [1-{[5-((difluoromethyl)thio)thien-3-yl]carbonyl}-4-chloro-5-methoxy-2-methyl-*IH*-indol-3-yl]acetic acid;
- [4-chloro-5-methoxy-2-methyl-1-({5-((trifluoromethyl)thio)thien-3-yl}carbonyl)-*IH*-indol-3-yl]acetic acid;
- 30

- [4-chloro-5-methoxy-2-methyl-1-((5-[(pentafluoroethyl)thio]thien-3-yl)carbonyl)-*H*-indol-3-yl]acetic acid;
[4-chloro-5-methoxy-2-methyl-1-((5-[(1,1,2,2-tetrafluoroethyl)thio]thien-3-yl)carbonyl)-*H*-indol-3-yl]acetic acid; and
5 {1-[(5-cyanothien-3-yl)carbonyl]-4-chloro-5-methoxy-2-methyl-*H*-indol-3-yl}acetic acid.

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- [6-chloro-1-(cyclohexylcarbonyl)-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid
[6-chloro-1-(cyclohexylcarbonyl)-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid
10 [1-(cyclohexylcarbonyl)-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid
[1-(cyclohexylcarbonyl)-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid
[4-chloro-1-(cyclohexylcarbonyl)-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid
[4-chloro-1-(cyclohexylcarbonyl)-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid
[1-(cyclohexylcarbonyl)-4-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid
15 [4-fluoro-5-methoxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-fluoro-5-hydroxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-chloro-5-methoxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-chloro-5-hydroxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
20 [6-fluoro-5-hydroxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-methoxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-hydroxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[5-methoxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-(pyridin-2-ylcarbonyl)-*H*-indol-3-yl]acetic acid
25 [4-fluoro-5-methoxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-fluoro-5-hydroxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-chloro-5-methoxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-chloro-5-hydroxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
30 [6-fluoro-5-hydroxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-methoxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*H*-indol-3-yl]acetic acid

- [6-chloro-5-hydroxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[5-methoxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-(pyridin-3-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[4-fluoro-5-methoxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
5 [4-fluoro-5-hydroxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[4-chloro-5-methoxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[4-chloro-5-hydroxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
10 [6-fluoro-5-hydroxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[6-chloro-5-methoxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[5-methoxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-(pyridin-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
15 [4-fluoro-5-methoxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
[4-fluoro-5-hydroxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
[4-chloro-5-methoxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
20 [4-chloro-5-hydroxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
[6-fluoro-5-hydroxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
25 yl]acetic acid
[6-chloro-5-methoxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
[6-chloro-5-hydroxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-
yl]acetic acid
30 [5-methoxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-(tetrahydro-2*H*-pyran-4-ylcarbonyl)-*1H*-indol-3-yl]acetic acid

- [4-fluoro-5-methoxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [4-fluoro-5-hydroxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 5 [4-chloro-5-methoxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [4-chloro-5-hydroxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 10 [6-fluoro-5-methoxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [6-fluoro-5-hydroxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [6-chloro-5-methoxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 15 [6-chloro-5-hydroxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [5-methoxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [5-hydroxy-2-methyl-1-(tetrahydro-2H-thiopyran-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 20 [4-fluoro-5-methoxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [4-fluoro-5-hydroxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [4-chloro-5-methoxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [4-chloro-5-hydroxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 25 [6-fluoro-5-methoxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [6-fluoro-5-hydroxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [6-chloro-5-methoxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [6-chloro-5-hydroxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- [5-methoxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 30 [5-hydroxy-2-methyl-1-(piperidin-4-ylcarbonyl)-*H*-indol-3-yl]acetic acid

- [4-fluoro-5-methoxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [4-fluoro-5-hydroxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 5 [4-chloro-5-methoxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [4-chloro-5-hydroxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 10 [6-fluoro-5-methoxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [6-fluoro-5-hydroxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 15 [6-chloro-5-methoxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [5-methoxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [5-hydroxy-2-methyl-1-[(1-methylpiperidin-4-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 20 [4-fluoro-5-methoxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [4-fluoro-5-hydroxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [4-chloro-5-methoxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 25 [4-chloro-5-hydroxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [6-fluoro-5-methoxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [6-fluoro-5-hydroxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 30 acid

- [6-chloro-5-methoxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- [6-chloro-5-hydroxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
- 5 [5-methoxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-[(4-methylpiperazin-1-yl)carbonyl]-*H*-indol-3-yl]acetic acid
[4-fluoro-5-methoxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-fluoro-5-hydroxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-chloro-5-methoxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 10 [4-chloro-5-hydroxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-hydroxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-methoxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-hydroxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 15 [5-methoxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-(piperazin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-fluoro-5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-fluoro-5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[4-chloro-5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 20 [4-chloro-5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-fluoro-5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
- 25 [5-methoxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[5-hydroxy-2-methyl-1-(piperidin-1-ylcarbonyl)-*H*-indol-3-yl]acetic acid
[6-chloro-1-(cyclopentylcarbonyl)-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid
[6-chloro-1-(cyclopentylcarbonyl)-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid
[1-(cyclopentylcarbonyl)-6-fluoro-5-methoxy-2-methyl-*H*-indol-3-yl]acetic acid
- 30 [1-(cyclopentylcarbonyl)-6-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid
[1-(cyclopentylcarbonyl)-4-fluoro-5-hydroxy-2-methyl-*H*-indol-3-yl]acetic acid

- [4-chloro-1-(cyclopentylcarbonyl)-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
[4-chloro-1-(cyclopentylcarbonyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(cyclopentylcarbonyl)-4-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(cyclopentylcarbonyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
- 5 [1-(cyclopentylcarbonyl)-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid[6-chloro-1-(cyclobutylcarbonyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[6-chloro-1-(cyclobutylcarbonyl)-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(cyclobutylcarbonyl)-6-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(cyclobutylcarbonyl)-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
- 10 [1-(cyclobutylcarbonyl)-4-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
[4-chloro-1-(cyclobutylcarbonyl)-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
[4-chloro-1-(cyclobutylcarbonyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(cyclobutylcarbonyl)-4-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(cyclobutylcarbonyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
- 15 [1-(cyclobutylcarbonyl)-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
- {1-[{(4-chlorophenyl)sulfonyl]}-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic acid
{6-chloro-1-[{(4-chlorophenyl)sulfonyl]}-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic acid
{6-chloro-1-[{(3-chlorophenyl)sulfonyl]}-5-methoxy-2-methyl-*1H*-indol-3-yl}acetic acid
- 20 [6-chloro-5-methoxy-2-methyl-1-{(4-[(trifluoromethyl)thio]phenyl}sulfonyl}-*1H*-indol-3-yl]acetic acid
[6-chloro-5-fluoro-2-methyl-1-{(4-[(trifluoromethyl)thio]phenyl}sulfonyl}-*1H*-indol-3-yl]acetic acid
[1-(3,4-dichlorobenzoyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
- 25 [1-(3,4-dichlorobenzoyl)-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
[6-chloro-1-(3,4-dichlorobenzoyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[6-chloro-1-(3,4-difluorobenzoyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[6-chloro-1-(3-chlorobenzoyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[1-(4-chlorobenzoyl)-6-fluoro-5-hydroxy-2-methyl-*1H*-indol-3-yl]acetic acid
- 30 [1-(4-chlorobenzoyl)-6-fluoro-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid
[6-chloro-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-*1H*-indol-3-yl]acetic acid

[1-(4-chlorobenzyl)-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(4-chlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(4-chlorobenzoyl)-5-fluoro-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(4-chlorobenzyl)-5-fluoro-2-methyl-1H-indol-3-yl]acetic acid

5 [6-chloro-1-(4-chlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(3,4-dichlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(3,4-difluorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(3-chlorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[1-(4-bromobenzyl)-6-chloro-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

10 [6-chloro-1-(4-fluorobenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[6-chloro-1-(4-trifluoromethoxybenzyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[1-(1,3-benzothiazol-2-ylmethyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[1-(1,3-benzothiazol-2-ylmethyl)-6-fluoro-5-methoxy-2-methyl-1H-indol-3-yl]acetic acid

[1-(1,3-benzothiazol-2-ylmethyl)-6-chloro-5-methoxy-2-methyl-1H-indol-3-yl]acetic

15 acid

[1-(1,3-benzothiazol-2-ylmethyl)-6-chloro-5-fluoro-2-methyl-1H-indol-3-yl]acetic acid

3-[6-chloro-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]propanoic acid; 4-

[6-chloro-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]butanoic acid; 3-[6-

20 chloro-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]-1,1,1-trifluoroacetone;

2-[6-chloro-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]-1-[1,3]oxazolo[4,5-

b]pyridin-2-ylethanone; 2-[6-chloro-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-

3-yl]-1-(1,3-oxazol-2-yl)ethanone; 2-[[6-chloro-1-(4-chlorobenzoyl)-5-methoxy-2-

methyl-1H-indol-3-yl]acetyl]-1,3-oxazole-4-carboxylic acid; and 2-[[6-chloro-1-(4-

25 chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]-1,3-oxazole-5-carboxylic

acid.

[6-chloro-5-fluoro-2-methyl-1-(3-trifluoromethylbenzyl)-1H-indol-3-yl]acetic acid; [6-

chloro-5-fluoro-1-(3-trifluoromethoxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid; [1-

30 (1,3-benzothiazol-2-ylmethyl)-2-methyl-5-(trifluoromethoxy)-1H-indol-3-yl]acetic acid;

[1-(3-chlorobenzyl)-2-methyl-5-(trifluoromethoxy)-1H-indol-3-yl]acetic acid; [1-(3-

trifluoromethylbenzyl)-2-methyl-5-(trifluoromethoxy)-1H-indol-3-yl]acetic acid; [1-(3-trifluoromethoxybenzyl)-2-methyl-5-(trifluoromethoxy)-1H-indol-3-yl]acetic acid; [1-(1,3-benzothiazol-2-ylmethyl)-5-chloro-2-methyl-1H-indol-3-yl]acetic acid; [5-chloro-2-methyl-1-(3-trifluoromethylbenzyl)-1H-indol-3-yl]acetic acid; [5-chloro-2-methyl-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid; [5-chloro-1-(3-chlorobenzyl)-2-methyl-1H-indol-3-yl]acetic acid; [1-(1,3-benzothiazol-2-ylmethyl)-6-chloro-2-methyl-1H-indol-3-yl]acetic acid; [6-chloro-2-methyl-1-(3-trifluoromethylbenzyl)-1H-indol-3-yl]acetic acid; [6-chloro-2-methyl-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid; [6-chloro-1-(3-chlorobenzyl)-2-methyl-1H-indol-3-yl]acetic acid; 3-[6-chloro-1-(3-chlorobenzyl)-2,5-dimethyl-1H-indol-3-yl]propanoic acid; [1-(3-chlorobenzyl)-6-fluoro-2,5-dimethyl-1H-indol-3-yl]acetic acid; [1-(1,3-benzothiazol-2-ylmethyl)-6-fluoro-2,5-dimethyl-1H-indol-3-yl]acetic acid; [6-fluoro-2,5-dimethyl-1-(3-trifluoromethylbenzyl)-1H-indol-3-yl]acetic acid; [6-fluoro-2,5-dimethyl-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid; [1-(3-chlorobenzyl)-6-fluoro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; [1-(3-trifluoromethylbenzyl)-6-fluoro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; [1-(3-trifluoromethoxybenzyl)-6-fluoro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; [1-(1,3-benzothiazol-2-ylmethyl)-6-fluoro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; [1-(1,3-benzothiazol-2-ylmethyl)-6-chloro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; [1-(3-trifluoromethoxybenzyl)-6-chloro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; [1-(3-chlorobenzyl)-6-chloro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid; and [1-(3-chlorobenzyl)-6-chloro-2-methyl-5-(trifluoromethyl)-1H-indol-3-yl]acetic acid

25 :

ethyl (6-chloro-5-methoxy-2-methyl-1H-indol-3-yl)acetate
ethyl (4-chloro-5-methoxy-2-methyl-1H-indol-3-yl)acetate
{1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}(5-pyridin-2-yl-1,3,4-oxadiazol-2-yl)methanone
30 2-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]-1-(5-pyridin-2-yl-1,3-

oxazol-2-yl)ethanone

ethyl 5-(benzyloxy)-1-butyl-2-methyl-1H-indole-3-carboxylate

ethyl 1-benzyl-5-[3-(benzylamino)-2-hydroxypropoxy]-2-methyl-1H-indole-3-carboxylate

- 5 ethyl 4-[(dimethylamino)methyl]-5-hydroxy-2-methyl-1-phenyl-1H-indole-3-carboxylate hydrochloride
- ethyl 1-benzyl-4-[(dimethylamino)methyl]-5-hydroxy-2-phenyl-1H-indole-3-carboxylate
- 2-(2-naphthyl)-1H-indole-3-carboxylic acid.

- 10 (1-benzyl-6-hydroxy-2-methyl-1H-indol-3-yl)acetic acid
- (1-benzyl-4-hydroxy-2-methyl-1H-indol-3-yl)acetic acid
- (1-benzyl-6-hydroxy-2-methyl-1H-indol-3-yl)acetic acid
- (1-benzyl-7-hydroxy-2-methyl-1H-indol-3-yl)acetic acid
- [5-hydroxy-2-methyl-1-(2-phenylethyl)-1H-indol-3-yl]acetic acid

- 15 [5-hydroxy-2-(2-phenylethyl)-1H-indol-3-yl]acetic acid
- (1-benzyl-2-ethyl-5-hydroxy-1H-indol-3-yl)acetic acid
- (1-benzyl-2-ethyl-4-hydroxy-1H-indol-3-yl)acetic acid
- (1-benzyl-2-ethyl-6-hydroxy-1H-indol-3-yl)acetic acid
- (1-benzyl-2-ethyl-7-hydroxy-1H-indol-3-yl)acetic acid

- 20 (1-benzyl-5-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid
- (1-benzyl-4-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid
- (1-benzyl-6-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid
- (1-benzyl-7-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid
- (1-benzyl-5-hydroxy-1H-indol-3-yl)acetic acid

- 25 (1-benzyl-4-hydroxy-1H-indol-3-yl)acetic acid
- (1-benzyl-6-hydroxy-1H-indol-3-yl)acetic acid
- (1-benzyl-7-hydroxy-1H-indol-3-yl)acetic acid
- [5-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
- [4-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
- 30 [6-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
- [7-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

- [5-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
5 [5-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid
[2-ethyl-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
10 [2-ethyl-4-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-7-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-5-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
15 [2-ethyl-6-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-7-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-5-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-4-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-ethyl-6-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
20 [2-ethyl-7-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[5-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
25 [5-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[5-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
30 [4-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid

- [7-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid
[5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
5 [7-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[5-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
10 [5-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-bromo-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
15 [5-hydroxy-1-(4-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
[2-chloro-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-fluoro-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-chloro-4-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-bromo-4-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
20 [2-fluoro-4-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[4-hydroxy-1-(4-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
[2-bromo-6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-chloro-6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-fluoro-6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
25 [6-hydroxy-1-(4-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
[2-bromo-7-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-bromo-5-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-chloro-5-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[5-hydroxy-1-(2-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
30 [2-fluoro-5-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-chloro-7-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid

- [7-hydroxy-1-(4-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
[2-fluoro-7-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-bromo-4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-chloro-4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
5 [4-hydroxy-1-(2-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
[2-fluoro-4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-chloro-6-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-bromo-6-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[6-hydroxy-1-(2-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
10 [2-fluoro-6-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-bromo-7-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[7-hydroxy-1-(2-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid
[2-chloro-7-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
[2-fluoro-7-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid
15 3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-methyl-1H-indole-5-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-methyl-1H-indole-4-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-methyl-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-methyl-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-methyl-1H-indole-5-carboxylic acid
20 3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-methyl-1H-indole-4-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-methyl-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-methyl-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-methyl-1H-indole-5-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-methyl-1H-indole-4-carboxylic acid
25 3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-methyl-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-methyl-1H-indole-7-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(4-hydroxybenzyl)-1H-indole-5-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(4-hydroxybenzyl)-1H-indole-4-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(4-hydroxybenzyl)-1H-indole-6-carboxylic acid
30 3-(carboxymethyl)-2-ethyl-1-(4-hydroxybenzyl)-1H-indole-7-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(2-hydroxybenzyl)-1H-indole-5-carboxylic acid

- 3-(carboxymethyl)-2-ethyl-1-(2-hydroxybenzyl)-1H-indole-4-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(2-hydroxybenzyl)-1H-indole-6-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(2-hydroxybenzyl)-1H-indole-7-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(3-hydroxybenzyl)-1H-indole-5-carboxylic acid
5 3-(carboxymethyl)-2-ethyl-1-(3-hydroxybenzyl)-1H-indole-4-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(3-hydroxybenzyl)-1H-indole-6-carboxylic acid
3-(carboxymethyl)-2-ethyl-1-(3-hydroxybenzyl)-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-5-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-4-carboxylic acid
10 3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-4-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-6-carboxylic acid
15 3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-5-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-1H-indole-5-carboxylic acid
20 3-(carboxymethyl)-1-(4-hydroxybenzyl)-1H-indole-4-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(4-hydroxybenzyl)-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-1H-indole-5-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-1H-indole-4-carboxylic acid
25 3-(carboxymethyl)-1-(2-hydroxybenzyl)-1H-indole-6-carboxylic acid
3-(carboxymethyl)-1-(2-hydroxybenzyl)-1H-indole-7-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-1H-indole-5-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-1H-indole-4-carboxylic acid
3-(carboxymethyl)-1-(3-hydroxybenzyl)-1H-indole-6-carboxylic acid
30 3-(carboxymethyl)-1-(3-hydroxybenzyl)-1H-indole-7-carboxylic acid
1-benzyl-5-hydroxy-1H-indole-3-carboxylic acid

- 1-benzyl-4-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-6-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-7-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-2-ethyl-5-hydroxy-1H-indole-3-carboxylic acid
5 1-benzyl-2-ethyl-4-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-2-ethyl-6-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-2-ethyl-7-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-5-hydroxy-2-isopropyl-1H-indole-3-carboxylic acid
10 1-benzyl-4-hydroxy-2-isopropyl-1H-indole-3-carboxylic acid
1-benzyl-6-hydroxy-2-isopropyl-1H-indole-3-carboxylic acid
1-benzyl-5-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-4-hydroxy-1H-indole-3-carboxylic acid
1-benzyl-6-hydroxy-1H-indole-3-carboxylic acid
15 1-benzyl-7-hydroxy-1H-indole-3-carboxylic acid
2-ethyl-5-hydroxy-1-(4-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-4-hydroxy-1-(4-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-6-hydroxy-1-(4-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-7-hydroxy-1-(4-hydroxybenzyl)-1H-indole-3-carboxylic acid
20 2-ethyl-5-hydroxy-1-(2-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-4-hydroxy-1-(2-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-6-hydroxy-1-(2-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-7-hydroxy-1-(2-hydroxybenzyl)-1H-indole-3-carboxylic acid
2-ethyl-5-hydroxy-1-(3-hydroxybenzyl)-1H-indole-3-carboxylic acid
25 2-ethyl-4-hydroxy-1-(3-hydroxybenzyl)-1H-indole-3-carboxylic acid
5-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid
4-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid
6-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid
7-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid
30 5-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid
4-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

6-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

7-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

5-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

4-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

5 6-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

7-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

4-hydroxy-1H-indole-3-carboxylic acid

2-ethyl-7-hydroxy-1-(3-hydroxybenzyl)-1H-indole-3-carboxylic acid

10 1-benzyl-2-methyl-3-(5H-tetrazol-5-ylmethyl)-1H-indol-4-ol

10

[2-methyl-1-(3-trifluoromethoxybenzyl)indolin-3-yl]acetic acid

[2-chloro-5-methoxy-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid

[7-chloro-2-methyl-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid

15 [2,7-dichloro-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid

[2,5,6-trichloro-3-(3-trifluoromethoxybenzyl)-1H-indol-1-yl]acetic acid

[2,5,6-trichloro-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid

[2,6-dichloro-3-(3-trifluoromethoxybenzyl)-1H-indol-1-yl]acetic acid

[2,5-dichloro-3-(3-trifluoromethoxybenzyl)-1H-indol-1-yl]acetic acid

20 [2,6-dichloro-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid

[2,5-dichloro-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acetic acid

(2E)-3-[2,6-dichloro-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acrylic acid

(2E)-3-[2,5,6-trichloro-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acrylic acid

(2E)-3-[6-chloro-2-methyl-1-(3-trifluoromethoxybenzyl)-1H-indol-3-yl]acrylic acid

25

Additional Compounds

Additional useful compounds include: 5-Nitroindole; 5-Methoxy-2-methylindole

5-Methoxyindole-3-carboxaldehyde; 5-Methoxyindole; Indole-2-carboxylic acid

30 Indole-3-carbinol; Indole-3-acetic hydrazide; 5-Indolol; 5-Fluoroindole; Ethyl indole-2-carboxylate; Ethyl 5-hydroxy-2-methylindole-3-carboxylate; Indole-5-carbonitrile; 5-Chloroindole; 5-Bromoindole; 5-Benzylxyindole; 5-Aminoindole;

- 6-Fluorotryptamine hydrochloride; N-Acetyl-5-hydroxytryptamine; 4-Cyanoindole
7-Nitroindole; 7-Benzylxyindole; 1-(tert-Butoxycarbonyl)-5-chloroindole; Indole-4-
carboxaldehyde; Indole-7-carboxaldehyde; Methyl indole-6-carboxylate; 3-
Indoleacetonitrile; Indole-6-carboxylic acid; Indole-5-carboxylic acid; (5-
5 Benzylxyindol-3-yl)acetonitrile; (6-Methoxyindol-3-yl)methyl)dimethylamine; 5-
Iodoindole; tert-Butyl 5-bromoindole-1-carboxylate
5-Hydroxyindole-3-acetic acid; 2-(4-Fluorophenyl)-1H-indole-3-carboxaldehyde
3-(2-Hydroxyethyl)indole; 2-Phenylindole-3-carboxaldehyde; 5-Chloroindole-3-
carboxaldehyde; 5-Amino-2-methylindole; 4-Aminoindole
10 7-Bromo-2-methylindole; 6-Bromoindole; 2-Methyl-5-nitroindole; 5-Bromoindole-3-
carboxaldehyde; tert-Butyl 1-indolecarboxylate; Indole-5-carboxaldehyde; 5-Fluoro-2-
methylindole; Methyl indole-5-carboxylate; 1-Methylindole-2-carboxaldehyde; 5-
Methoxy-4-methylindole; 7-Chloroindole; 7-Bromoindole
6-Fluoroindole; 1-Methylindole-3-carboxylic acid; 4-Fluoroindole
15 3-(Trifluoroacetyl)indole; 2-(2-Aminophenyl)indole; 3-(2-Bromoethyl)indole
1-Acetyl-3-indolecarboxaldehyde; 1-Methylindole-3-carboxaldehyde; 5-Aminoindole
hydrochloride; 5-Methoxytryptamine; Methyl indole-4-carboxylate
4-Nitroindole; 2-Methylindole-3-carboxaldehyde; 4-Methoxyindole; Indole-4-carboxylic
acid; 5,6-Dimethoxyindole; 6-Chloroindole; 4-Chloroindole; 4-Benzylxyindole; 5-
20 Methylindole; 4-Indolol; 6-Methoxyindole; Ethyl 5-chloro-2-indolecarboxylate; 5-
(Benzylxy)indole; 5-Methylindole-3-carboxaldehyde,
Indole-3-carboxaldehyde; 7-Methoxyindole; 7-Aminoindole; and 3-Acetylindole, all or
some of which may be inhibitors of DAO and some or all of which may have one more
additional activities.

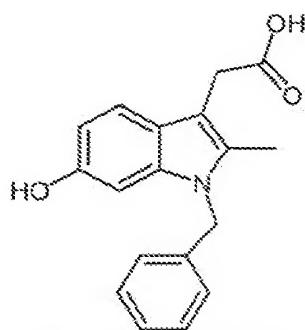
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DAO inhibitors can include the following compounds:

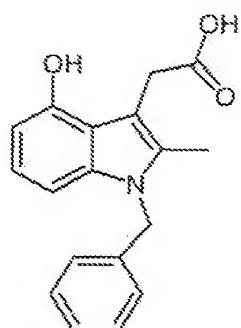
- 5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
2-(1*H*-pyrrolo[2,3-*b*]pyridin-2-yl)phenol
30 3-(1*H*-pyrrolo[2,3-*b*]pyridin-2-yl)pyridin-2-ol
2-(1*H*-pyrrolo[2,3-*b*]pyridin-2-yl)pyridin-3-ol

- 3-(1*H*-pyrrolo[2,3-*b*]pyridin-2-yl)pyridin-4-ol
4-(1*H*-pyrrolo[2,3-*b*]pyridin-2-yl)pyridin-3-ol
5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-3-carbonitrile
3-(aminomethyl)-1*H*-pyrrolo[2,3-*b*]pyridin-5-ol
5
7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylic acid
5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylic acid
5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
2,3,4,4a,5,7a-hexahydro-1*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
10 3a,4,5,6,7,7a-hexahydro-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylic acid
5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
1-benzyl-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(3-fluorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
15 1-(3,5-difluorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(3-chlorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(2-chlorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(4-fluorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(4-chlorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
20 1-benzyl-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(2-fluorobenzyl)-5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-benzyl-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
1-(2-hydroxybenzyl)-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
4-fluoro-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
25 3-fluoro-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
4-hydroxy-7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylic acid
ethyl 4-hydroxy-7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylate
4-chloro-7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylic acid
4-fluoro-7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylic acid
30 ethyl 4-fluoro-7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylate
ethyl 4-chloro-7*H*-pyrrolo[2,3-*d*]pyrimidine-6-carboxylate

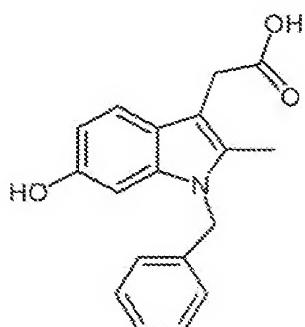
- 2-hydroxy-5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
2-fluoro-5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylic acid
ethyl 2-fluoro-5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylate
ethyl 2-hydroxy-5*H*-pyrrolo[2,3-*b*]pyrazine-6-carboxylate
5 3-(cyanomethyl)-1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxylic acid
(5-hydroxy-1*H*-pyrrolo[2,3-*b*]pyridin-3-yl)acetonitrile
- 2-(1*H*-indol-2-yl)pyridin-3-ol
3-(1*H*-indol-2-yl)pyridin-2-ol
10 3-(1*H*-indol-2-yl)pyridin-4-ol
4-(1*H*-indol-2-yl)pyridin-3-ol
2-(trifluoromethyl)-1*H*-indol-5-ol
2-(trifluoromethyl)-1*H*-indol-4-ol
3-(aminomethyl)-1*H*-indol-5-ol
15 5-hydroxy-1*H*-indole-3-carbonitrile
3-(aminomethyl)-1*H*-indole-2-carboxylic acid
3-(2-aminoethyl)-1*H*-indole-2-carboxylic acid
3-cyano-1*H*-indole-2-carboxylic acid
3-(aminomethyl)-1*H*-indole-2-carboxylic acid
20 1-benzyl-5-hydroxy-1*H*-indole-2-carboxylic acid
1-(3-fluorobenzyl)-5-hydroxy-1*H*-indole-2-carboxylic acid
1-(3,5-difluorobenzyl)-5-hydroxy-1*H*-indole-2-carboxylic acid
1-(3-chlorobenzyl)-5-hydroxy-1*H*-indole-2-carboxylic acid
1-(2-chlorobenzyl)-5-hydroxy-1*H*-indole-2-carboxylic acid
25 1-(4-fluorobenzyl)-5-hydroxy-1*H*-indole-2-carboxylic acid
1-(4-chlorobenzyl)-5-hydroxy-1*H*-indole-2-carboxylic acid
1-benzyl-5-hydroxy-1*H*-indole-2-carboxylic acid
1-benzyl-5-hydroxy-1*H*-indole-2-carboxylic acid
3-(cyanomethyl)-1*H*-indole-2-carboxylic acid
30 (5-hydroxy-1*H*-indol-3-yl)acetonitrile



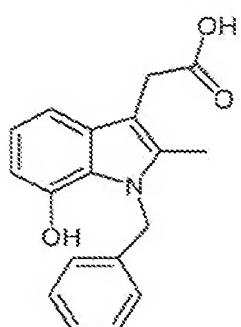
(1-benzyl-6-hydroxy-2-methyl-1H-indol-3-yl)acetic acid

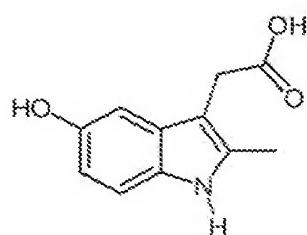
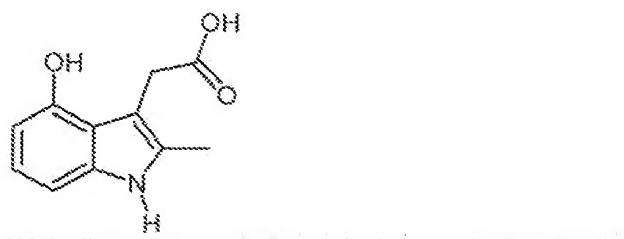
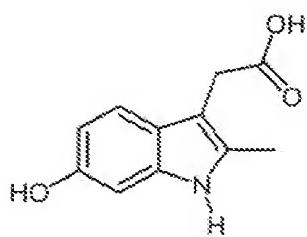


5 (1-benzyl-4-hydroxy-2-methyl-1H-indol-3-yl)acetic acid

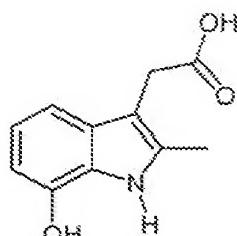


10 (1-benzyl-6-hydroxy-2-methyl-1H-indol-3-yl)acetic acid



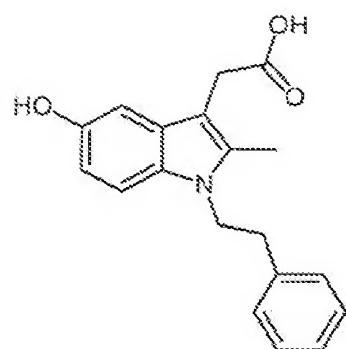
(1-benzyl-7-hydroxy-2-methyl-1H-indol-3-yl)acetic acid5 (5-hydroxy-2-methyl-1H-indol-3-yl)acetic acid(4-hydroxy-2-methyl-1H-indol-3-yl)acetic acid

10

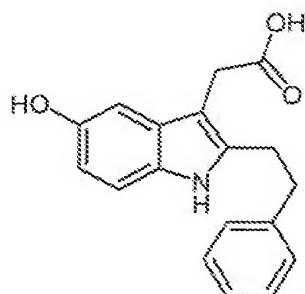
(6-hydroxy-2-methyl-1H-indol-3-yl)acetic acid

15

(7-hydroxy-2-methyl-1H-indol-3-yl)acetic acid

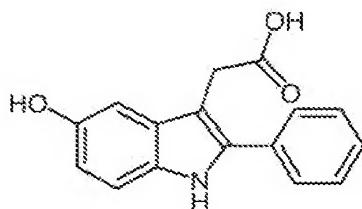


[5-hydroxy-2-methyl-1-(2-phenylethyl)-1H-indol-3-yl]acetic acid



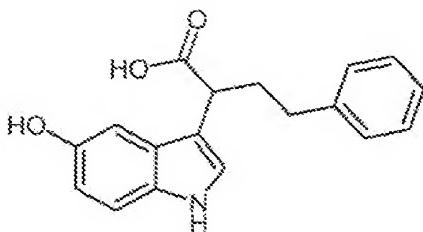
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[5-hydroxy-2-(2-phenylethyl)-1H-indol-3-yl]acetic acid



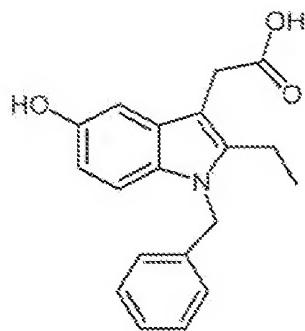
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(5-hydroxy-2-phenyl-1H-indol-3-yl)acetic acid

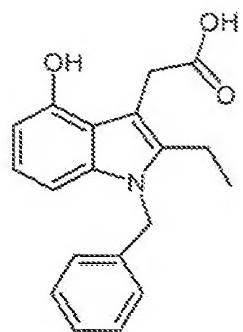


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2-(5-hydroxy-1H-indol-3-yl)-4-phenylbutanoic acid

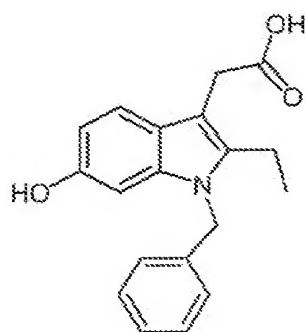


(1-benzyl-2-ethyl-5-hydroxy-1H-indol-3-yl)acetic acid



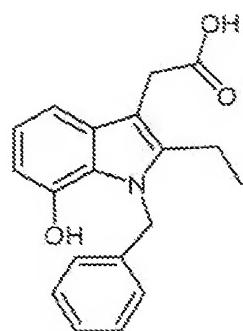
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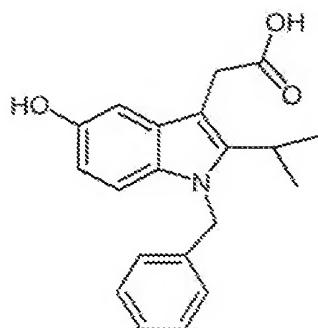
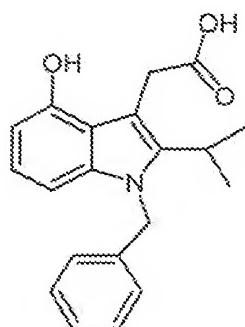
(1-benzyl-2-ethyl-4-hydroxy-1H-indol-3-yl)acetic acid



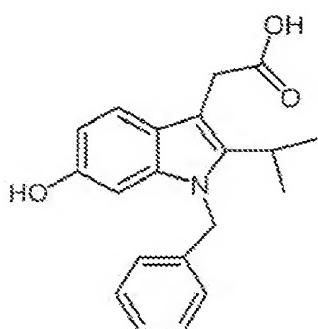
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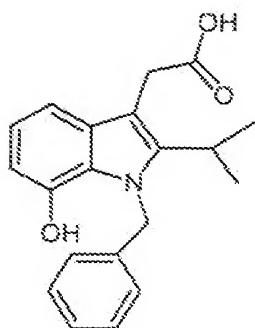
(1-benzyl-2-ethyl-6-hydroxy-1H-indol-3-yl)acetic acid



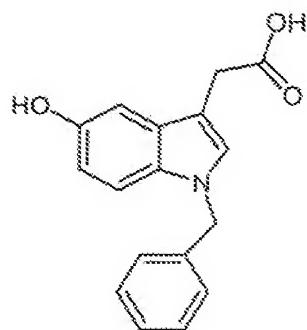
(1-benzyl-2-ethyl-7-hydroxy-1H-indol-3-yl)acetic acid5 (1-benzyl-5-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid(1-benzyl-4-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid

10

(1-benzyl-6-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid

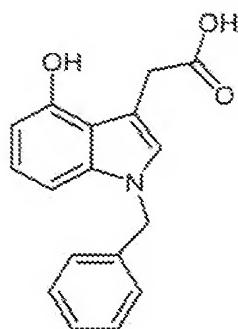


(1-benzyl-7-hydroxy-2-isopropyl-1H-indol-3-yl)acetic acid



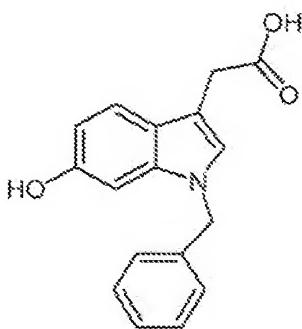
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(1-benzyl-5-hydroxy-1H-indol-3-yl)acetic acid

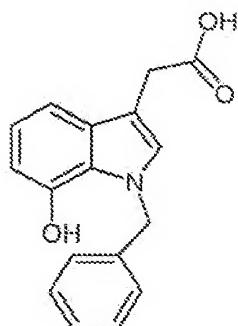


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(1-benzyl-4-hydroxy-1H-indol-3-yl)acetic acid

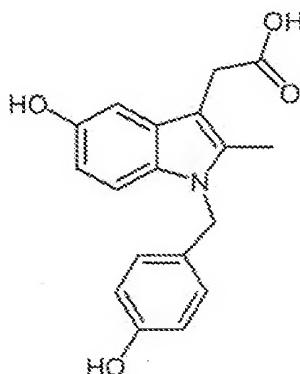


(1-benzyl-6-hydroxy-1H-indol-3-yl)acetic acid



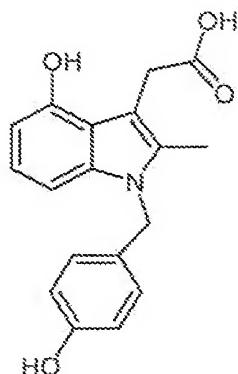
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(1-benzyl-7-hydroxy-1H-indol-3-yl)acetic acid



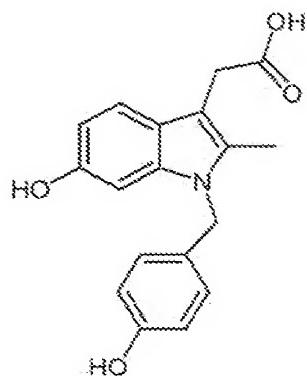
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[5-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

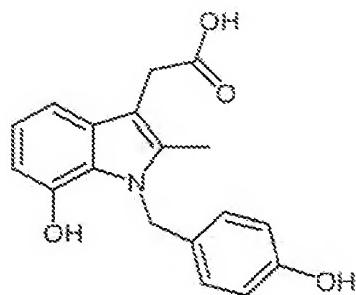


[4-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

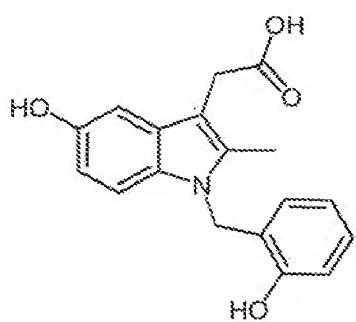
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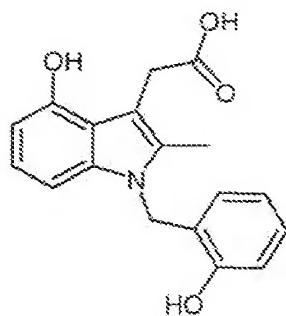
[6-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

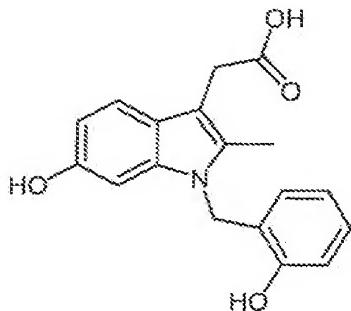
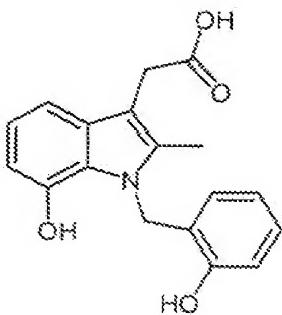


5 [7-hydroxy-1-(4-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

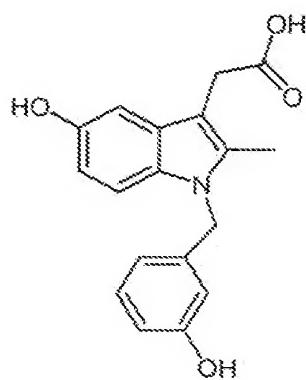


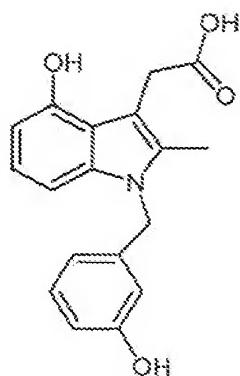
10 [5-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid



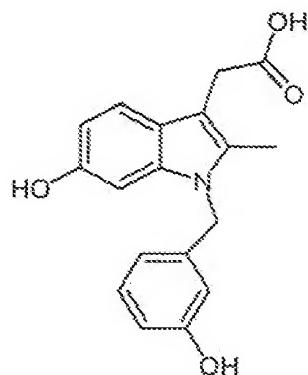
[4-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid5 [6-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid[7-hydroxy-1-(2-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

10

[5-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

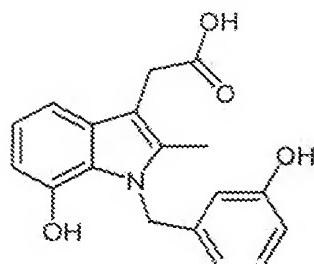


[4-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid



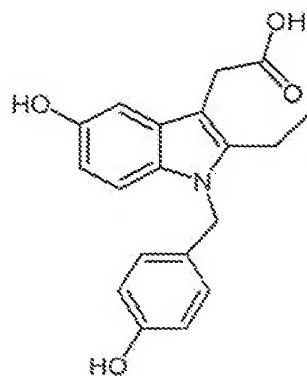
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[6-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

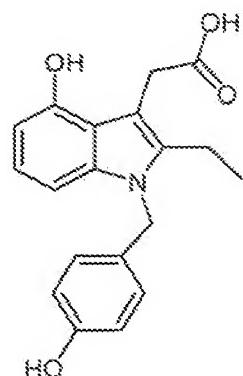


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[7-hydroxy-1-(3-hydroxybenzyl)-2-methyl-1H-indol-3-yl]acetic acid

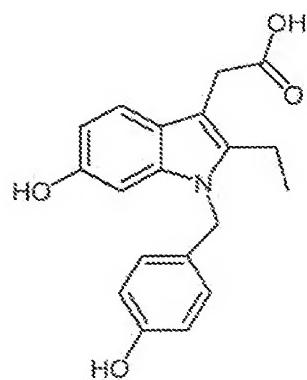


[2-ethyl-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid



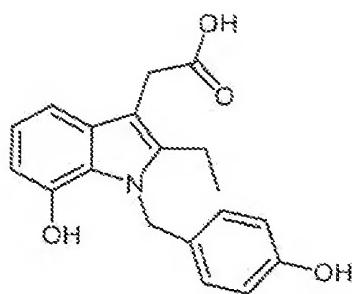
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[2-ethyl-4-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid

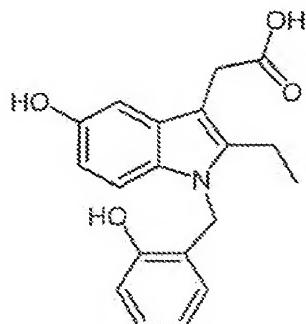


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[2-ethyl-6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid

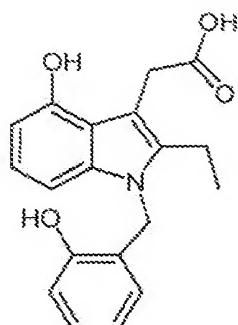


[2-ethyl-7-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid



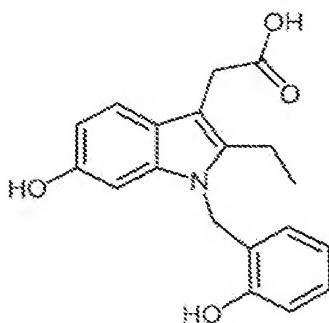
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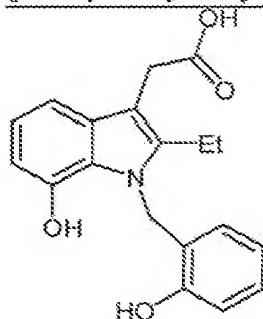
[2-ethyl-5-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid



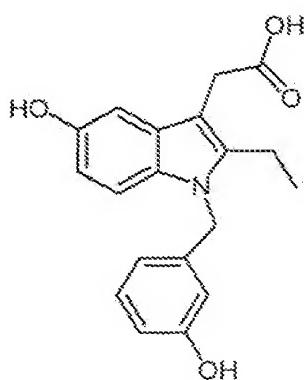
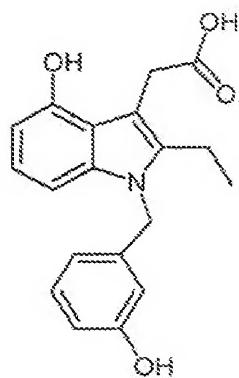
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[2-ethyl-4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid



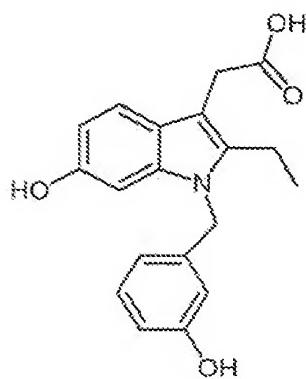
[2-ethyl-6-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid[2-ethyl-7-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid

5

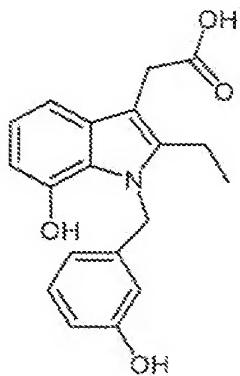
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[2-ethyl-4-hydroxy-1-(3-hydroxybenzyl)-1H-indol-3-yl]acetic acid

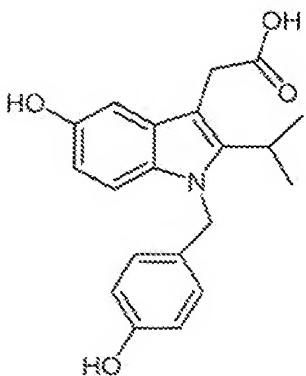


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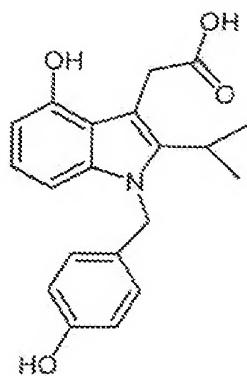
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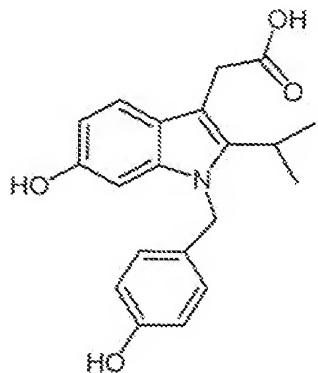


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[5-hydroxy-1-(4-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid

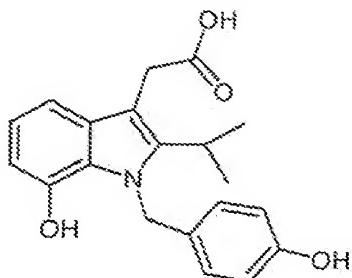


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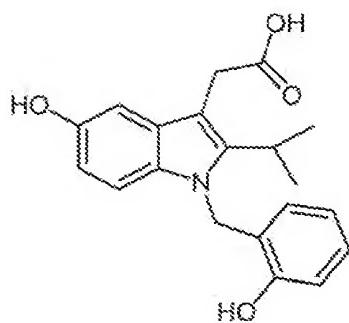
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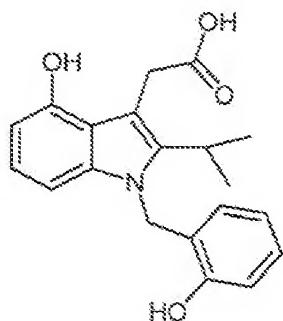


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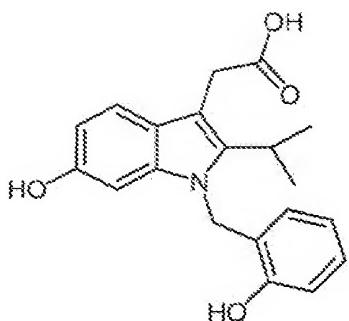


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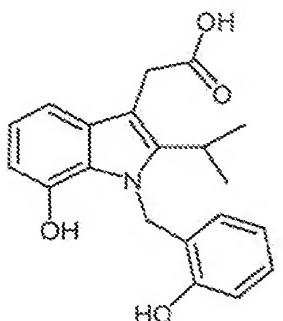
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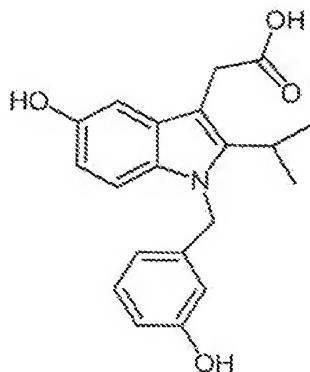
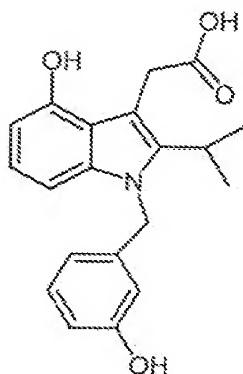
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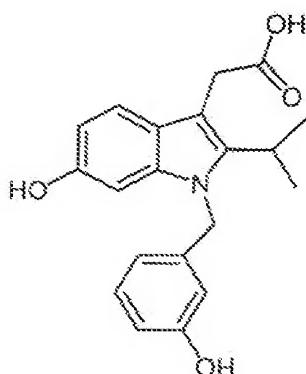
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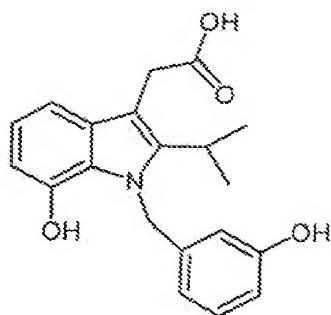
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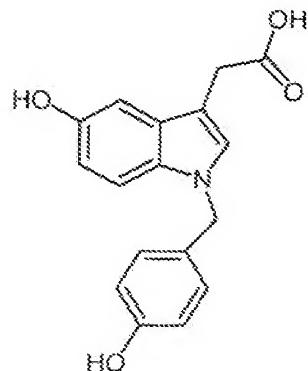
[7-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid5 [5-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid[4-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indol-3-yl]acetic acid

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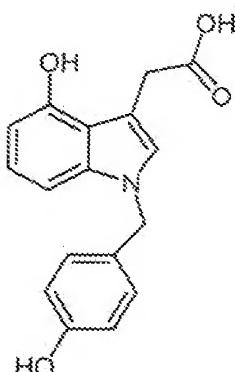


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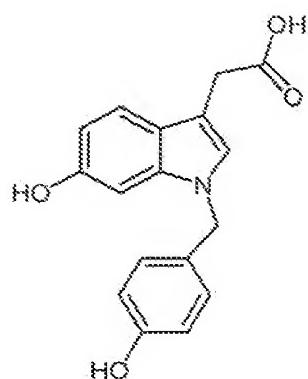
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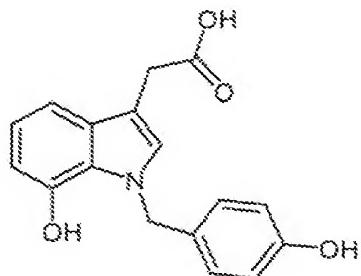


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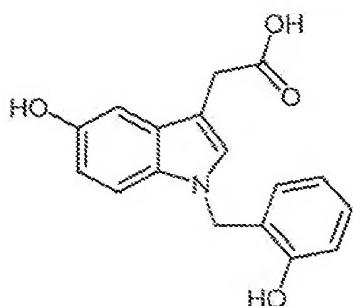
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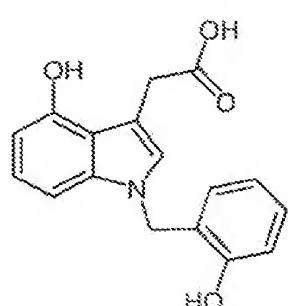
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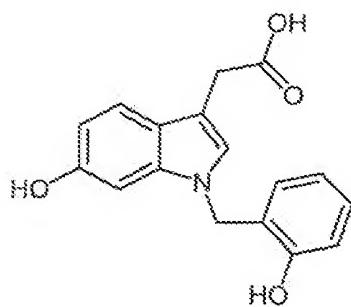
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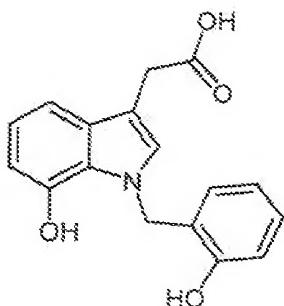
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[4-hydroxy-1-(2-hydroxybenzyl)-1H-indol-3-yl]acetic acid

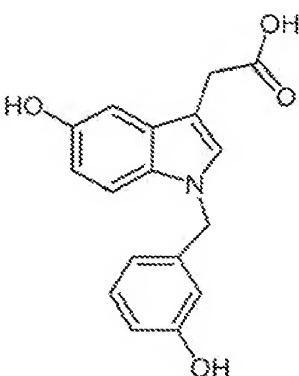


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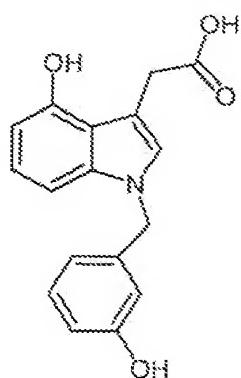
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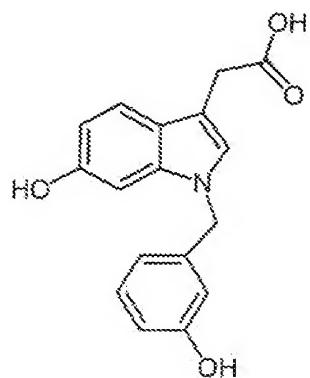


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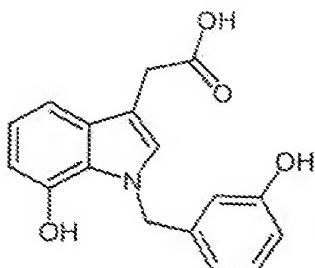


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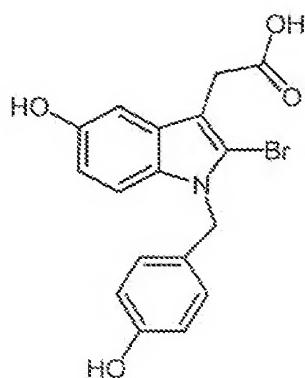
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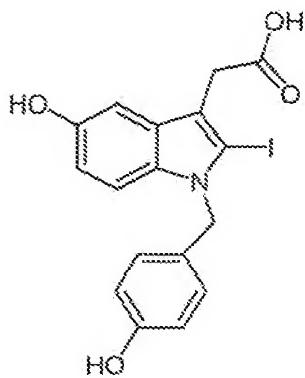


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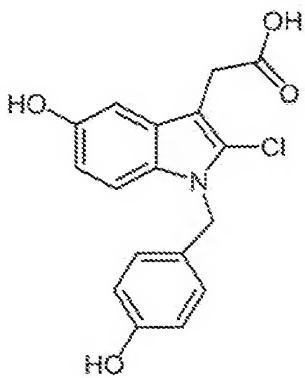
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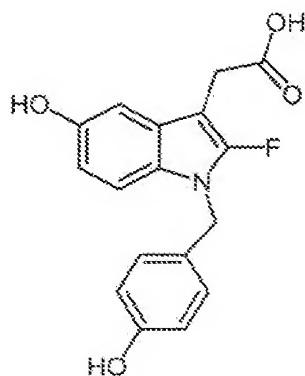
[2-bromo-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid



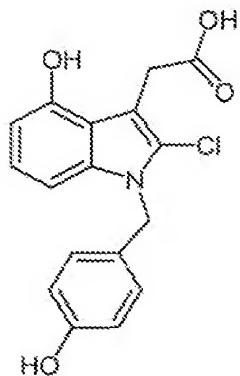
[5-hydroxy-1-(4-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid



[2-chloro-5-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid

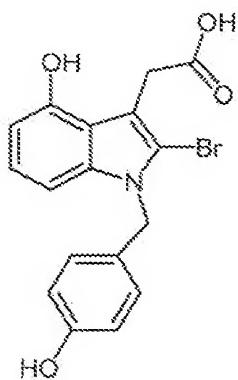


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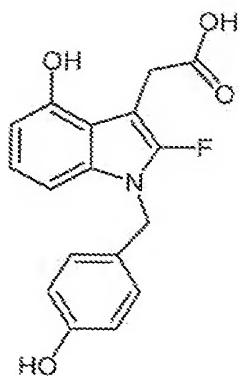
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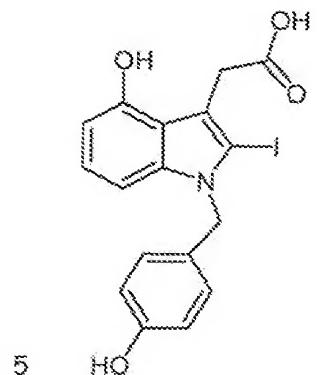


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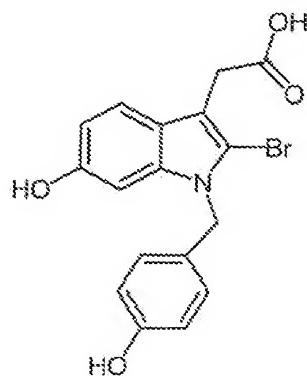
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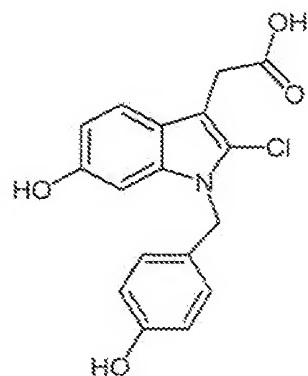
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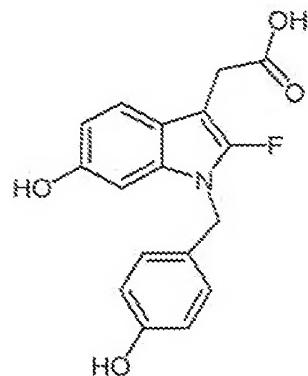
[4-hydroxy-1-(4-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid



[2-bromo-6-hydroxy-1-(4-hydroxybenzyl)-1H-indol-3-yl]acetic acid

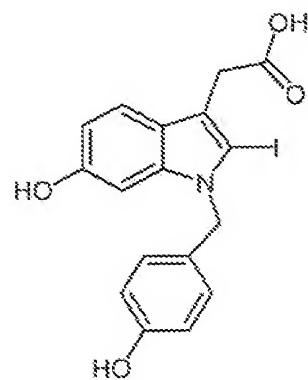


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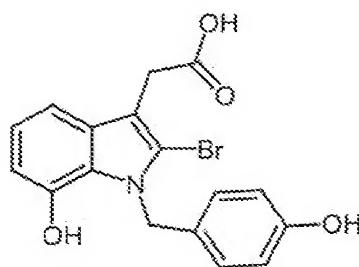
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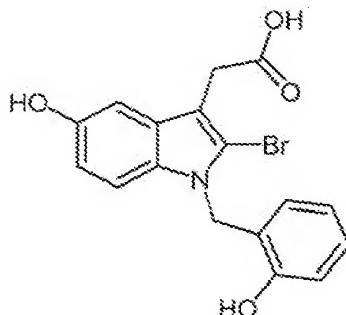


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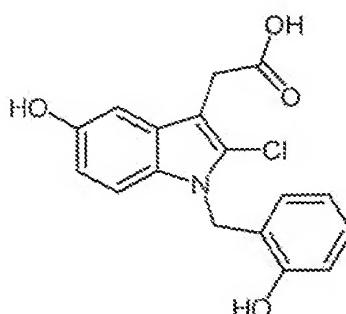
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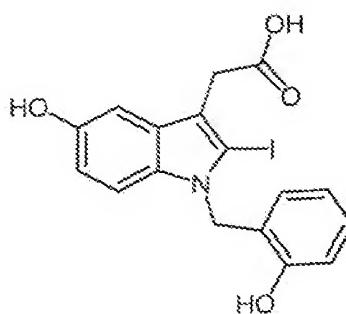
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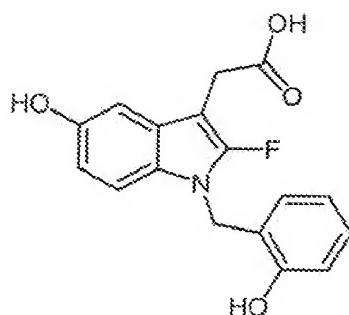
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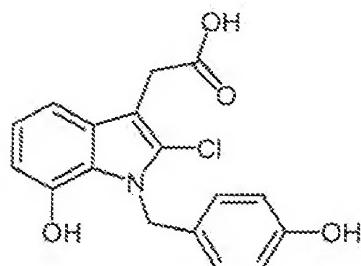
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15 [5-hydroxy-1-(2-hydroxybenzyl)-2-iodo-1H-indol-3-yl]acetic acid

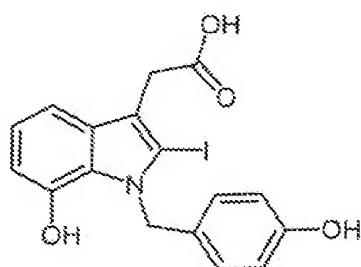


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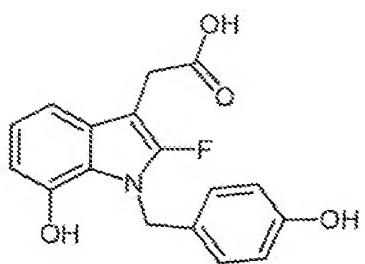
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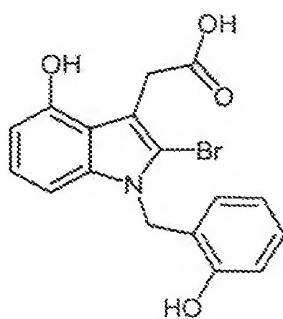
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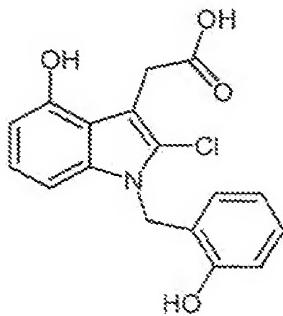


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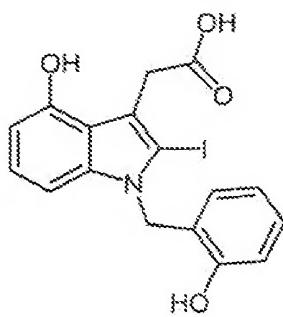


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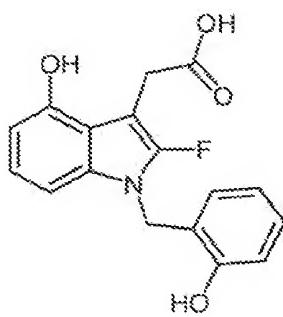
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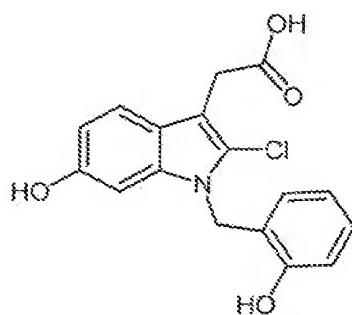
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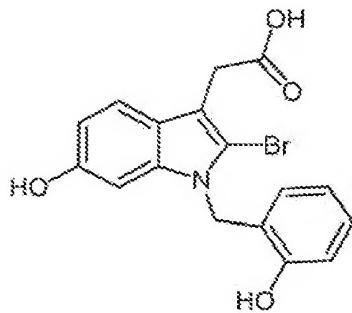


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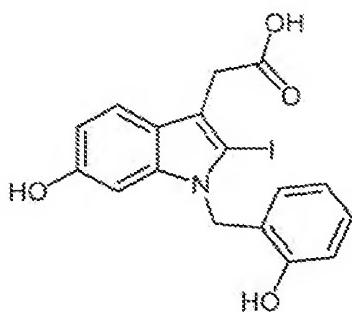


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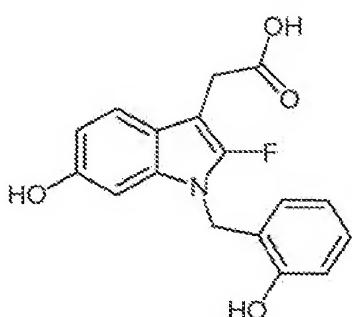
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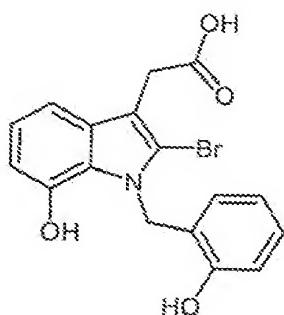
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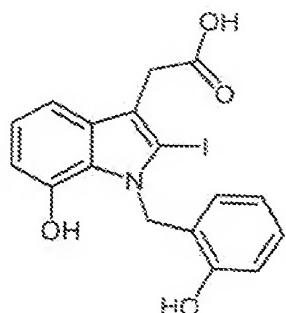


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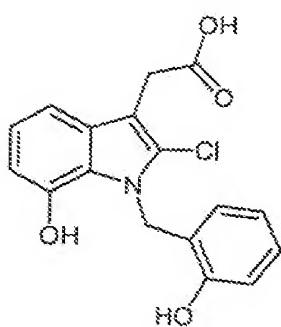


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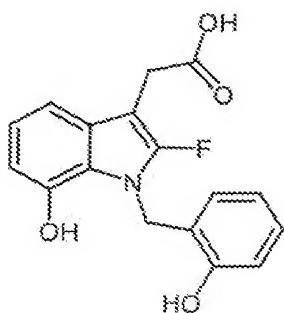
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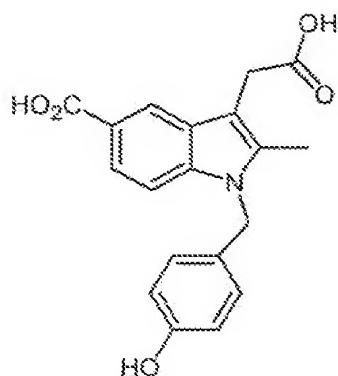
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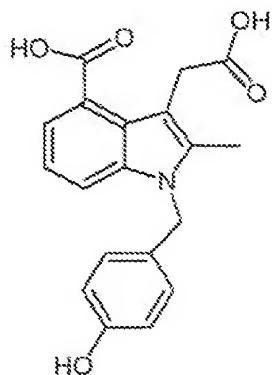


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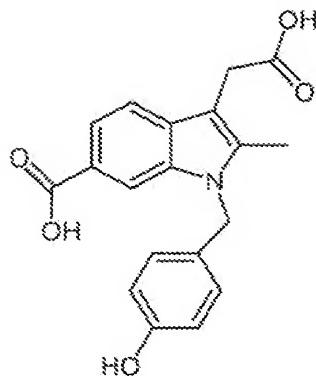


3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-methyl-1H-indole-5-carboxylic acid



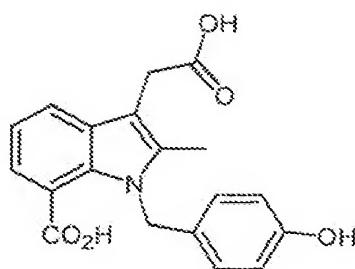
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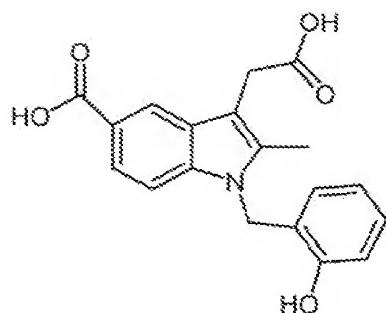


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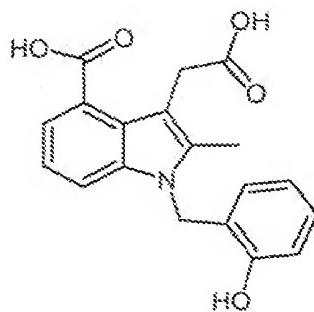


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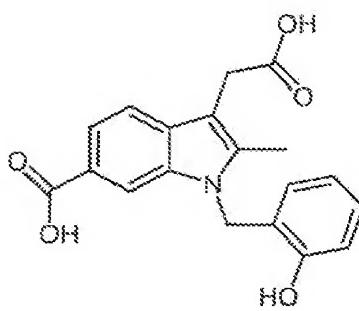
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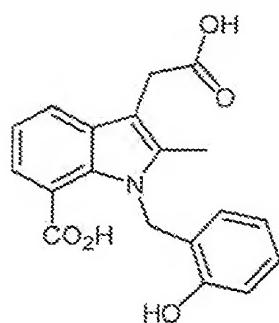
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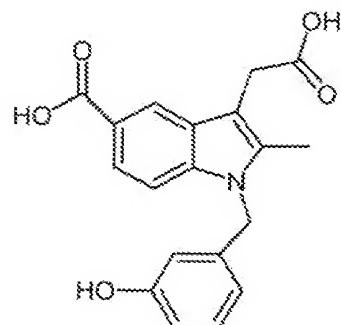


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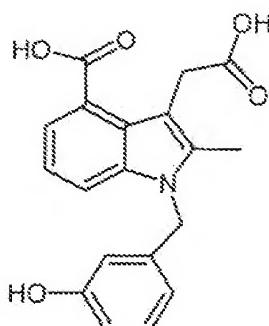


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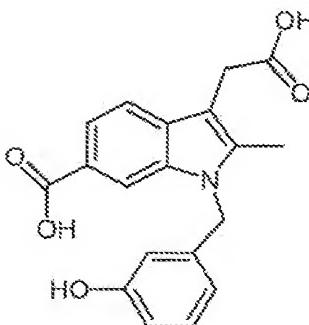
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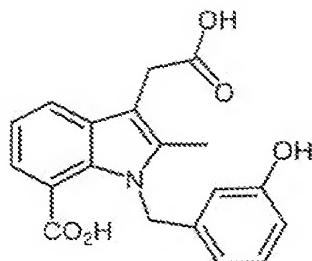
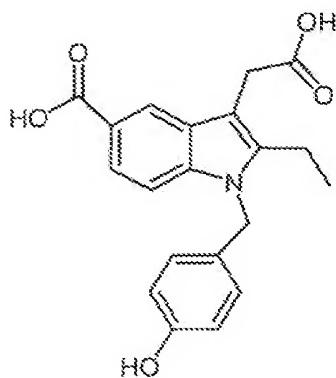
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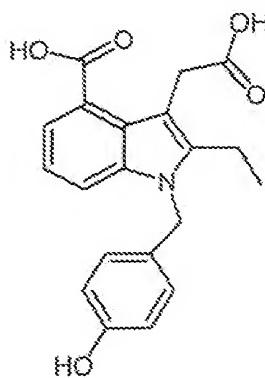
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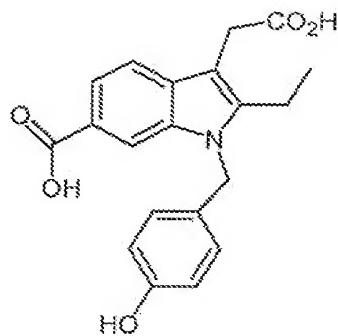
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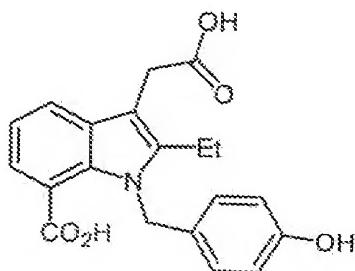
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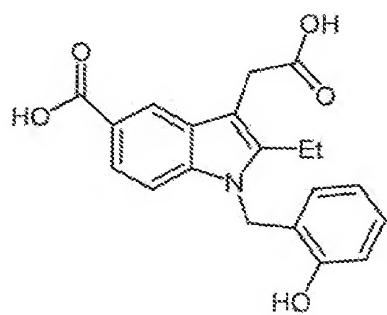


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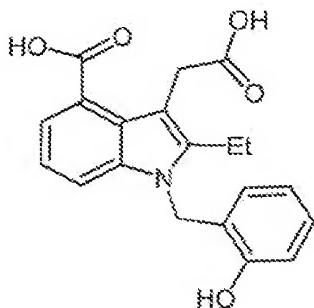
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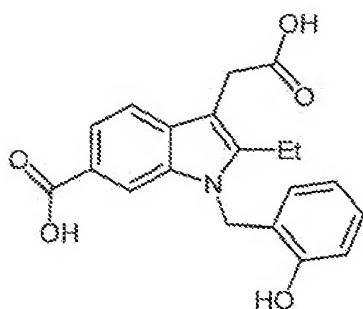
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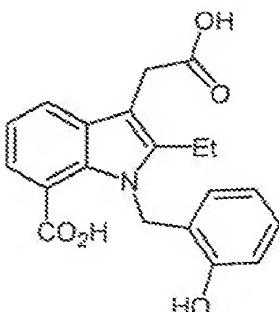


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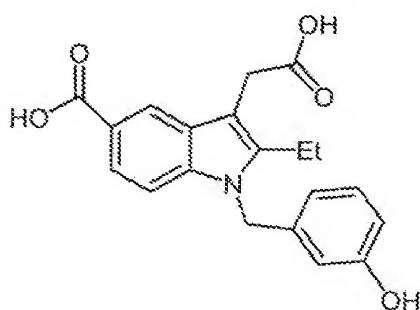


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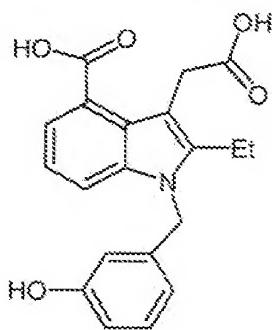
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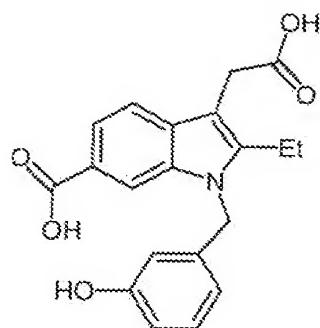
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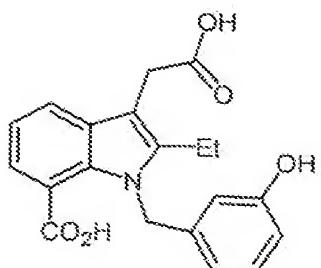


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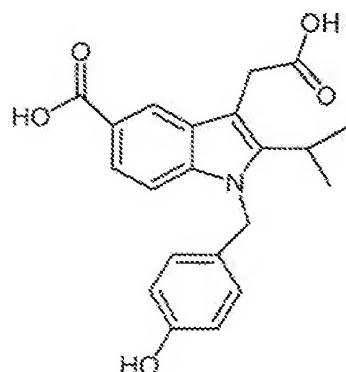


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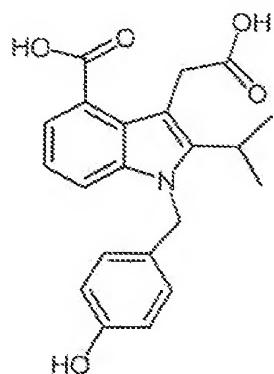
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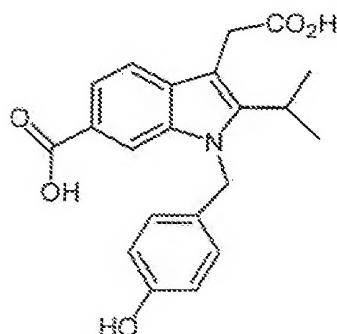


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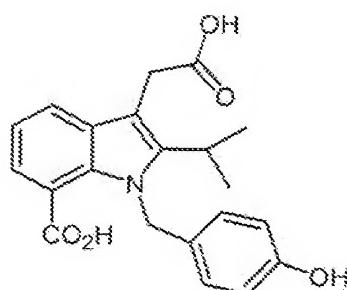


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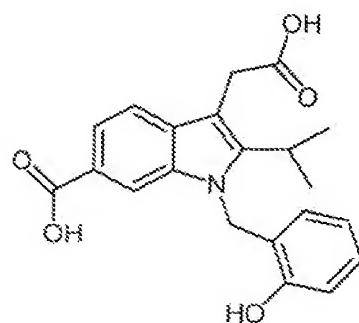
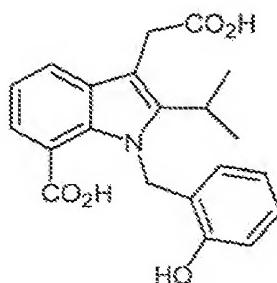
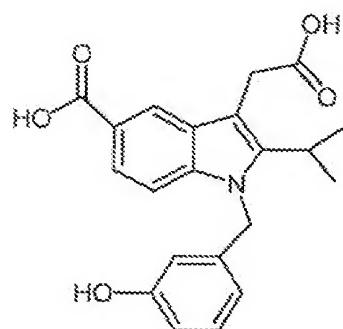
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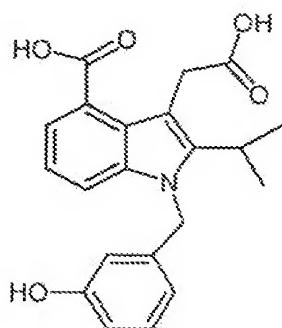


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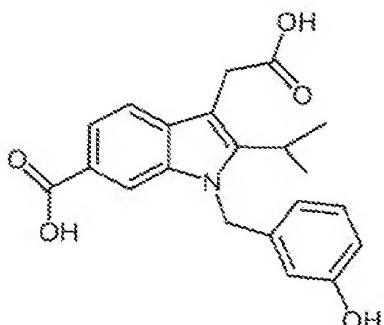
3-(carboxymethyl)-1-(4-hydroxybenzyl)-2-isopropyl-1H-indole-7-carboxylic acid



3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-4-carboxylic acid5 3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-6-carboxylic acid10 3-(carboxymethyl)-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-7-carboxylic acid15 3-(carboxymethyl)-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-5-carboxylic acid

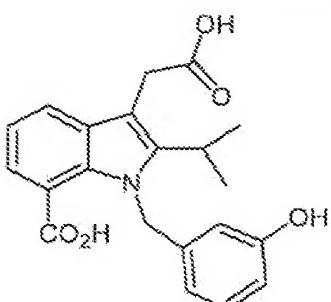


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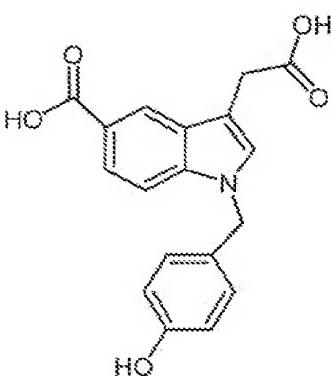
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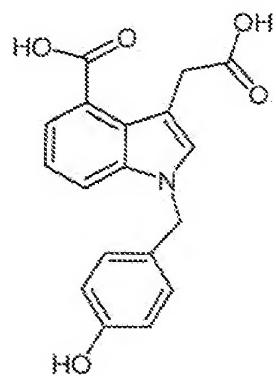
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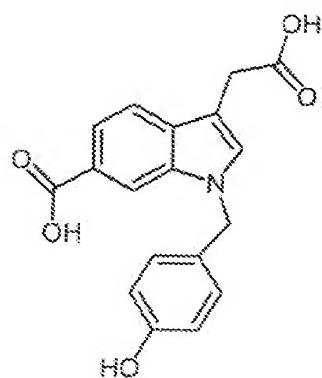
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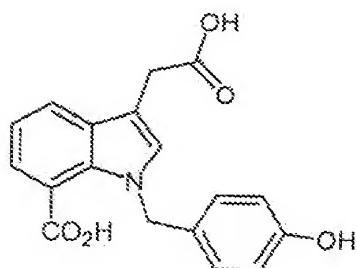


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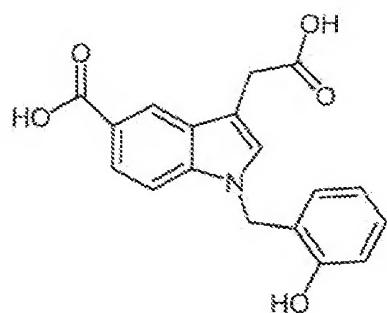
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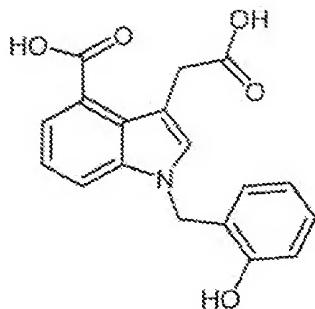
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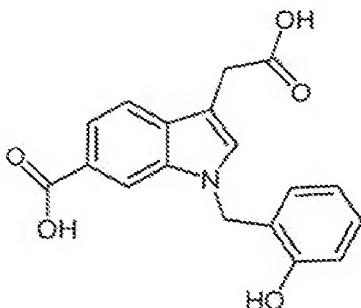


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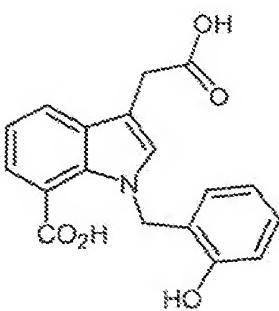
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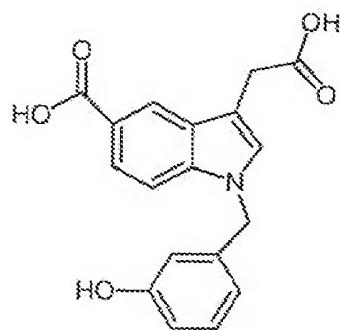
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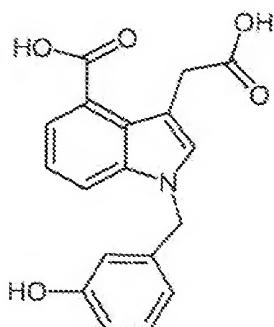


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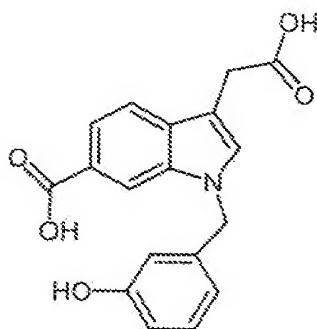


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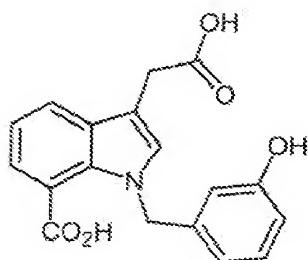
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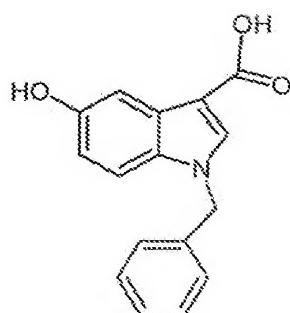
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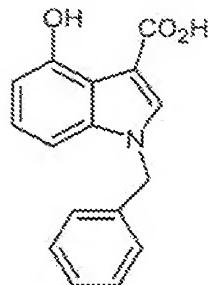


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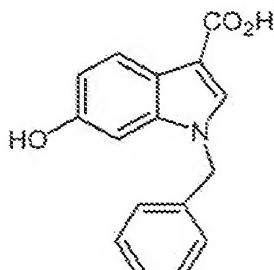


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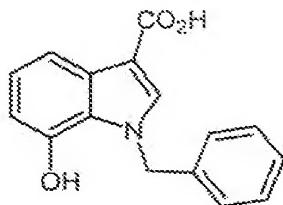
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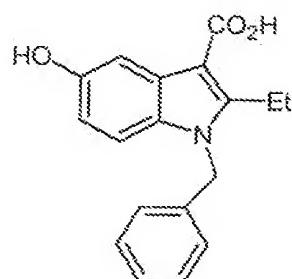
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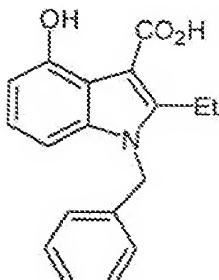


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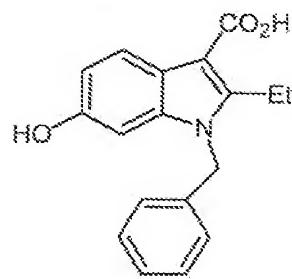


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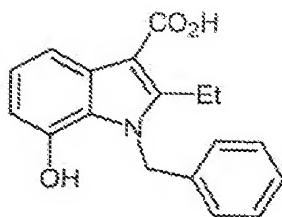
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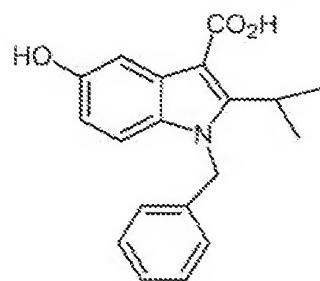
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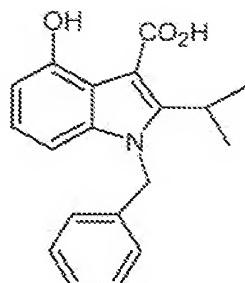


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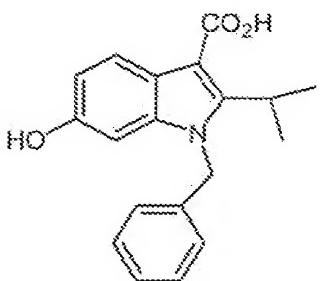


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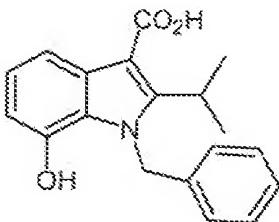
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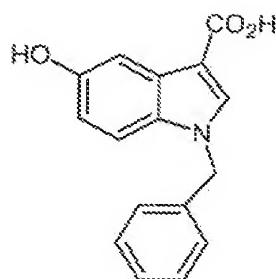
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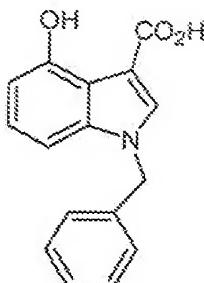


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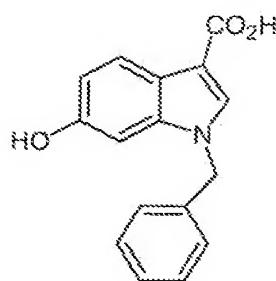


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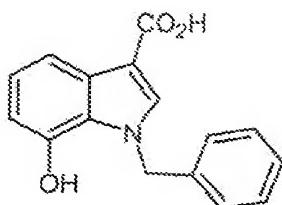
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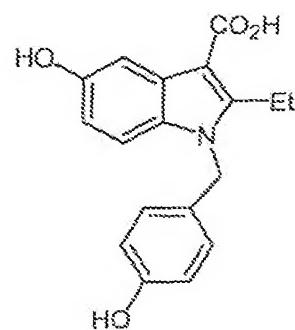
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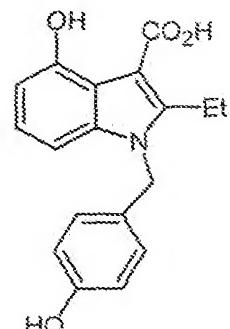


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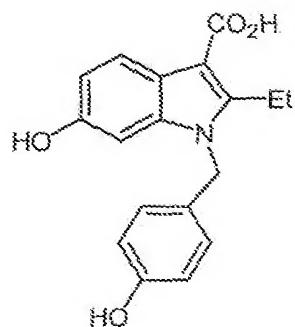


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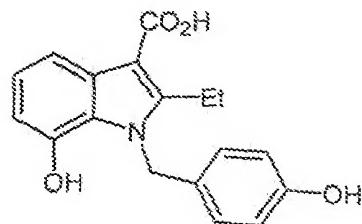
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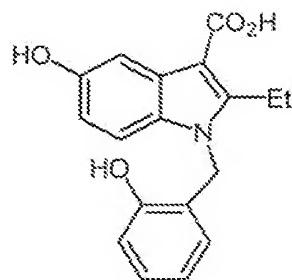
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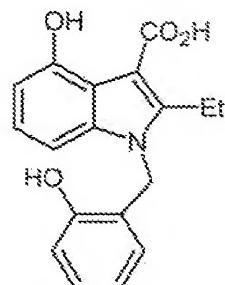


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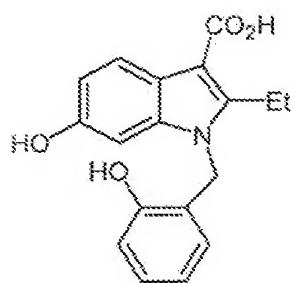


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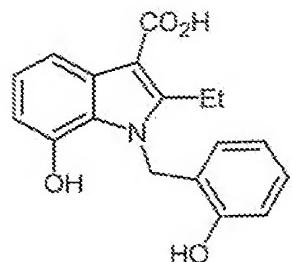
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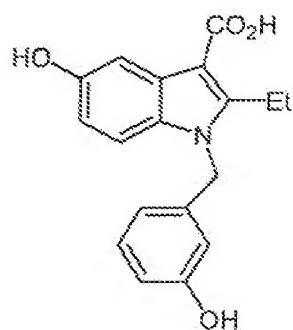
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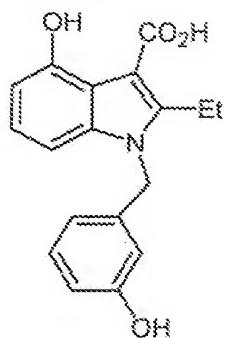


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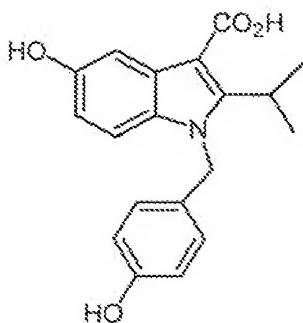


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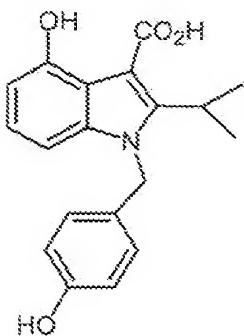
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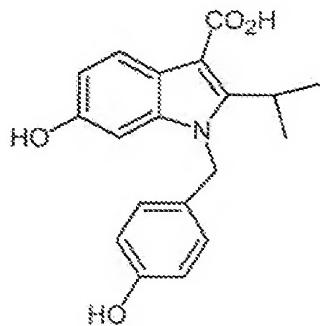
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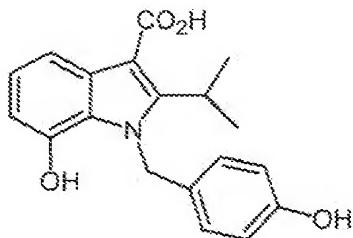
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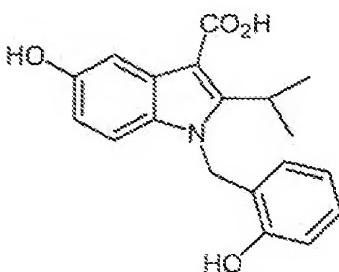


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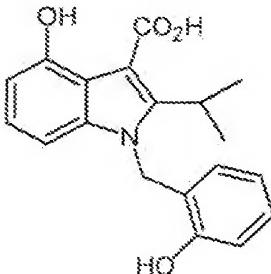
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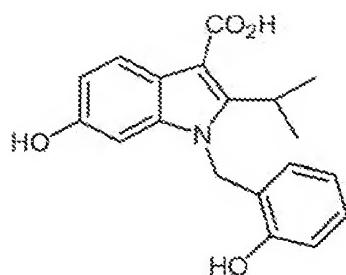
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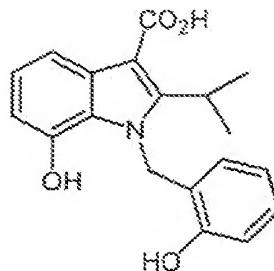
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4-hydroxy-1-(2-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

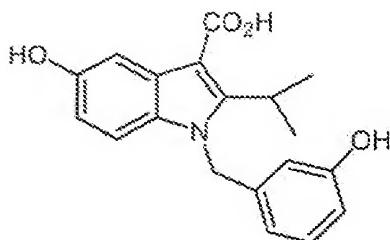


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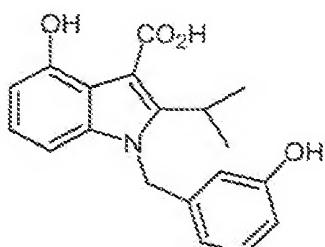
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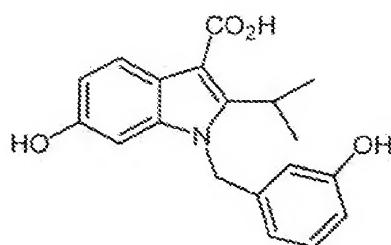
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5-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

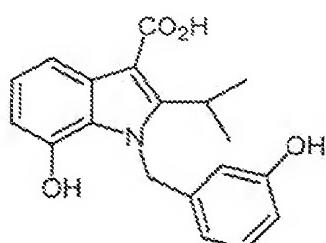


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4-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid

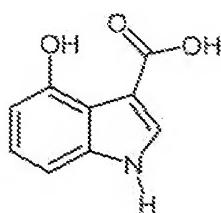


6-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid



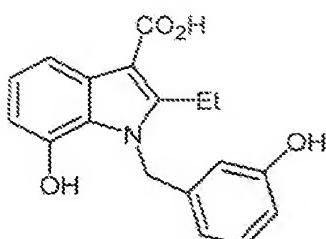
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7-hydroxy-1-(3-hydroxybenzyl)-2-isopropyl-1H-indole-3-carboxylic acid



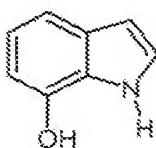
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4-hydroxy-1H-indole-3-carboxylic acid



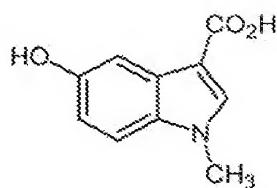
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2-ethyl-7-hydroxy-1-(3-hydroxybenzyl)-1H-indole-3-carboxylic acid

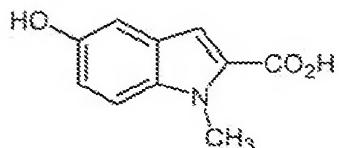


1H-indol-7-ol

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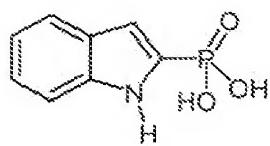


5-hydroxy-1-methyl-1H-indole-3-carboxylic acid



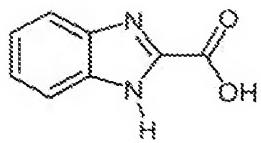
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5-hydroxy-1-methyl-1H-indole-2-carboxylic acid



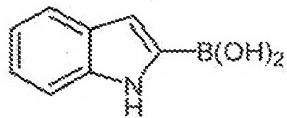
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1H-indol-2-ylphosphonic acid



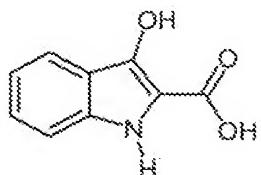
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1H-benzimidazole-2-carboxylic acid

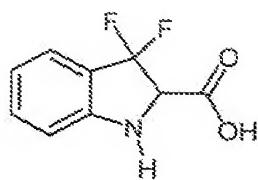


1H-indole-2-boronic acid

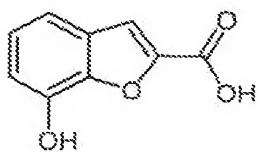
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3-hydroxy-1H-indole-2-carboxylic acid

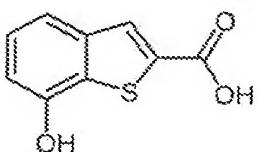


3,3-difluoroindoline-2-carboxylic acid



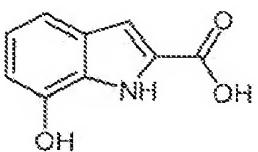
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7-hydroxy-1-benzofuran-2-carboxylic acid



10

7-hydroxy-1-benzothiophene-2-carboxylic acid



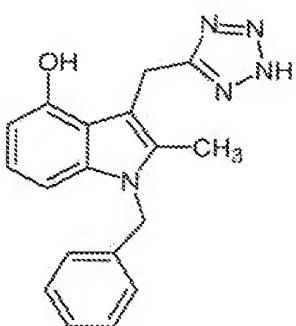
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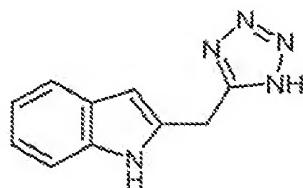
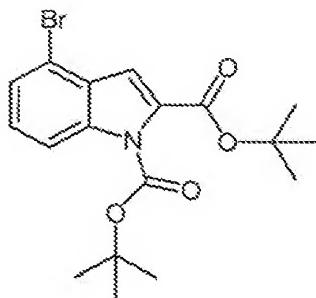
7-hydroxy-1H-indole-2-carboxylic acid



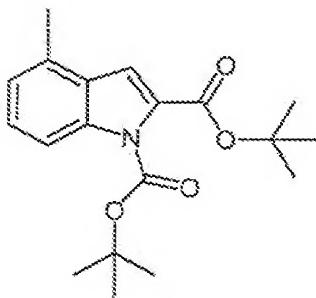
2-(5H-tetrazol-5-yl)-1H-indole

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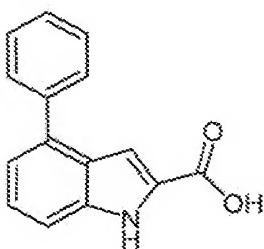


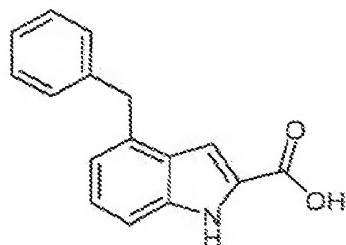
1-benzyl-2-methyl-3-(5H-tetrazol-5-ylmethyl)-1H-indol-4-ol5 2-(5H-tetrazol-5-ylmethyl)-1H-indoledi-tert-butyl 4-bromo-1H-indole-1,2-dicarboxylate

10

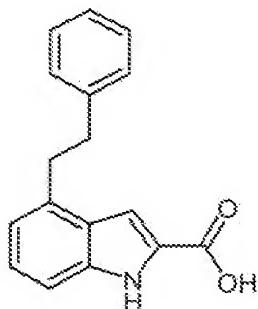
di-tert-butyl 4-iodo-1H-indole-1,2-dicarboxylate

15

4-phenyl-1H-indole-2-carboxylic acid

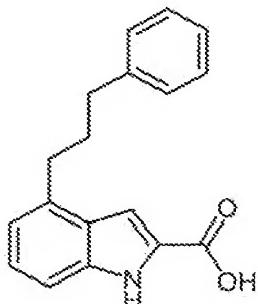


4-benzyl-1H-indole-2-carboxylic acid



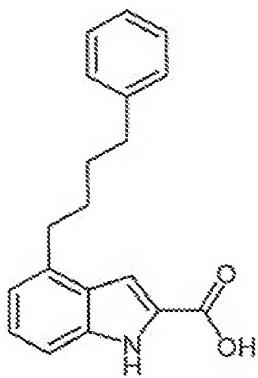
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4-(2-phenylethyl)-1H-indole-2-carboxylic acid



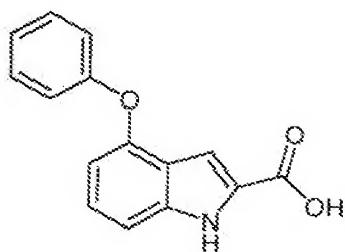
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4-(3-phenylpropyl)-1H-indole-2-carboxylic acid

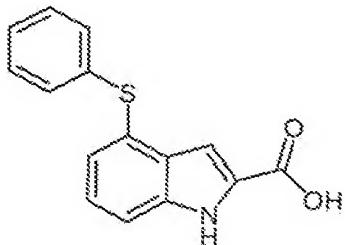


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4-(4-phenylbutyl)-1H-indole-2-carboxylic acid

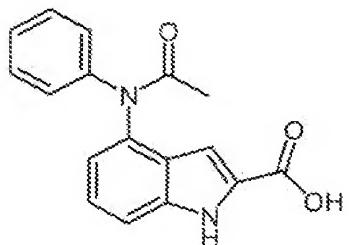


4-phenoxy-1H-indole-2-carboxylic acid



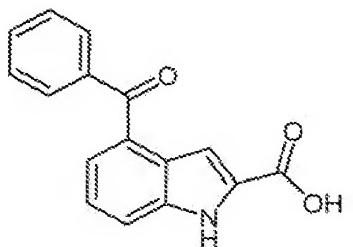
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4-(phenylthio)-1H-indole-2-carboxylic acid



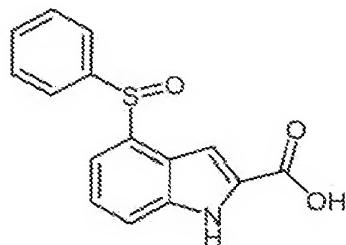
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4-[acetyl(phenyl)amino]-1H-indole-2-carboxylic acid

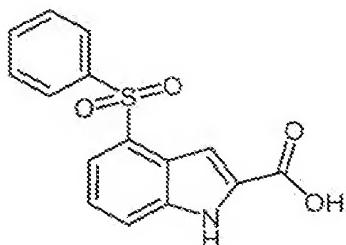


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4-benzoyl-1H-indole-2-carboxylic acid

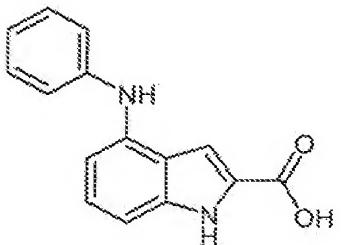


4-(phenylsulfinyl)-1H-indole-2-carboxylic acid



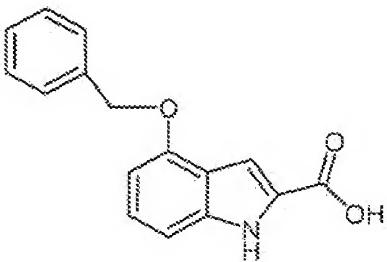
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4-(phenylsulfonyl)-1H-indole-2-carboxylic acid



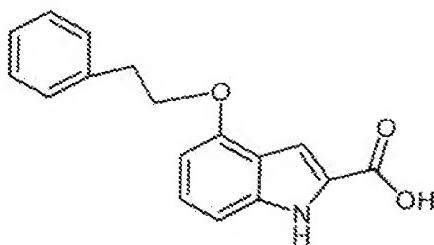
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4-anilino-1H-indole-2-carboxylic acid

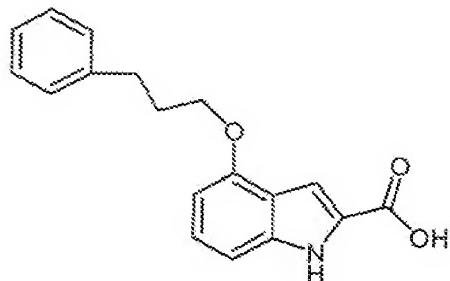


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4-(benzyloxy)-1H-indole-2-carboxylic acid

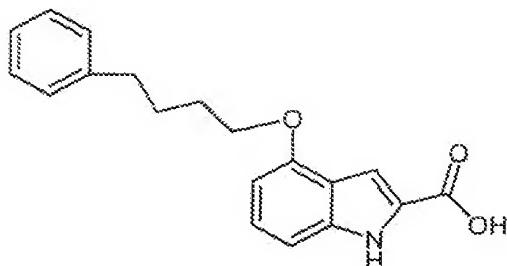


4-(2-phenylethoxy)-1H-indole-2-carboxylic acid



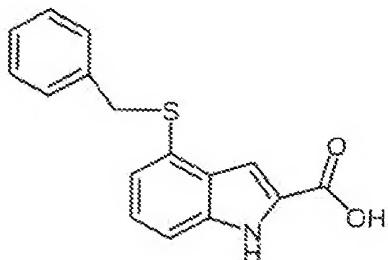
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4-(3-phenylpropoxy)-1H-indole-2-carboxylic acid



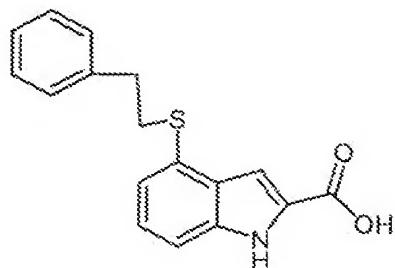
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4-(4-phenylbutoxy)-1H-indole-2-carboxylic acid

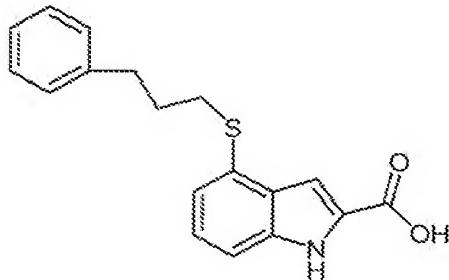


15

4-(benzylthio)-1H-indole-2-carboxylic acid

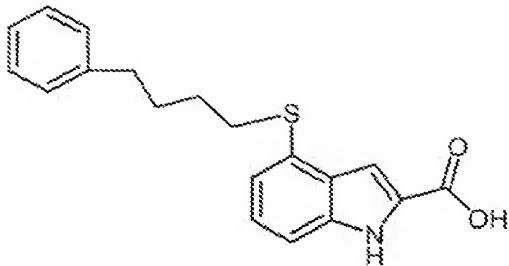


4-[(2-phenylethyl)thio]-1H-indole-2-carboxylic acid



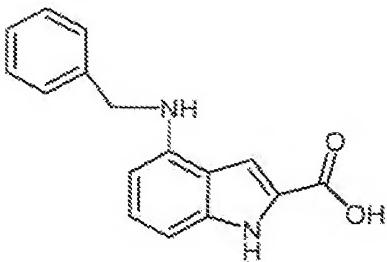
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4-[(3-phenylpropyl)thio]-1H-indole-2-carboxylic acid



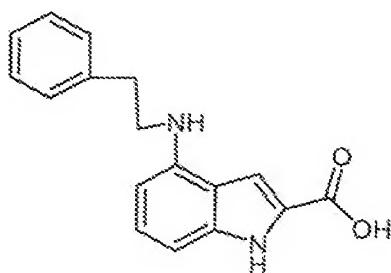
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4-[(4-phenylbutyl)thio]-1H-indole-2-carboxylic acid

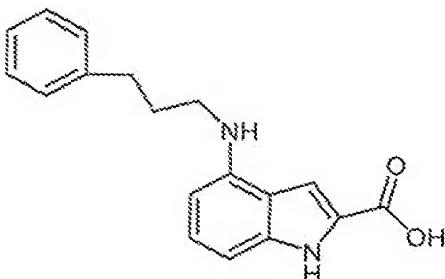


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4-(benzylamino)-1H-indole-2-carboxylic acid

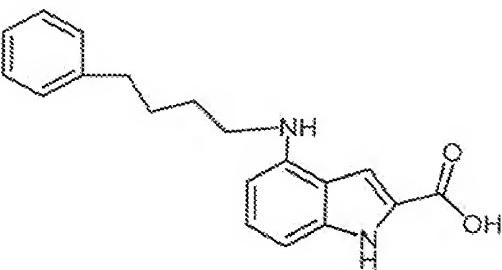


4-[(2-phenylethyl)amino]-1H-indole-2-carboxylic acid



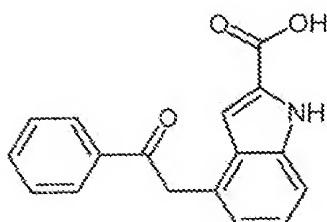
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4-[(3-phenylpropyl)amino]-1H-indole-2-carboxylic acid



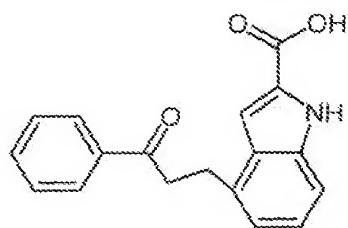
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4-[(4-phenylbutyl)amino]-1H-indole-2-carboxylic acid

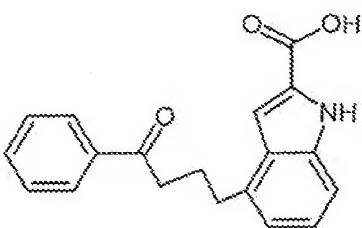


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4-(2-oxo-2-phenylethyl)-1H-indole-2-carboxylic acid

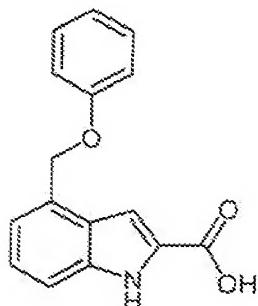


4-(3-oxo-3-phenylpropyl)-1H-indole-2-carboxylic acid



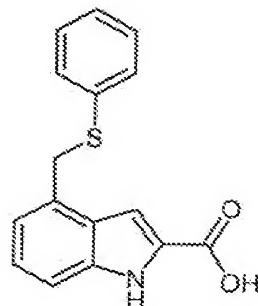
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4-(4-oxo-4-phenylbutyl)-1H-indole-2-carboxylic acid



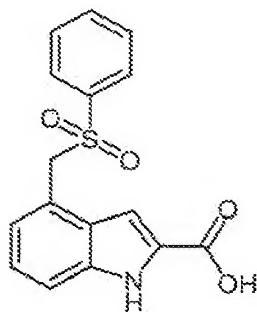
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4-(phenoxymethyl)-1H-indole-2-carboxylic acid

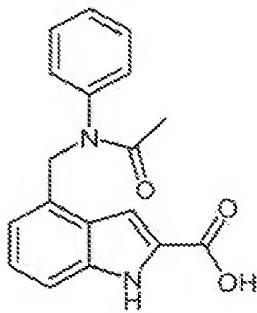


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4-[(phenylthio)methyl]-1H-indole-2-carboxylic acid

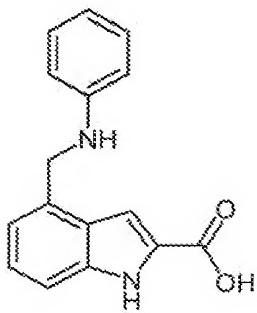


4-[(phenylsulfonyl)methyl]-1H-indole-2-carboxylic acid



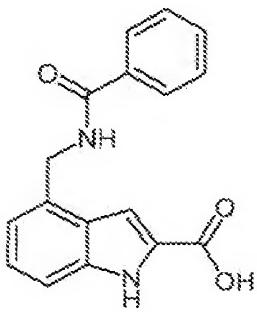
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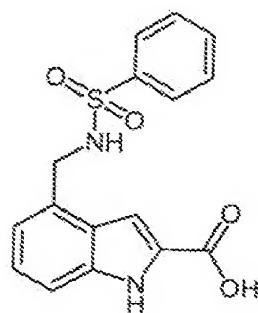
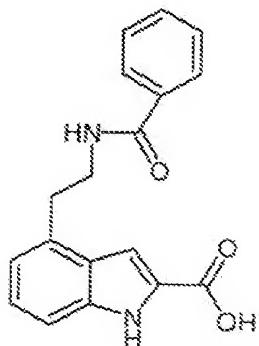
4-[(acetyl(phenyl)amino)methyl]-1H-indole-2-carboxylic acid



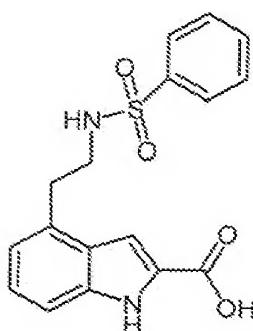
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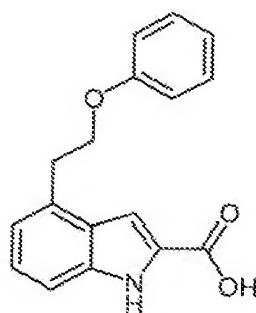
4-(anilinomethyl)-1H-indole-2-carboxylic acid



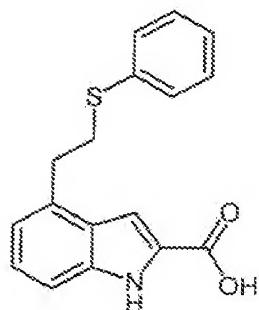
4-[(benzoylamino)methyl]-1H-indole-2-carboxylic acid5 4-[(phenylsulfonyl)amino]methyl-1H-indole-2-carboxylic acid4-[2-(benzoylamino)ethyl]-1H-indole-2-carboxylic acid

10

4-{2-[(phenylsulfonyl)amino]ethyl}-1H-indole-2-carboxylic acid

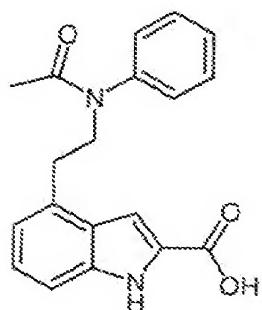


4-(2-phenoxyethyl)-1H-indole-2-carboxylic acid



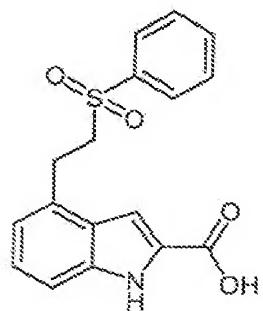
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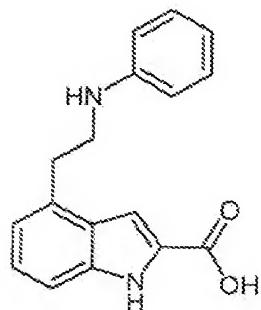
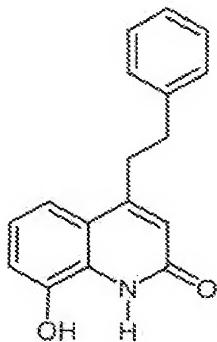
4-[2-(phenylthio)ethyl]-1H-indole-2-carboxylic acid



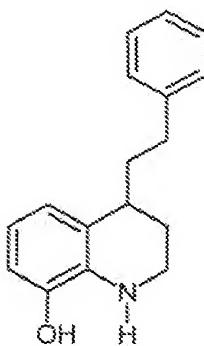
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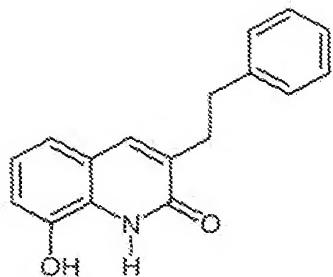
4-{2-[acetyl(phenyl)amino]ethyl}-1H-indole-2-carboxylic acid



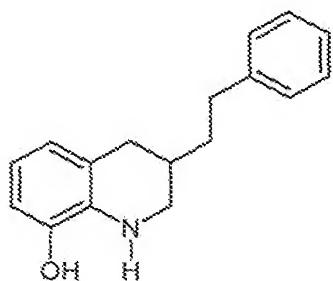
4-[2-(phenylsulfonyl)ethyl]-1H-indole-2-carboxylic acid5 4-(2-anilinoethyl)-1H-indole-2-carboxylic acid8-hydroxy-4-(2-phenylethyl)quinolin-2(1H)-one

10

4-(2-phenylethyl)-1,2,3,4-tetrahydroquinolin-8-ol

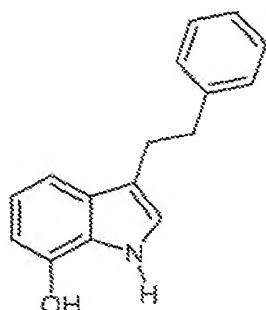


8-hydroxy-3-(2-phenylethyl)quinolin-2(1H)-one



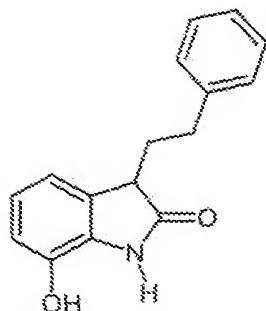
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3-(2-phenylethyl)-1,2,3,4-tetrahydroquinolin-8-ol



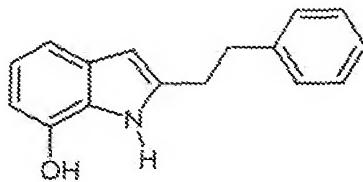
10

3-(2-phenylethyl)-1H-indol-7-ol



15

7-hydroxy-3-(2-phenylethyl)-1,3-dihydro-2H-indol-2-one



2-(2-phenylethyl)-1H-indol-7-ol

5

FAAH

Useful compounds (e.g. FAAH inhibitors) include the compounds below.

10

- 2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-N-(2-chloropyridin-4-yl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-N-(3-methoxyphenyl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-N-pyridin-2-ylacetamide
- [2-chloro-1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-N-pyridin-3-ylacetamide
- [1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-N-pyridin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-2,5-dimethyl-1*H*-indol-3-yl]-2-oxo-N-pyridin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-N-phenylacetamide
- 2-[2-chloro-1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-N-pyridin-4-ylacetamide
- 2-[2-chloro-1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-N-pyrimidin-4-ylacetamide
- 2-[2-chloro-1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-N-(2-chloropyridin-4-yl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-N-(2-chloropyridin-4-yl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-ethoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-N-phenylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-N-(3-methoxyphenyl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-2,5-dimethyl-1*H*-indol-3-yl]-2-oxo-N-phenylacetamide

- 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(3-chlorophenyl)-2-oxoacetamide
- 5 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-2,5-dimethyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 10 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- [1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(4-chlorophenyl)-2-oxoacetamide
- [1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(4-methoxyphenyl)-2-oxoacetamide
- 15 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-cyclohexyl-2-oxoacetamide
- N*-cyclohexyl-2-[1-(2,4-dichlorobenzyl)-2,5-dimethyl-1*H*-indol-3-yl]-2-oxoacetamide
- 2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-*N*-cyclohexyl-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-cyclohexyl-*N*-methyl-2-oxoacetamide
- 20 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-methyl-2-oxo-*N*-phenylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(4-chlorobenzyl)-2-isopropyl-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 25 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(2-chlorophenyl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-*N*-cyclohexyl-2-oxoacetamide
- N*-cyclohexyl-2-[1-(2,4-dichlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- 30 [1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl](oxo)acetate

- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-cyclopropyl-2-oxoacetamide
- 2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-*N*-cyclopropyl-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-piperidin-1-ylacetamide
- 5 *N*-benzyl-2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- N*-cyclopropyl-2-[1-(2,4-dichlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-2,5-dimethyl-1*H*-indol-3-yl]-2-oxo-*N*-piperidin-1-ylacetamide
- N*-cyclohexyl-2-[1-(4-fluorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- 10 1-[1-(2,4-dichlorobenzyl)-2,5-dimethyl-1*H*-indol-3-yl]-2-morpholin-4-yl-2-oxoethanone
- 2-[5-chloro-1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-piperidin-1-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-pyrrolo[2,3-*b*]pyridin-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 15 2-[1-(4-chlorobenzyl)-2-isopropyl-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(4-chlorobenzyl)-2-isopropyl-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-phenylacetamide
- 1-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-morpholin-4-yl-2-oxoethanone
- 20 2-[1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(2-methoxyphenyl)-2-oxoacetamide
- N*-cyclopropyl-2-[1-(4-methoxybenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-*N*-cyclopropyl-2-oxoacetamide
- N*-cyclohexyl-2-[1-(4-methoxybenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- 25 2-[1-(4-chlorobenzyl)-2-isopropyl-5-methoxy-1*H*-indol-3-yl]-*N*-cyclopropyl-2-oxoacetamide
- [1-(4-chlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl](oxo)acetic acid
- N*-cyclopropyl-2-[1-(4-fluorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-ethoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 30 2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-hydroxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-phenylacetamide

- 2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
2-[1-(4-chlorobenzyl)-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-phenylacetamide
2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-*N*-(2-chloropyridin-4-yl)-2-
5 oxoacetamide
2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
2-[1-(4-chlorobenzyl)-5-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
N-(3-chlorophenyl)-2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-
oxoacetamide
10 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(3-methoxyphenyl)-2-
oxoacetamide
2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-*N*-(5-methoxy-2-
methylphenyl)-2-oxoacetamide
2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-
15 acetamide
2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]-2-oxo-*N*-phenylacetamide
2-[1-(4-chlorobenzyl)-7-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
2-[1-(4-chlorobenzyl)-7-methoxy-1*H*-indol-3-yl]-*N*-(2-chloropyridin-4-yl)-2-
oxoacetamide
20 2-[1-(4-chlorobenzyl)-7-methoxy-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
2-[1-(4-chlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-
acetamide
2-[1-(4-chlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-
acetamide
25 2-[1-(4-chlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-
acetamide
2-[1-(4-chlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-
acetamide
2-[5-chloro-1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-
30 acetamide
2-[1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide

- 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 5 2-[1-(2,4-dichlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 10 2-[5-chloro-1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-4-ylacetamide
- 15 2-[1-(4-chlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 20 2-[1-(4-chlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[5-chloro-1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 25 2-[1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 30 2-[1-(2,4-dichlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide

- 2-[5-chloro-1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-3-ylacetamide
- 5 2-[1-(4-chlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 10 2-[1-(4-chlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[5-chloro-1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 15 2-[1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 20 2-[1-(2,4-dichlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[5-chloro-1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 25 2-[1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyridin-2-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 30 2-[1-(4-chlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide

- 2-[1-(4-chlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 5 2-[1-(4-chlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 2-[1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 10 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 15 2-[1-(2,4-dichlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 20 2-[5-chloro-1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-4-ylacetamide
- 25 2-[1-(4-chlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 2-[1-(4-chlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 30 2-[1-(4-chlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide

- 2-[1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 5 2-[1-(2,4-dichlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 10 2-[1-(2,4-dichlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 2-[5-chloro-1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 2-[1-(2,4-dichlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-2-oxo-*N*-pyrimidin-2-ylacetamide
- 15 2-[1-(4-chlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 2-[1-(4-chlorobenzyl)-5-hydroxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 20 2-[1-(4-chlorobenzyl)-5-methyl-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 2-[5-chloro-1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 25 2-[1-(4-chlorobenzyl)-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-methoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-methylpyridin-4-yl)-2-oxoacetamide
- 2-[1-(2,4-dichlorobenzyl)-5-ethoxy-2-(trifluoromethyl)-1*H*-indol-3-yl]-*N*-(2-
- 30 methylpyridin-4-yl)-2-oxoacetamide